EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	407	(544/92,94).ccls.	US-PGPUB; USPAT	OR	OFF	2007/03/06 10:21

Day : Tuesday
Date: 3/6/2007
Time: 10:19:38

PALM INTRANET

Inventor Information for 10/518324

Inventor Name	City	State/Country
TAYLOR, ERIC DEGUYON	NEWARK	DELAWARE

Appln Info | Contents | Petition Info | Atty/Agent Info | Continuity/Reexam | Foreign D

Search Another: Application# [ ] Search **or Patent#** [ ] Search

PCT / [ ] / [ ] Search **or PG PUBS #** [ ] Search

Attorney Docket # [ ] Search

Bar Code # [ ] Search

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ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
8-12 10-11
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
5-7 6-10 7-8 8-9 8-12 9-10 10-11
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom
Element Count :
Node 12: Limited
C,C3-5
N,N1-2

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=> d l1
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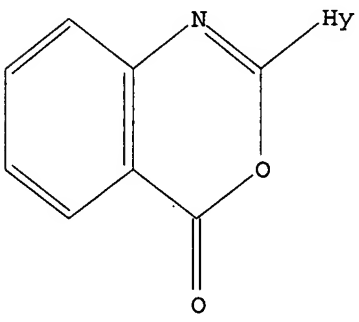
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 472 TO ITERATE

100.0% PROCESSED 472 ITERATIONS 8 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 8137 TO 10743
PROJECTED ANSWERS: 8 TO 329

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L2             8 SEA SSS SAM L1

=> s l1 sss full
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FULL SCREEN SEARCH COMPLETED -     9173 TO ITERATE

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SEARCH TIME: 00.00.01

L3           242 SEA SSS FUL L1

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COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     172.10     172.31

FILE 'CAPLUS' ENTERED AT 14:13:50 ON 26 FEB 2007
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FILE LAST UPDATED: 25 Feb 2007  (20070225/ED)

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L4             79 L3

=> d ibib abs hitstr tot
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L4 ANSWER 1 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:53872 CAPLUS
DOCUMENT NUMBER: 146:161116
TITLE: Preparation of N-thio-anthranilamide compounds and their use as pesticides
INVENTOR(S): Schmidt, Thomas; Puhl, Michael; Dickhaut, Joachim; Bastiaans, Henricus Maria Martinus; Rack, Michael; Culbertson, Deborah L.; Anspaugh, Douglas D.; Braun, Franz-Josef; Bucci, Toni; Cotter, Henry Van Tuyl; Kuhn, David G.; Oloumi-Sadeghi, Hassan
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 231pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007006670	A1	20070118	WO 2006-EP63761	20060630

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-697166P P 20050707

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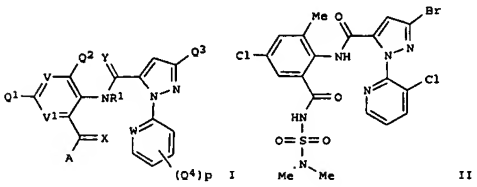
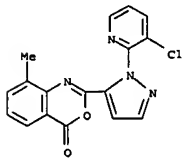


L4 ANSWER 1 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
AB N-thio-anthranilamide compds. I [A is a substituted amino sulfoxide or imino sulfoxide; R1 is H, substituted alkyl, alkenyl, or cycloalkyl; Q1 and Q2 are independently H, halogen, CN, SCN, nitro, OH, halogen-(un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylsulfonyloxy, alkylamino, cycloalkylamino, alkylcarbonyl, alkoxycarbonyl, alkylaminocarbonyl, or alkylsilyl; Q3 is halogen-(un)substituted alkyl, alkenyl, alkynyl, or cycloalkyl; Q4 is halogen, CN, nitro, OH, COOH, CONH2, halogen-(un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylsulfonyloxy, alkylamino, cycloalkylamino, alkylcarbonyl, or alkoxycarbonyl; X and Y are independently O or S; W is N, CH, or CQ4; V and V1 are independently N or CQ4; p is 0-4] were prepared and used for the control of insects, acarids or nematodes, and in methods for treating, controlling, preventing or protecting animals against infestation or infection by parasites. Compds. of formula I and compns. comprising them can also be used for controlling and preventing infestations and infections in animals including warm-blooded animals (including humans) and fish. Thus, anthranilamide II was prepared and tested as a pesticide.
IT 920336-54-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-thio-anthranilamide compds. and their use as pesticides)
RN 920336-54-5 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-8-methyl- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

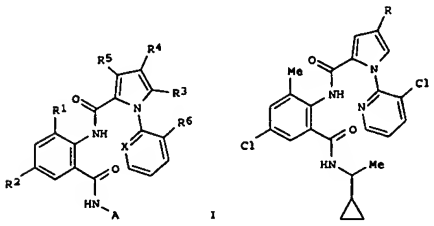
L4 ANSWER 2 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1173505 CAPLUS
DOCUMENT NUMBER: 145:489257
TITLE: Preparation of pyrrolylcarbonyl anthranilamides as pest control agents
INVENTOR(S): Koyanagi, Toru; Morita, Masayuki; Ueki, Toshihiko
PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 50pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006118267	A1	20061109	WO 2006-JP309025	20060428

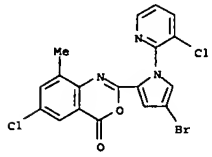
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2005-134582 A 20050502
JP 2006-69614 A 20060314

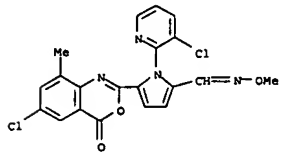
OTHER SOURCE(S): MARPAT 145:489257
GI



AB Title compds. I [R1 = halo or alkyl; R2 - R5 = H, halo, alkyl, etc.; R6 = halo or (halo)alkyl; A = H, (un)substituted alkyl, etc.; X = N or (un)substituted CH, with limitations] or their N-oxides and salts were prepd. as pest control agents. Thus, cyclization of 1-(3-chloropyridin-2-yl)-4-bromopyrrole-2-carboxylic acid, which was obtained from pyrrole and 2,3-dichloropyridine, with 5-chloro-3-methylanthranilic acid in the presence of methanesulfonyl chloride followed by ring-opening of the resultant benzoxazine with α-methylcyclopropylmethanamine gave II (R = Br). Its chloro analog II (R = Cl) showed ≥ 90% control against Prodenia litura at a concn. of 12.5 ppm.
IT 914457-23-1P 914457-29-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolylcarbonyl anthranilamides as pest control agents via ring-opening of pyrrolylbenzoxazine with amines)
RN 914457-23-1 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[4-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrrol-2-yl]-6-chloro-8-methyl- (9CI) (CA INDEX NAME)



RN 914457-29-7 CAPLUS
CN 1H-Pyrrole-2-carboxaldehyde, 5-(6-chloro-8-methyl-4-oxo-4H-3,1-benzoxazin-2-yl)-1-(3-chloro-2-pyridinyl)-, 2-(O-methyloxime) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1120573 CAPLUS
DOCUMENT NUMBER: 145:455006
TITLE: Preparation of cyanoanthranilamides as insecticides and acaricides
INVENTOR(S): Jeanguenat, Andre; O'Sullivan, Anthony; Muehlebach, Michel; Trah, Stephan; Hall, Roger Graham
PATENT ASSIGNEE(S): Syngenta Participations AG, Switz.
SOURCE: PCT Int. Appl., 100pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006111341	A1	20061026	WO 2006-EP3504	20060418

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2005-7989 A 20050420
GB 2005-25060 A 20051208

OTHER SOURCE(S): MARPAT 145:455006
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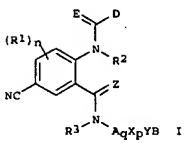
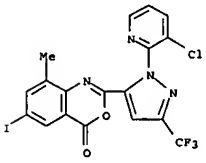
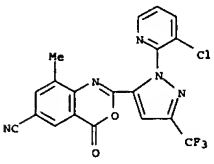


AB Title compds. [I; E, Z = O, S; A = (substituted) alkylene, alkenylene, alkynylene, bivalent mono- or bicyclic ring; X = O, NH, alkylimino; Y = (substituted) mono- or bicyclic ring; p, q = 0, 1; B = (substituted) 3-4

membered (heterocyclic) ring; R1 = halo, NO2, cyano, OH, alkyl, alkenyl, alkynyl, cycloalkyl, haloalkyl, (substituted) Ph, PhCH2, PhO, etc.; n = 0-3; R2, R3 = H, alkyl, alkenyl, alkynyl, substituted cycloalkyl; D = (substituted) Ph, pyridyl, pyrrolyl, pyrazolyl, pyrimidyl], were prepd. Thus, 2-[2-(3-chloropyridin-2-yl)-5-trifluoromethyl-2H-pyrazol-3-yl]-8-methyl-4-oxo-4H-benzo[d][1,3]oxazine-6-carbonitrile,
bicycloprop-1-ylamine
hydrochloride (prepn. given), and Et3N were heated together in THF at 60° for 8 h to give 2-(3-chloropyridin-2-yl)-5-trifluoromethyl-2H-pyrazole-3-carboxylic acid [2-(bicycloprop-1-ylcarbamoyl)-4-cyano-6-methylphenyl]amide. The latter at 400 ppm showed >80% activity against Cydia pomonella.
IT 500028-90-0 736995-60-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cyanoanthranilamides as insecticides and acaricides)
RN 500028-90-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-6-iodo-8-methyl- (9CI) (CA INDEX NAME)

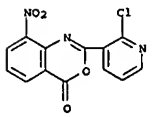


RN 736995-60-1 CAPLUS
CN 4H-3,1-Benzoxazine-6-carbonitrile, 2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl-4-oxo- (9CI) (CA INDEX NAME)

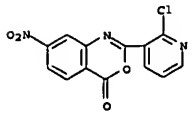


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 4 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1048454 CAPLUS
DOCUMENT NUMBER: 146:38411
TITLE: QSAR study of antiplatelet agents
AUTHOR(S): Katritzky, Alan R.; Pacureanu, Liliana M.; Slavov, Svetoslav; Dobchev, Dimitar A.; Karelson, Mati
CORPORATE SOURCE: Center for Heterocyclic Compounds, Department of Chemistry, University of Florida, Gainesville, FL, 32611, USA
SOURCE: Bioorganic & Medicinal Chemistry (2006), 14(22), 7490-7500
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A QSAR methodol. that involves multilinear (Hansch-type) and nonlinear (ANN back propagation) approaches was developed to correlate the antiplatelet activity of 60 benzoxazinone derivs. against factor Xa. The statistical characteristics provided by multilinear model (R2 = 0.821) indicated satisfactory stability and predictive ability, while the ANN predictive ability is somewhat superior (R2 = 0.909). The multilinear model provided insight into the main factors that modulate the inhibitory activity of the investigated compds.
IT 916481-14-6 916481-15-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(QSAR study of antiplatelet agents)
RN 916481-14-6 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(2-chloro-3-pyridinyl)-8-nitro- (CA INDEX NAME)



RN 916481-15-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(2-chloro-3-pyridinyl)-7-nitro- (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 4 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L4 ANSWER 5 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:768139 CAPLUS
DOCUMENT NUMBER: 145:211038
TITLE: Preparation of pyrazolyl moiety-containing anthranilamide compounds as pest control agents
INVENTOR(S): Koyanagi, Toru; Yokeda, Tetsuo; Higuchi, Koji; Kiriyama, Kazuhisa; Taguchi, Yohei; Hamamoto, Taku
PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 81pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006080311	A1	20060803	WO 2006-JP301057	20060124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
JP 2006232814	A	20060907	JP 2006-12161	20060120
PRIORITY APPLN. INFO.:			JP 2005-17358 A	20050125

OTHER SOURCE(S): MARPAT 145:211038
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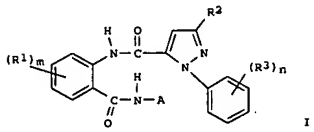


I

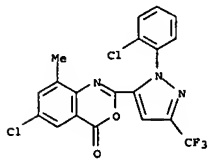
AB The title compds. I [R1 = halo, alkyl, alkenyl, etc.; R2 = H, halo, alkyl, etc.; R3 = halo, alkyl, alkoxy, etc.; A = alkyl substituted by Y; Y = cycloalkyl which may be substituted by at least one substituent selected from the group consisting of halo, alkyl and haloalkyl; m = 0 - 4; n = 0

L4 ANSWER 5 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

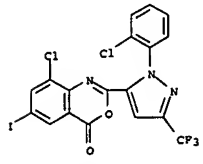
5] are prepd. Thus, N-[4-chloro-2-[[(1-cyclopropylethyl)amino]carbonyl]-6-methylphenyl]-1-(2-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide was prepd. from 1-cyclopropylethylamine hydrochloride and 6-chloro-2-[1-(2-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl-4H-3,1-benzoxazin-4-one. Compds. of this invention at 50 ppm gave ≥ 90% kill of Spodoptera litura larvae.

IT 904733-67-1 904733-69-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazolyl moiety-containing anthranilamide compds. as pest control agents)

RN 904733-67-1 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-[1-(2-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)



RN 904733-69-3 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 8-chloro-2-[1-(2-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-6-iodo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 6 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:630314 CAPLUS
DOCUMENT NUMBER: 145:57521
TITLE: Insecticidal and acaricidal mixtures comprising a pyrazolecarboxamide derivative
INVENTOR(S): Annan, Isaac Billy; Hughes, Kenneth Andrew; Lahm, George Philip; Selby, Thomas Paul; Stevenson, Thomas Martin
PATENT ASSIGNEE(S): E.I. Dupont De Nemours and Company, USA
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

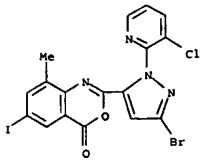
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006068669	A1	20060629	WO 2005-US26116	20050722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005319651	A1	20060629	AU 2005-319651	20050722
CA 2568560	A1	20060629	CA 2005-2568560	20050722
PRIORITY APPLN. INFO.:			US 2004-591239P P	20040726
			US 2005-690007P P	20050613
			WO 2005-US26116 W	20050722

OTHER SOURCE(S): MARPAT 145:57521
AB Disclosed are insecticidal and acaricidal mixts. relating to combinations comprising 3-bromo-N-[4-cyano-2-methyl-6[(methylamino)carbonyl]phenyl]-1-[3-chloro-2-pyridinyl]-1H-pyrazole-5-carboxamide (preparation given), an N-oxide, or a salt thereof, and at least one invertebrate pest control agent selected from neonicotinoids, cholinesterase inhibitors, sodium channel modulators, chitin synthesis inhibitors, ecdysone agonists, lipid biosynthesis inhibitors, macrocyclic lactones, GABA-regulated chloride channel blockers, juvenile hormone mimics, ryanodine receptor ligands, octopamine receptor ligands, mitochondrial electron transport inhibitors, nereistoxin analogs, pyridalyl, flonicamid, pymetrozine, dieldrin, metaflumizone, biol. agents, and salts of the foregoing. Target species include Bemisia argentifolii, Frankliniella occidentalis, Empoasca fabae, Peregrinus maidis, Aphis gossypi, Myzus persicae and Plutella xylostella.

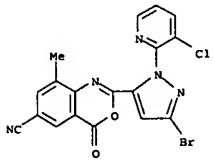
IT 736995-63-4P 736995-64-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate in preparation of insecticidal and acaricidal pyrazolecarboxamide derivative)

RN 736995-63-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, -pyridinyl)-1H-pyrazol-5-

L4 ANSWER 6 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
yl]-6-iodo-8-methyl- (9CI) (CA INDEX NAME)



RN 736995-64-5 CAPLUS
CN 4H-3,1-Benzoxazine-6-carbonitrile, 2-[3-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-8-methyl-4-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

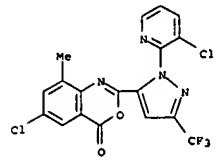
FORMAT

L4 ANSWER 7 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
N-Z1, S or G1-C(=G2)-G3; G1 and G3 are independently a bond, O, S, or NZ3;
G2 is O, S or NZ3; Z and Z1-Z3 are independently H, C1-6 (halo)alkyl, C2-6
(halo)alkenyl, C2-6 (halo)alkynyl, C3-6 (halo)cycloalkyl, C1-4 (halo)alkoxy, C1-4 (halo)alkylthio, etc.; Y3 is H, halo or C1-6 (halo)alkyl; Y1b is a bond, or (un)substituted C1-6 alkylene, (un)substituted C2-6 alkenylene, or (un)substituted C3-6 alkynylene; and their tautomers, agrochem. utilizable salts and auxiliary are claimed. Example compd. II was prepd. by amidation of 6-chloro-2-[2-(3-chloropyridin-2-yl)-5-trifluoromethyl-2H-pyrazol-3-yl]-8-methylbenzo[d][1,3]oxazin-4-one with 1-amino-2-propanol; the resulting 2-(3-chloropyridin-2-yl)-5-trifluoromethyl-2H-pyrazol-3-carboxylic acid (4-chloro-2-(2-hydroxypropylcarbamoyl)-6-methylphenyl]amide underwent substitution with thioacetic acid to give thioacetic acid

S-[2-(5-chloro-2-[[2-(3-chloropyridin-2-yl)-5-trifluoromethyl-2H-pyrazole-3-carbonyl]amino]-3-methylbenzoylamino)-1-methylethyl] ester, which underwent deacetylation and methylation to give the corresponding Me thio ether, which underwent oxidn. to give the corresponding sulfoxide, which reacted with trifluoroacetamide to give the corresponding N-trifluoroacetylated sulfoximide, which underwent deacetylation to give compd. II. All the invention compds. were evaluated for their insecticidal activity. Some of the tested compds. showed good activity against Aphis craccivora, Diabrotica balteata, Heliothis virescens (application to foliar and egg), Myzus persicae (foliar and systemic application), Plutella xylostella and Spodoptera littoralis.

IT 438450-40-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of anthranilamide derivs. as insecticides)

RN 438450-40-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 7 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:558556 CAPLUS
DOCUMENT NUMBER: 145:62886
TITLE: Anthranilamide derivatives as insecticides, and their preparation, pesticidal compositions and formulation
INVENTOR(S): Jeanguenat, Andre; O'Sullivan, Anthony Cornelius
PATENT ASSIGNEE(S): Syngenta Participations A.-G., Switz.
SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006061200	A1	20060615	WO 2005-EP13103	20051207

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2004-27008 A 20041209

OTHER SOURCE(S): MARPAT 145:62886
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I, and the agrochem. acceptable salts and all stereoisomers and tautomeric forms of the compds. of formula I can be used as agrochem. active ingredients and can be prepared in a manner known per se. Several examples on formulation of compds. of formula I is also disclosed in this invention. Compds. of formula I wherein E1 and W2 are independently O or S; R1 is halo, CN, NO2, OH, C1-6 (halo)alkyl, C2-6 (halo)alkenyl, C2-6 (halo)alkynyl, C3-6 (halo)cycloalkyl, C1-4 (halo)alkoxy, C1-4 (halo)alkylthio, C1-4 (halo)alkylsulfinyl, C1-4 (halo)alkylsulfonyl, C1-4 alkylamino, C2-4 dialkylamino, C3-6 cycloalkylamino, etc.; n is 0, 1, 2, 3, or 4; R2 and R3 are independently H, (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, (un)substituted C2-6 alkynyl, or (un)substituted C3-6 cycloalkyl; D is (un)substituted Ph, (un)substituted pyridyl, (un)substituted pyrazole, (un)substituted pyrrole, or (un)substituted pyrimidine; Y1a and Y2 are independently (un)substituted C1-6 alkylene, (un)substituted C2-6 alkenylene, or (un)substituted C3-6 alkynylene, etc.; G is a bond, O,

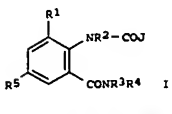
L4 ANSWER 8 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:496102 CAPLUS
DOCUMENT NUMBER: 144:462625
TITLE: Preparation of anthranilamide derivative insecticides and acaricides
INVENTOR(S): Lahm, George Philip; Selby, Thomas Paul; Stevenson, Thomas Martin; Taggi, Andrew Edmund; Bereznak, James Francis
PATENT ASSIGNEE(S): E.I. Dupont De Nemours and Co., USA
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006055922	A2	20060526	WO 2005-US42196	20051118
WO 2006055922	A3	20061221		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

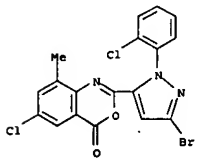
PRIORITY APPLN. INFO.: US 2004-629120P P 20041118
US 2005-689414P P 20050610

OTHER SOURCE(S): MARPAT 144:462625
GI



AB The anthranilamide derivs. I and their geometric and stereoisomers, N-oxides, and salts [J = (un)substituted Ph or N-containing heterocyclyl; R1 = alkyl alkenyl, alkynyl, etc.; R2 = alkylcarbonyl, alkoxycarbonyl or (di)alkylaminocarbonyl; R3 = (cyclo)alkyl, alkenyl, alkynyl, alkoxy, etc.; R4 = (un)substituted alkylcycloalkyl, alkenylcycloalkyl, alkynylcycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, cycloalkylalkynyl, cycloalkenylalkyl or alkylcycloalkenyl, oxiranylalkyl, thiiranylalkyl, oxetanylalkyl, thietanylalkyl, 3-oxetanyl or 3-thietanyl; R5 =

L4 ANSWER 8 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(cyclo)alkyl, haloalkyl, alkenyl alkynyl, etc.] are prepd. as pesticides for controlling invertebrate pests, specifically insecticides and acaricides.
IT 886583-61-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate in preparation of anthranilamide derivative insecticides and acaricides)
RN 886583-61-5 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-bromo-1-(2-chlorophenyl)-1H-pyrazol-5-yl]-6-chloro-8-methyl- (9CI) (CA INDEX NAME)



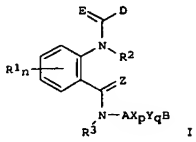
L4 ANSWER 9 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:367128 CAPLUS
DOCUMENT NUMBER: 144:364548
TITLE: Preparation of anthranilamide derivative acaricides and insecticides
INVENTOR(S): O'Sullivan, Anthony Cornelius; Hughes, Dave; Jeanguenat, Andre; Muehlebach, Michel; Loiseleur, Olivier
PATENT ASSIGNEE(S): Syngenta Participations AG, Switz.; Syngenta Limited
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040113	A2	20060420	WO 2005-EP10891	20051010
WO 2006040113	A3	20060914		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2004-22556 A 20041011

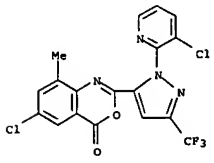
OTHER SOURCE(S): MARPAT 144:364548
GI



I

AB The anthranilamides I [E, Z = O or S; A , Y = alkylene, alkenylene, alkynylene, etc.; X = O, NH or alkyl-substituted NH; B = (un)substituted ring; D = (un)substituted Ph, pyridyl, pyrazolyl, etc.; R1 = amino,

L4 ANSWER 9 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
formyl, cyanoalkenyl, etc.; R2, R3 = H, (un)substituted alkyl, alkenyl, cycloalkyl, etc.; n = 0, 1-4; p, q = 0 or 1] and I salts, stereoisomers and tautomers are prepd. as acaricides and insecticides.
IT 438450-40-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant in preparation of anthranilamide derivative acaricide and insecticide)
RN 438450-40-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:193331 CAPLUS
DOCUMENT NUMBER: 144:274265
TITLE: Preparation of novel anthranilamides useful for controlling invertebrate pests
INVENTOR(S): Lahm, George Philip
PATENT ASSIGNEE(S): E.I. Dupont de Nemours and Company, USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006023783	A1	20060302	WO 2005-US29639	20050817

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

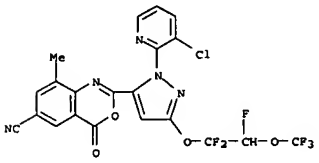
PRIORITY APPLN. INFO.: US 2004-602153P P 20040817
US 2005-643708P P 20050113

OTHER SOURCE(S): MARPAT 144:274265
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [Q = II-IV; R1 = X-Z-O-R11; X = O, S or NR12; Z = haloalkylene or haloalkenylene; R2 = H, alkyl, haloalkyl, etc.; R3 = H, alkyl, alkenyl, etc.; R4 = H, alkyl, alkenyl, etc.; R5 = OH, alkoxy, alkylamino, etc.; or NR4R5 = ring containing 2-6 carbon atoms and optionally one addnl. atom of N, S or O; R6, R7 = H, alkyl, alkenyl, etc.; W = N, CR2; V = N, CR13; Y = N, CR14; R11 = alkyl, alkenyl, cycloalkyl, etc.; R12 = H, alkyl; R13, R14 = H, alkyl, cycloalkyl, etc.; L = a direct bond or a linking chain of one or more members selected from C, N, O, S, etc.; n = 1-4], were prepared and claimed. E.g., a multi-step synthesis of V, starting from 3-chloro-2-hydrazinopyridine and di-Et maleate, was given. Compound V resulted in at least 80% mortality when tested against fall armyworm (Spodoptera frugiperda). Also disclosed are compns. containing the compds. I and methods for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biol. effective amount of a compound or a composition of the invention.

L4 ANSWER 10 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
IT 877876-91-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel anthranilamides useful for controlling invertebrate pests)
RN 877876-91-0 CAPLUS
CN 4H-3,1-Benzoxazine-6-carbonitrile, 2-[1-(3-chloro-2-pyridinyl)-3-[1,1,2-trifluoro-2-(trifluoromethoxy)ethoxy]-1H-pyrazol-5-yl]-8-methyl-4-oxo- (9CI) (CA INDEX NAME)



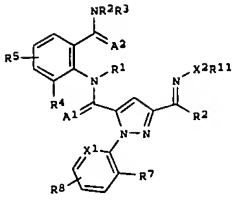
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 11 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:11014 CAPLUS
DOCUMENT NUMBER: 144:108313
TITLE: Preparation of pyrazoloyl anthranilamides as pesticides.
INVENTOR(S): Alig, Bernd; Fischer, Ruediger; Funke, Christian; Gesing, R. F. Ernst; Hense, Achim; Krueger, Bernd-Wieland; Loesel, Peter; Arnold, Christian
PATENT ASSIGNEE(S): Bayer Cropscience A.-G., Germany
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006000336	A2	20060105	WO 2005-EP6482	20050616
WO 2006000336	A3	20061214		
WO 2006000336	A9	20070201		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102004031100	A1	20060112	DE 2004-102004031100	20040628
PRIORITY APPLN. INFO.:			DE 2004-102004031100A	20040628

OTHER SOURCE(S): MARPAT 144:108313
GI

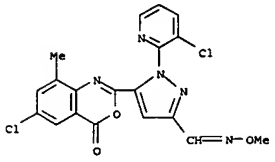
L4 ANSWER 11 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I

AB Title compds. [I; A1, A2 = O, S; X1 = N, CR10; X2 = NR11, O, C(R11)2; R1 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, alkylamino, alkylcarbonyl, etc.; R3 = H, R12, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; NR2R3 = atoma to form a ring; R4 = H, alkyl, alkenyl, alkynyl, cycloalkyl, haloalkyl, alkoxy, halo, cyano, etc.; R5, R8 = H, halo, (substituted) alkyl, haloalkyl, haloalkoxy, R13, etc.; R7 = H, alkyl, cycloalkyl, haloalkyl, halo, alkylthio, alkylsulfinyl, haloalkylthio, etc.; R9 = H, alkyl, cycloalkyl, haloalkyl, alkoxy, alkylthio, etc.; R11 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R12 = (substituted) alkylthio, alkylsulfenyl, haloalkylthio, haloalkylsulfenyl, PhS, PhSO; R13 = amino, SH, SCN, trialkylsilyloxy, B(OR18)2, etc.; R18 = H, alkyl], were prepared Thus, 5-(6-chloro-8-methyl-4H-benzo[d][1,3]oxazin-2-yl)-1-(3-chloropyridin-2-yl)-1H-pyrazole-3-carboxaldehyde O-methyloxime (preparation given) was refluxed with isopropylamine in THF to give 1.57% 2-(3-chloropyridin-2-yl)-5-(methoxyiminomethyl)-2H-pyrazole-3-carboxylic acid (4-chloro-2-isopropylcarbamoyl-6-methylphenyl)amide. The latter at 100 g/ha gave 100% kill of Phaedon cochleariae on cabbage after 7 days.
IT 872882-58-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazoloyl anthranilamides as pesticides)
RN 872882-58-1 CAPLUS
CN 1H-Pyrazole-3-carboxaldehyde, 5-(6-chloro-8-methyl-4-oxo-4H-3,1-benzoxazin-2-yl)-1-(3-chloro-2-pyridinyl)-, 3-(O-methyloxime) (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

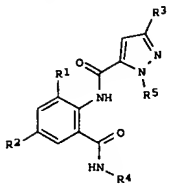


L4 ANSWER 12 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1314351 CAPLUS
DOCUMENT NUMBER: 144:51574
TITLE: Preparation of pyrazolylcarbonyl anthranilamides as insecticides
INVENTOR(S): Lahm, George Philip; Selby, Thomas Paul
PATENT ASSIGNEE(S): E.I. Dupont De Nemours and Company, USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005118552	A2	20051215	WO 2005-US12465	20050412
WO 2005118552	A3	20060126		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005250328	A1	20051215	AU 2005-250328	20050412
CA 2561369	A1	20051215	CA 2005-2561369	20050412
EP 1751112	A2	20070214	EP 2005-779580	20050412
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRIORITY APPLN. INFO.:			US 2004-561813P	P 20040413
			WO 2005-US12465	W 20050412

OTHER SOURCE(S): CASREACT 144:51574; MARPAT 144:51574
GI

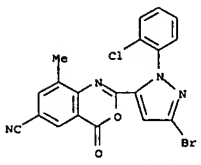
L4 ANSWER 12 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



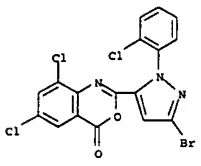
I

AB The title compds. I [R1 = Me, Cl, Br or I; R2 = Cl, Br, I or CN; R3 = Cl, Br, CF3, OCH2CF3 or OCF2H; R4 = H, alkyl, alkenyl or alkynyl (each optionally substituted with CN or SMe); R5 = Ph substituted with 1-3 substituents selected from F, Cl, Br and Me], useful for controlling an invertebrate pest, were prepared E.g., a multi-step synthesis of I [R1 = Me; R2 = CN; R3 = Br; R4 = iso-Pr; R5 = 2-ClC6H4], starting from 2-chlorophenylhydrazine.HCl and glyoxylic acid, was given. Also disclosed are methods for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biol. effective amount of a compound I, an N-oxide thereof or a suitable salt of the compound (e.g., as a composition described herein). This invention also pertains to a composition for controlling an invertebrate pest comprising a biol. effective amount of a compound I, an N-oxide thereof or a suitable salt of the compound and at least one addnl. component selected from the group consisting of a surfactant, a solid diluent and a liquid diluent.
IT 871239-19-9P 871239-20-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolylcarbonyl anthranilamides as insecticides)
RN 871239-19-9 CAPLUS
CN 4H-3,1-Benzoxazine-6-carbonitrile, 2-[3-bromo-1-(2-chlorophenyl)-1H-pyrazol-5-yl]-8-methyl-4-oxo- (9CI) (CA INDEX NAME)

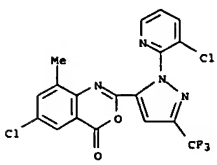
L4 ANSWER 12 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 871239-20-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-bromo-1-(2-chlorophenyl)-1H-pyrazol-5-yl]-6,8-dichloro- (9CI) (CA INDEX NAME)

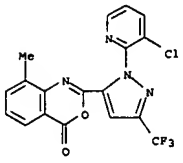


L4 ANSWER 13 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1084903 CAPLUS
DOCUMENT NUMBER: 144:1613
TITLE: Insecticidal anthranilic diamides: A new class of potent ryanodine receptor activators
AUTHOR(S): Lahm, George P.; Selby, Thomas P.; Freudenberger, John H.; Stevenson, Thomas M.; Myers, Brian J.; Seburyamo, Gilles; Smith, Ben K.; Flexner, Lindsey; Clark, Christopher E.; Cordova, Daniel
CORPORATE SOURCE: DuPont Crop Protection, Stine-Haskell Research Center, Newark, DE, 19711, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(22), 4898-4906
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:1613
AB A novel class of anthranilic diamides has been discovered with exceptional insecticidal activity on a range of Lepidoptera. These compds. have been found to exhibit their action by release of intracellular Ca2+ stores mediated by the ryanodine receptor. The discovery, synthesis, structure-activity, and biol. results are presented.
IT 438450-40-9P 500011-82-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(insecticidal activity of)
RN 438450-40-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)



RN 500011-82-5 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

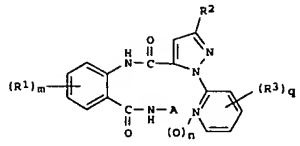
L4 ANSWER 14 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:902883 CAPLUS
DOCUMENT NUMBER: 143:229846
TITLE: Preparation of anthranilamides as pesticides
INVENTOR(S): Koyanagi, Toru; Morita, Masayuki; Nakamoto, Kenichi; Hisamatsu, Akihiro
PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005077934	A1	20050825	WO 2005-JP2351	20050216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2006131607	A	20060525	JP 2005-33829	20050210
JP 2006131608	A	20060525	JP 2005-33830	20050210
AU 2005212068	A1	20050825	AU 2005-212068	20050216
CA 2553715	A1	20050825	CA 2005-2553715	20050216
EP 1717237	A1	20061102	EP 2005-710251	20050216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				

PRIORITY APPLN. INFO.:
JP 2004-41295 A 20040218
JP 2004-133722 A 20040428
JP 2004-261507 A 20040908
JP 2004-295778 A 20041008
WO 2005-JP2351 W 20050216

OTHER SOURCE(S): MARPAT 143:229846
GI

L4 ANSWER 14 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



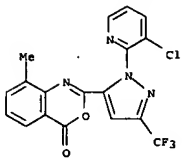
I

AB The title anthranilamides, i.e. N-(2-aminocarbonylphenyl)-1-(2-pyridyl)-1-H-pyrazole-5-carboxamide derivs. represented by the general formula (I) or salts thereof [wherein R1 = halogeno, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, alkoxy, haloalkoxy, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, (un)substituted phenoxycarbonyl, NO2, CHO; R2, R3 = halogeno, alkyl, haloalkyl, alkoxy, haloalkoxy, cyano; A = Y-substituted alkyl (Y = C3-4 cycloalkyl optionally substituted by ≥1 groups selected from halogeno, alkyl, and haloalkyl); n = 0,1; q = 0-4; provided that R1 is F, Cl, Br, or Me substituted at 2-position of the benzene ring and another R1 is halogeno substituted at 4-position of the benzene ring, the 4-halogeno group is F or Cl) are prepared They are useful as pesticides, in particular insecticides, acaricides, nematocides, and parasiticides. Thus, 1.49 g Et3N was slowly added dropwise to a solution of 0.8 g cyclopropylmethylamine hydrochloride in 40 mL THF, stirred at room temperature for 30 min, slowly treated dropwise with a solution of 1 g 2-[1-(3-chloro-2-pyridyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl-4H-3,1-benzoxazin-4-one in 10 mL THF, and refluxed for 4 h to give, after workup and silica gel chromatog., 0.54 g N-[6-[[(cyclopropylmethyl)amino]carbonyl]-2-methylphenyl]-1-(3-chloro-2-pyridyl)-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide (II). II at 3.1 ppm controlled 2-nd to 3-rd instar larvae of Spodoptera litura on cabbage leaves.

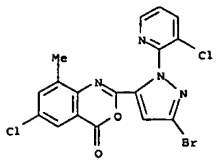
IT 500011-82-5 500011-87-0 862995-89-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of anthranilamides as pesticides such as insecticides, acaricides, nematocides, and parasiticides)

RN 500011-82-5 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)

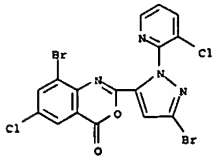
L4 ANSWER 14 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 500011-87-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-6-chloro-8-methyl- (9CI) (CA INDEX NAME)



RN 862995-89-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 8-bromo-2-[3-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-6-chloro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

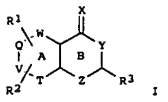
L4 ANSWER 15 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1080802 CAPLUS
DOCUMENT NUMBER: 142:38265
TITLE: Preparation of (hetero)aromatic-fused oxazine, thiazine and related derivatives as scce inhibitors
INVENTOR(S): Linschoten, Marcel
PATENT ASSIGNEE(S): Arexis AB, Swed.; Rasmussen, Pia
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004108139	A2	20041216	WO 2004-DK388	20040607
WO 2004108139	A3	20050310		
WO 2004108139	A8	20050428		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004244704	A1	20041216	AU 2004-244704	20040607
CA 2525383	A1	20041216	CA 2004-2525383	20040607
EP 1631295	A2	20060308	EP 2004-736195	20040607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1802160	A	20060712	CN 2004-80015752	20040607
JP 2006526581	T	20061124	JP 2006-508134	20040607
NO 2006000091	A	20060306	NO 2006-91	20060106
US 2006258651	A1	20061116	US 2006-559322	20060426

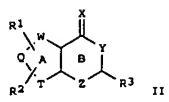
PRIORITY APPLN. INFO.: DK 2003-840 A 20030606
DK 2003-842 A 20030606
DK 2003-843 A 20030606
DK 2003-844 A 20030606
WO 2004-DK388 W 20040607

OTHER SOURCE(S): MARPAT 142:38265
GI

L4 ANSWER 15 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

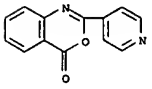


I

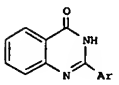


II

AB Title compds. I and II [X = O, S; Y = O, S, NH (or N); Z = O, NH (or N); W, Q, V, T = CH, CH2, S, N, O; A, B, C, D = (un)saturated aromatic; R1-2 = (if present) alk(en/yn)yl, cycloalkyl, etc.; R3 = (un)substituted (hetero)aryl] are prepared For instance, general procedures are described for the preparation of 2-phenylbenzo[d][1,3]oxazin-4-one (III). III has IC50 = 2 μM for stratum corneum chymotryptic enzyme (SCCE). I are useful for the treatment of skin diseases such as pruritus as well as cancer such as ovarian cancer.
IT 57696-11-4P, 2-(Pyridin-4-yl)benzo[d][1,3]oxazin-4-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (hetero)aromatic-fused oxazine, thiazine and related derivs. as scce inhibitors)
RN 57696-11-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

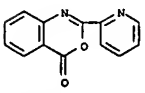


L4 ANSWER 16 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:713027 CAPLUS
DOCUMENT NUMBER: 142:219453
TITLE: Synthesis and biological properties of selected 2-aryl-4(3H)-quinazolinones
AUTHOR(S): Lee, Eung Seok; Son, Jong Keun; Na, Young Hwa; Jahng, Yurngdong
CORPORATE SOURCE: College of Pharmacy, Yeungnam University, Kyongsan, 712-749, S. Korea
SOURCE: Heterocyclic Communications (2004), 10(4-5), 325-330
CODEN: HCOMEX; ISSN: 0793-0283
PUBLISHER: Freund Publishing House Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:219453
GI



I

AB A series of 2-aryl-4(3H)quinazolinones I (Ar = Ph, 2-pyridyl, indol-2-yl, quinolin-2-yl] were prepared as parent systems of rutaecarpine and luotonin A and their biol. properties (cytotoxicity and COX-2 inhibitory activity) were evaluated.
IT 53904-12-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ammonolysis of; synthesis and biol. properties of selected 2-aryl-4(3H)-quinazolinones)
RN 53904-12-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

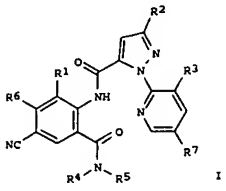
L4 ANSWER 17 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:648522 CAPLUS
DOCUMENT NUMBER: 141:190786
TITLE: Preparation of cyano anthranilamide insecticides
INVENTOR(S): Hughes, Kenneth Andrew; Lahm, George Philip; Selby, Thomas Paul; Stevenson, Thomas Martin
PATENT ASSIGNEE(S): E.I. Du Pont De Nemours and Company, USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067528	A1	20040812	WO 2004-US3568	20040121
WO 2004067528	B1	20041007		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
AU 2004207848	A1	20040812	AU 2004-207848	20040121
CA 2512242	A1	20040812	CA 2004-2512242	20040121
EP 1599463	A1	20051130	EP 2004-704148	20040121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
MD 2005000219	A	20051130	MD 2005-219	20040121
BR 2004006709	A	20051220	BR 2004-6709	20040121
JP 3764895	B1	20060412	JP 2005-518229	20040121
JP 2006515602	T	20060601		
CN 1829707	A	20060906	CN 2004-80002991	20040121
EG 23536	A	20060419	EG 2004-49	20040127
JP 2006028159	A	20060202	JP 2005-148184	20050520
JP 3770500	B2	20060426		
JP 2006290862	A	20061026	JP 2005-148201	20050520
US 2006111403	A1	20060525	US 2005-540966	20050629

PRIORITY APPLN. INFO.: US 2003-443256P P 20030128
JP 2005-518229 A3 20040121
WO 2004-US3568 W 20040121

OTHER SOURCE(S): MARPAT 141:190786
GI

L4 ANSWER 17 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

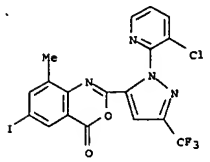


I

AB The title compds. [I; R1 = Me, Cl, Br, F; R2 = F, Cl, Br, haloalkyl or haloalkoxy; R3 = F, Cl, Br; R4 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, each optionally substituted with one substituent selected from the group consisting of halo, CN, SMe S(O)Me, S(O)2Me and OMe; R5 = H, Me; R6 = H, F, Cl; R7 = H, F, Cl], useful for controlling an invertebrate pest, were prepared E.g., a multi-step synthesis of compound I [R1 = Me; R2 = CF3; R3 = Cl; R4, R5 = H], was given. The compds. I were tested in various biol. tests (data given). This invention also pertains to a composition for controlling an invertebrate pest comprising a biol. effective amount of a compound I, an N-oxide thereof or a suitable salt of the compound I and at least one addnl. component selected from the group consisting of a surfactant, a solid diluent and a liquid diluent.

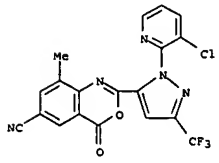
IT 500028-90-0P 736995-60-1P 736995-61-2P
736995-62-3P 736995-63-4P 736995-64-5P
736995-65-6P 736995-66-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyano anthranilamide insecticides)

RN 500028-90-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-6-iodo-8-methyl- (9CI) (CA INDEX NAME)

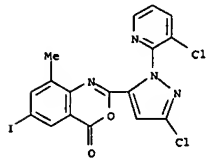


L4 ANSWER 17 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 736995-60-1 CAPLUS
CN 4H-3,1-Benzoxazine-6-carbonitrile, 2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl-4-oxo- (9CI) (CA INDEX NAME)

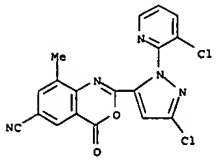


RN 736995-61-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-chloro-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-6-iodo-8-methyl- (9CI) (CA INDEX NAME)

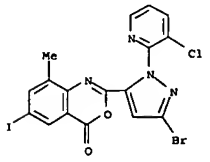


RN 736995-62-3 CAPLUS
CN 4H-3,1-Benzoxazine-6-carbonitrile, 2-[3-chloro-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-8-methyl-4-oxo- (9CI) (CA INDEX NAME)

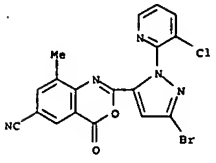
L4 ANSWER 17 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 736995-63-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-6-iodo-8-methyl- (9CI) (CA INDEX NAME)

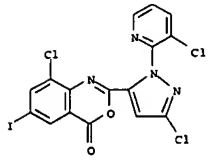


RN 736995-64-5 CAPLUS
CN 4H-3,1-Benzoxazine-6-carbonitrile, 2-[3-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-8-methyl-4-oxo- (9CI) (CA INDEX NAME)

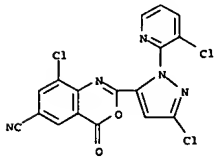


RN 736995-65-6 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 8-chloro-2-[3-chloro-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-6-iodo- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



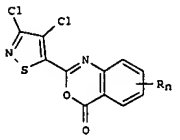
RN 736995-66-7 CAPLUS
CN 4H-3,1-Benzoxazine-6-carbonitrile, 8-chloro-2-[3-chloro-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-4-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:453211 CAPLUS
DOCUMENT NUMBER: 141:23541
TITLE: Preparation of isothiazolylbenzoxazinones as agrochemical microbicides
INVENTOR(S): Assmann, Lutz; Kitagawa, Yoshinori; Shigyo, Takuma; Oelgemoeller, Michael; Sawada, Haruko
PATENT ASSIGNEE(S): Bayer Cropscience Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046140	A1	20040603	WO 2003-EP12475	20031108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004168707	A	20040617	JP 2002-336329	20021120
AU 2003288012	A1	20040615	AU 2003-288012	20031108
PRIORITY APPLN. INFO.:			JP 2002-336329 A	20021120
			WO 2003-EP12475 W	20031108

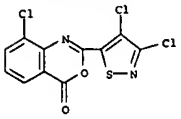
OTHER SOURCE(S): MARPAT 141:23541
GI



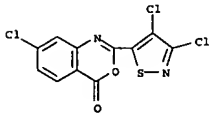
I

AB Title compds. (I; R = halo, alkyl, alkoxy, alkylthio, alkylsulfonyl, acylamino, Ph, PhO, CO2H, dialkylsulfamoyl, acylamino, etc.; adjacent

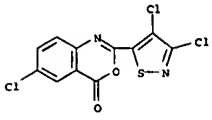
L4 ANSWER 18 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



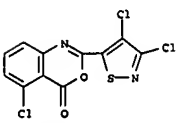
RN 698390-92-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 7-chloro-2-(3,4-dichloro-5-isothiazolyl)- (9CI) (CA INDEX NAME)



RN 698390-93-1 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-(3,4-dichloro-5-isothiazolyl)- (9CI) (CA INDEX NAME)



RN 698390-94-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 5-chloro-2-(3,4-dichloro-5-isothiazolyl)- (9CI) (CA INDEX NAME)

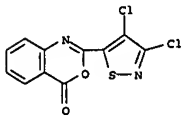


RN 698390-95-3 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-bromo-2-(3,4-dichloro-5-isothiazolyl)- (9CI) (CA INDEX NAME)

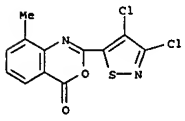
L4 ANSWER 18 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
pairs of R may form alkylene, alkenylene, alkylenedioxy, haloalkylenedioxy groups; n = 0-4), were prepd. Thus, 2-(3,4-dichloroisothiazol-5-ylcarbonylamino)-5-bromobenzoic acid (prepn. given) was refluxed 2 h with Ac2O to give 2-(3,4-dichloroisothiazol-5-yl)-6-bromo-4H-oxo-3,1-benzoxazine. Numerous I at 500 ppm gave >80% control of Pyricularia oryzae on rice.

IT 698390-89-5P 698390-90-8P 698390-91-9P
698390-92-0P 698390-93-1P 698390-94-2P
698390-95-3P 698390-96-4P 698390-97-5P
698390-98-6P 698390-99-7P 698391-01-4P
698391-02-5P 698391-03-6P 698391-04-7P
698391-06-9P 698391-07-0P 698391-08-1P
698391-09-2P 698391-10-5P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isothiazolylbenzoxazinones as agrochem. microbicides)

RN 698390-89-5 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3,4-dichloro-5-isothiazolyl)- (9CI) (CA INDEX NAME)

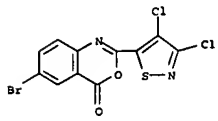


RN 698390-90-8 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3,4-dichloro-5-isothiazolyl)-8-methyl- (9CI) (CA INDEX NAME)

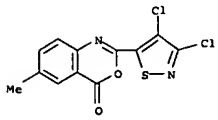


RN 698390-91-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 8-chloro-2-(3,4-dichloro-5-isothiazolyl)- (9CI) (CA INDEX NAME)

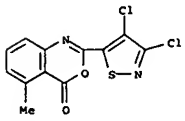
L4 ANSWER 18 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



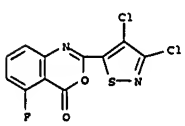
RN 698390-96-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3,4-dichloro-5-isothiazolyl)-6-methyl- (9CI) (CA INDEX NAME)



RN 698390-97-5 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3,4-dichloro-5-isothiazolyl)-5-methyl- (9CI) (CA INDEX NAME)

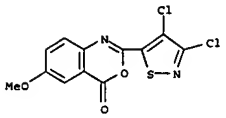


RN 698390-98-6 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3,4-dichloro-5-isothiazolyl)-5-fluoro- (9CI) (CA INDEX NAME)

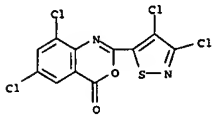


RN 698390-99-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3,4-dichloro-5-isothiazolyl)-6-methoxy- (9CI) (CA INDEX NAME)

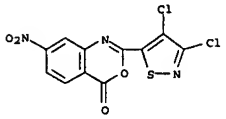
L4 ANSWER 18 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 698391-01-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6,8-dichloro-2-(3,4-dichloro-5-isothiazolyl)-
(9CI) (CA INDEX NAME)

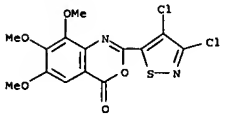


RN 698391-02-5 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3,4-dichloro-5-isothiazolyl)-7-nitro- (9CI)
(CA INDEX NAME)

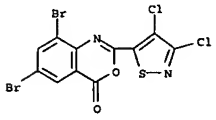


RN 698391-03-6 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3,4-dichloro-5-isothiazolyl)-7-methyl- (9CI)
(CA INDEX NAME)

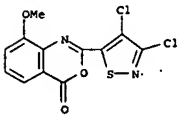
L4 ANSWER 18 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



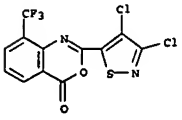
RN 698391-08-1 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6,8-dibromo-2-(3,4-dichloro-5-isothiazolyl)-
(9CI) (CA INDEX NAME)



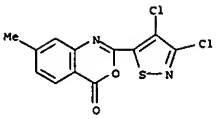
RN 698391-09-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3,4-dichloro-5-isothiazolyl)-8-methoxy- (9CI)
(CA INDEX NAME)



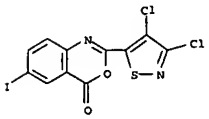
RN 698391-10-5 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3,4-dichloro-5-isothiazolyl)-8-
(trifluoromethyl)- (9CI) (CA INDEX NAME)



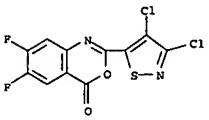
L4 ANSWER 18 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 698391-04-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3,4-dichloro-5-isothiazolyl)-6-iodo- (9CI)
(CA INDEX NAME)



RN 698391-06-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3,4-dichloro-5-isothiazolyl)-6,7-difluoro-
(9CI) (CA INDEX NAME)



RN 698391-07-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one,
2-(3,4-dichloro-5-isothiazolyl)-6,7,8-trimethoxy-
(9CI) (CA INDEX NAME)

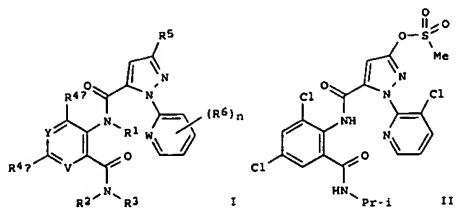
L4 ANSWER 19 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:453202 CAPLUS
DOCUMENT NUMBER: 141:23526
TITLE: Novel pyrazole-based anthranilamide insecticides and their preparation, compositions, and use
INVENTOR(S): Hughes, Kenneth Andrew; Lahm, George Philip; Selby, Thomas Paul
PATENT ASSIGNEE(S): E.I. Du Pont De Nemours and Company, USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046129	A2	20040603	WO 2003-US36167	20031112
WO 2004046129	A3	20040715		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003295491	A1	20040615	AU 2003-295491	20031112
EP 1560820	A2	20050810	EP 2003-786682	20031112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015714	A	20050906	BR 2003-15714	20031112
CN 1711255	A	20051221	CN 2003-80103401	20031112
JP 2006514632	T	20060511	JP 2004-553598	20031112
US 2006014808	A1	20060119	US 2005-529612	20050330
PRIORITY APPLN. INFO.:			US 2002-426693P	P 20021115
			WO 2003-US36167	W 20031112

OTHER SOURCE(S): MARPAT 141:23526
GI

L4 ANSWER 19 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I II

AB The invention provides title compds. I and their N-oxides and suitable salts [wherein: Y, V = N or CR4a; W = N, CH, or CR6; R1 = H, (un)substituted alkyl, alkenyl, alkynyl or cycloalkyl, alkylcarbonyl, alkoxycarbonyl, (di)alkylaminocarbonyl; R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, (di)alkylamino, cycloalkylamino, alkoxycarbonyl, or alkylcarbonyl; R3 = H, G, (un)substituted alkyl, alkenyl, alkynyl or cycloalkyl; or NR2R3 = (un)substituted heterocyclic (N/O/S) ring; G = (un)substituted 5- or 6-membered non-aromatic carbo- or heterocyclic ring; R4a, R4b = H, various carbon and heteroat. substituents; R5 = alk(en/yn)yl, various derivs. of OH, SH, and NH2; R6 = (halo)alk(en/yn)yl, OH and derivs. or thio analogs, halo, cyano, CO2H, (di)alkylamino, (un)substituted Ph, PhCH2, PhCO, PhO, etc.; n = 0-4]. The invention also pertains to compns. for controlling invertebrate pests, comprising a biol. effective amount of I, their N-oxides, or their agronomically or nonagronomically suitable salts, and at least one addnl. component selected from surfactants, solid diluents, and liquid diluents, and optionally further comprising an effective amount of at least one addnl. biol. active compound or agent. Also disclosed are methods for controlling invertebrate pests by contact of the pests or their environment with said compds. Eighteen compds. I were prepared and tested. For instance, 3-chloro-2-hydrazinopyridine was cyclocondensed with di-Et maleate to give 55% Et 1-(3-chloro-2-pyridinyl)-3-pyrazolidinone-5-carboxylate, which was oxidized to a dihydropyrazolone, saponified to an acid, cyclized with dichloroanthranilic acid to give a benzoxazinone, O-mesylated at the pyrazolone, and ring-opened with MeNH2, to give invention compound II. In a test of larval Plutella xylostella on radish plants, II at 50 ppm (spray) reduced feeding damage by 80% or more. Compds. I were also effective against Spodoptera frugiperda, Myzus persicae, and Empoasca fabae.

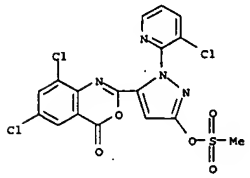
IT 697799-66-9P, 6,8-Dichloro-2-[1-(3-chloro-2-pyridinyl)-3-[(methylsulfonyl)oxy]-1H-pyrazol-5-yl]-4H-3,1-benzoxazin-4-one

L4 ANSWER 19 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

697799-69-2P, 6,8-Dichloro-2-[1-(3-chloro-2-pyridinyl)-3-(2-propynyloxy)-1H-pyrazol-5-yl]-4H-3,1-benzoxazin-4-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate: prepn. of novel pyrazole-based anthranilamide insecticides)

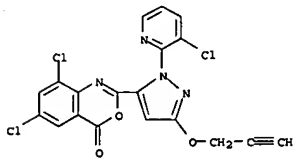
RN 697799-66-9 CAPLUS

CN 4H-3,1-Benzoxazin-4-one, 6,8-dichloro-2-[1-(3-chloro-2-pyridinyl)-3-[(methylsulfonyl)oxy]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 697799-69-2 CAPLUS

CN 4H-3,1-Benzoxazin-4-one, 6,8-dichloro-2-[1-(3-chloro-2-pyridinyl)-3-(2-propynyloxy)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



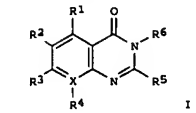
L4 ANSWER 20 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:412903 CAPLUS
DOCUMENT NUMBER: 140:423688
TITLE: Preparation of quinazolinone derivatives as calcilytics
INVENTOR(S): Shcherbakova, Irina; Balandrin, Manuel; Fox, John; Heaton, William; Conklin, Rebecca; Papac, Damon
PATENT ASSIGNEE(S): NPS Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

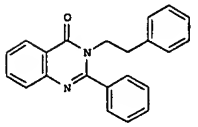
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041755	A2	20040521	WO 2003-US35162	20031104
WO 2004041755	A3	20040708		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2502302	A1	20040521	CA 2003-2502302	20031104
AU 2003291761	A1	20040607	AU 2003-291761	20031104
EP 1558260	A2	20050803	EP 2003-768655	20031104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1708306	A	20051214	CN 2003-80102626	20031104
JP 2006512315	T	20060413	JP 2004-550482	20031104
US 2006052345	A1	20060309	US 2005-531161	20050412
PRIORITY APPLN. INFO.:			US 2002-423663P P	20021104
			WO 2003-US35162 W	20031104

OTHER SOURCE(S): MARPAT 140:423688
GI

L4 ANSWER 20 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I



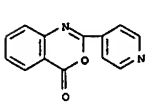
II

AB The title compds. I [R1, R2, R3 = H, halo, CN, CF3, OCF3, alkyl, alkoxy, etc.; R4 (optional) = H, halo, CN, CF3, OCF3, alkyl, alkoxy, etc.; X = C or N; R5 = H, alkyl, furyl, thienyl, styryl, pyridyl, (subatituted)phenyl; R6 = H, alkyl, or -(CH2)n-X1-R7; n= 0-2; X1 = O, CO, CHOH, alkyl, or a single bond; R7 = an aromatic group optionally substituted with 1-3 substituents selected from H, halo, CN, CF3, OCF3, alkyl, alkoxy, etc.] were prepared as calcium receptor antagonists for the treatment of bone diseases. Thus, reaction of 2-phenyl-benzo[d][1,3]oxazin-4-one (preparation given) with phenethylamine gave compound II. Methods to determine the biol. activity of the compound of this invention were demonstrated.

IT 57696-11-4, 2-Pyridin-4-yl-benzo[d][1,3]oxazin-4-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinazolinone derivs. as calcilytics)

RN 57696-11-4 CAPLUS

CN 4H-3,1-Benzoxazin-4-one, 2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

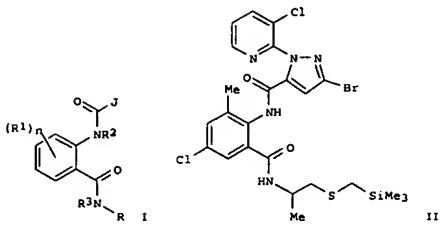


L4 ANSWER 21 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:333726 CAPLUS
DOCUMENT NUMBER: 140:339324
TITLE: Preparation of anthranilamide derivatives for controlling invertebrate pests
INVENTOR(S): Lahm, George Philip; Selby, Thomas Paul; Stevenson, Thomas Martin
PATENT ASSIGNEE(S): E.I. Du Pont De Nemours and Company, USA
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004033468	A1	20040422	WO 2003-US31677	20031001
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003282711	A1	20040504	AU 2003-282711	20031001
EP 1546160	A1	20050629	EP 2003-774596	20031001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014497	A	20050802	BR 2003-14497	20031001
CN 1703417	A	20051130	CN 2003-80100845	20031001
JP 2006502226	T	20060119	JP 2004-543434	20031001
US 2006052343	A1	20060309	US 2005-527863	20050316
PRIORITY APPLN. INFO.:			US 2002-416364P	P 20021004
			WO 2003-US31677	W 20031001

OTHER SOURCE(S): MARPAT 140:339324
GI

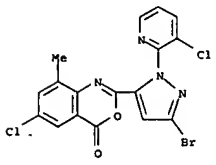
L4 ANSWER 21 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I II

AB Title compds. I [wherein R = -U-A-V-B; U, V = independently (un)substituted alkylene; A = O, S(O)m, m = 0-2; B = trisubstituted silyl; J = (un)substituted Ph, pyrazolyl, pyrrolyl, pyridinyl, pyrimidinyl; R1 = independently (cyclo)alkyl, alkenyl, alkynyl, haloalkylsulfinyl, benzyl, etc.; R2 = H, (un)substituted (cyclo)alkyl, alkynyl, alkylaminocarbonyl,etc.; R3 = H, (cyclo)alkyl, alkenyl, alkynyl, alkoxy, (di)alkylamino, etc.; n = 0-4; and N-oxides or suitable salts thereof] were prepared as insecticides for controlling invertebrate pests. For example, reaction of 3-chloro-2(1H)-pyridinone hydrazone with di-Et maleate (55%), followed by bromination with phosphorus oxybromide (95%), gave Et 3-bromo-1-(3-chloro-2-pyridinyl)-4,5-dihydro-1H-pyrazole-5-carboxylate. Oxidation of the ester (90%) and hydrolysis (91%), afforded 3-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazole-5-carboxylic acid. Reaction of the acid with methanesulfonyl chloride and 2-amino-3-methyl-5-chlorobenzoic acid (96%), followed by amidation with [1-[(trimethylsilylmethyl)thio]propan-2-yl]amine, provided II. The prepared I showed very good to excellent levels of plant protection (20% or less feeding damage) against diamondback moth and fall armyworm. This invention also pertains to a composition comprising at least one compound I and at least one addnl. component selected from the group consisting of a surfactant, a solid diluent and a liquid diluent.
IT 500011-87-0P, 2-[3-Bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-6-chloro-8-methyl-4H-3,1-benzoxazin-4-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of anthranilamide deriva. for controlling invertebrate pests)
RN 500011-87-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-6-chloro-8-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:101149 CAPLUS
DOCUMENT NUMBER: 140:146150
TITLE: Method for preparing fused oxazinones by cyclocondensation of ortho-amino aromatic carboxylic acids with carboxylic acids
INVENTOR(S): Taylor, Eric Deguyon
PATENT ASSIGNEE(S): E.I. Du Pont de Nemours and Company, USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004011447	A2	20040205	WO 2003-US23821	20030729
WO 2004011447	A3	20040318		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003257028	A1	20040216	AU 2003-257028	20030729
EP 1549643	A2	20050706	EP 2003-772097	20030729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013341	A	20050712	BR 2003-13341	20030729
CN 1671703	A	20050921	CN 2003-818202	20030729
JP 2006501203	T	20060112	JP 2004-524204	20030729
US 2005215785	A1	20050929	US 2004-518324	20041215
PRIORITY APPLN. INFO.:			US 2002-400352P	P 20020731
			US 2003-446438P	P 20030211
			WO 2003-US23821	W 20030729

OTHER SOURCE(S): MARPAT 140:146150
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

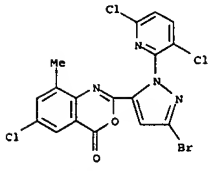
AB A method for preparing a fused oxazinone [I; J = an optionally substituted carbon moiety; K together with the two contiguous liking carbon atoms = each (un)substituted a fused Ph ring or a fused 5- or 6-membered heteroarom. ring] is disclosed in which (1) a carboxylic acid of formula J-CO2H is contacted with a sulfonyl chloride of formula LS(O)2Cl [L= each (un)substituted alkyl, haloalkyl, or Ph] in the presence of an optionally substituted pyridine compound, the nominal mole ratio of sulfonyl chloride

L4 ANSWER 22 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
to carboxylic acid being from about 0.75 to 1.5; (2) the mixt. prepd. in (1) is contacted with an ortho-amino arom. carboxylic acid in the presence of an optionally substituted pyridine compd., the nominal mole ratio of the ortho-amino arom. carboxylic acid to carboxylic acid (II; K = same as above) charged in (1) being from about 0.8 to 1.2; and (3) addnl. sulfonyl chloride is added to the mixt. prepd. in (2), the nominal mole ratio of addnl. sulfonyl chloride added in (3) to carboxylic acid charged in (1) being at least about 0.5. More specifically disclosed is a method for prepg. a compd. of formula (III) [X = N, CR6; Y = N, CH; R1 = H, R2 = H, Me; R3 = C1-6 alkyl; R4 = C1-4 alkyl,halo; R5 = H, C1-4 alkyl, C1-4 haloalkyl, halo; R6, R7 = H, C1-4 alkyl, C1-4 haloalkyl, halo, cyano, C1-4 haloalkyl; R8 = H, C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, C3-6 cycloalkyl, C1-4 haloalkyl, C2-4 haloalkenyl, C2-4 haloalkynyl, C3-6 halocycloalkyl, halogen, cyano, NO2, C1-4 alkoxy, C1-4 haloalkoxy, C1-4 alkylthio, C1-4 alkylsulfinyl, C1-4 alkylsulfonyl, C1-4 alkylamino, C2-8 dialkylamino, C3-6 cycloalkylamino, (C1-4 alkyl)(C3-6 cycloalkyl)amino, etc.; R9 = CF3, OCF3, OCHF2, OCH2CF3, S(O)pCF3, S(O)pCHF2, halo; p = 0-2] using a compd. of formula (IV; R1 -R5 = same as above; R7-R9 = same as above; X, Y = same as above) that is characterized by prepg. the fused oxazinone IV by the method above, using a compd. of the formula LS(O)2Cl as the sulfonyl chloride, a compd. of formula (V) (R7-R9 = same as above) as the carboxylic acid, and a compd. of formula (VI) (R4, R5 = same as above) as the ortho-amino arom. carboxylic acid.

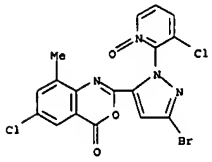
IT 500011-83-6P, 6-Chloro-2-[3-chloro-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-8-methyl-4H-3,1-benzoxazin-4-one 500011-87-0P, 2-[3-Bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-6-chloro-8-methyl-4H-3,1-benzoxazin-4-one 652980-05-7P, 2-[3-Bromo-1-(3,4-dichloro-2-pyridinyl)-1H-pyrazol-5-yl]-6-chloro-8-methyl-4H-3,1-benzoxazin-4-one 652980-06-8P, 2-[3-Bromo-1-(3,6-dichloro-2-pyridinyl)-1H-pyrazol-5-yl]-6-chloro-8-methyl-4H-3,1-benzoxazin-4-one 652980-09-1P, 2-[3-Bromo-1-(3-chloro-1-oxido-2-pyridinyl)-1H-pyrazol-5-yl]-6-chloro-8-methyl-4H-3,1-benzoxazin-4-one
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of fused oxazinones by cyclocondensation of ortho-amino aromatic carboxylic acids with carboxylic acids)

RN 500011-83-6 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-[3-chloro-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)

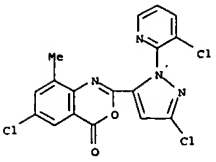
L4 ANSWER 22 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



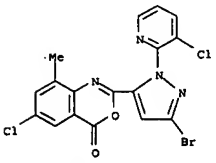
RN 652980-09-1 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-bromo-1-(3-chloro-1-oxido-2-pyridinyl)-1H-pyrazol-5-yl]-6-chloro-8-methyl- (9CI) (CA INDEX NAME)



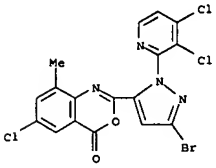
L4 ANSWER 22 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 500011-87-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-6-chloro-8-methyl- (9CI) (CA INDEX NAME)



RN 652980-05-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-bromo-1-(3,4-dichloro-2-pyridinyl)-1H-pyrazol-5-yl]-6-chloro-8-methyl- (9CI) (CA INDEX NAME)



RN 652980-06-8 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-bromo-1-(3,6-dichloro-2-pyridinyl)-1H-pyrazol-5-yl]-6-chloro-8-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN

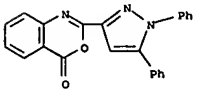
ACCESSION NUMBER: 2003:412763 CAPLUS
DOCUMENT NUMBER: 139:197419
TITLE: Reactions of some (arylhydrazono)furanones with amino acids and malononitrile
AUTHOR(S): El-Kousy, Salah M.; Hashem, Ahmed I.; El-Torgoman, Abdel Moneim; Salama, Gamal M.
CORPORATE SOURCE: Faculty of Science, Minufiya University, Cairo, Egypt
SOURCE: Afinidad (2003), 60(503), 61-64
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:197419
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Reaction of (arylhydrazono)furanones I (R = H, Cl; R1 = H, Me, Cl, OMe) with glycine in AcOH gave (pyrazolylcarbonyl)glycines II (same R, R1).
II were converted to 4-arylidene-2-(1,5-diarylpyrazol-3-yl)-2-oxazolin-5-ones III by reaction with benzaldehyde in acetic anhydride. I were rearranged with anthranilic acid in the presence of acetic acid to afford N-(1,5-diarylpyrazol-3-ylcarbonyl)anthranilic acids. These anthranilic acids could be cyclized with acetic anhydride to give pyrazolylbenzoxazinones (IV). Malononitrile in dioxane containing sodium metal rearranged I to (pyrazolylcarbonyl)malononitriles. Et cyanoacetate did not react with I but the basic medium of the reaction converted I to pyrazolcarboxylic acids.

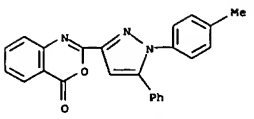
IT 583825-78-9P 583825-79-0P 583825-80-3P 583825-81-4P 583825-82-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(pyrazole derivs. via reaction of (arylhydrazono)furanones with amino acids, malononitrile, and Et cyanoacetate)

RN 583825-78-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(1,5-diphenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

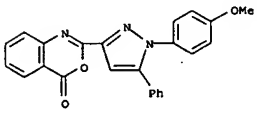


RN 583825-79-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[1-(4-methylphenyl)-5-phenyl-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

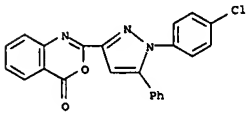
L4 ANSWER 23 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 583825-80-3 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[1-(4-methoxyphenyl)-5-phenyl-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

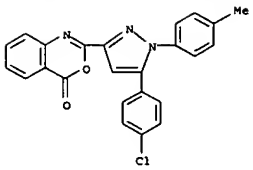


RN 583825-81-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[1-(4-chlorophenyl)-5-phenyl-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 583825-82-5 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[5-(4-chlorophenyl)-1-(4-methylphenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

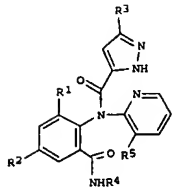
L4 ANSWER 24 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:261833 CAPLUS
DOCUMENT NUMBER: 138:287669
TITLE: Preparation of pyrazolylcarbonyl pyridinyl anthranilamides as arthropodicides
INVENTOR(S): Zimmerman, William Thomas
PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003027099	A1	20030403	WO 2002-US28274	20020906
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1438305	A1	20040721	EP 2002-799567	20020906
EP 1438305	B1	20060823		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012695	A	20041019	BR 2002-12695	20020906
CN 1556806	A	20041222	CN 2002-818570	20020906
JP 2005505576	T	20050224	JP 2003-530687	20020906
US 2004186141	A1	20040923	US 2004-485093	20040126
US 7179824	B2	20070220		
IN 2004MN00089	A	20050429	IN 2004-MN89	20040205
PRIORITY APPLN. INFO.:			US 2001-324011P	P 20010921
			WO 2002-US28274	W 20020906

OTHER SOURCE(S): MARPAT 138:287669
GI

L4 ANSWER 24 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



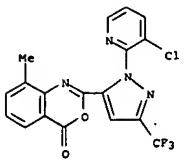
I

AB Title compds. [I; R1, R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, haloalkyl, haloalkenyl, haloalkynyl, halo, cyano, alkoxy, haloalkoxy, alkylthio, alkylsulfonyl, trialkylsilyl, etc.; R3 = H, alkyl, haloalkyl, halo, cyano, NO2, alkoxy, haloalkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, haloalkylthio, alkoxycarbonyl, etc.; R4 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R5 = H, alkyl, alkenyl, alkynyl, cycloalkyl, haloalkyl, haloalkenyl, haloalkynyl, halocycloalkyl, halo, cyano, CO2H, CONH2, NO2, OH, alkoxy, haloalkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, alkylcarbonyl, alkoxycarbonyl, trialkylsilyl, etc.], were prepared Thus, 1-(3-chloro-2-pyridinyl)-3-trifluoromethyl-1H-pyrazole-5-carboxylic acid (preparation given) was stirred with (COCl)2 and cat. DMF in CH2Cl2 to give crude acid chloride, which was refluxed 3 h with 8-methyl-2H-3,1-benzoxazine-2,4(1H)-dione (preparation given) and pyridine in MeCN to give 2-[1-(3-chloro-2-pyridinyl)-3-trifluoromethyl-1H-pyrazol-5-yl]-8-methyl-4H-3,1-benzoxazin-4-one. The latter was refluxed 1.5 h with Me2CHNH2 to give 1-(3-chloro-2-pyridinyl)-N-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]-3-trifluoromethyl-1H-pyrazole-5-carboxamide. This was stirred overnight with DBU in MeCN to give N-(3-chloro-2-pyridinyl)-N-[2-methyl-6-[[(1-methylethyl)amino]carbonyl]phenyl]-5-trifluoromethyl-1H-pyrazole-3-carboxamide. The latter at 250 ppm on radishes preinfested with Plutella xylostella gave ≤10% feeding damage.

IT 500011-82-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolylcarbonyl pyridinyl anthranilamides as arthropodicides)

RN 500011-82-5 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

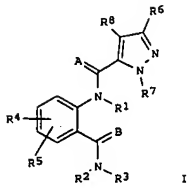
L4 ANSWER 25 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:242097 CAPLUS
DOCUMENT NUMBER: 138:267201
TITLE: Pesticidal compositions for coating plant propagation material containing anthranilamides
INVENTOR(S): Berger, Richard Alan; Plexner, John Lindsey
PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA
SOURCE: PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024222	A1	20030327	WO 2002-US30302	20020910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2458163	A1	20030327	CA 2002-2458163	20020910
EP 1427285	A1	20040616	EP 2002-775972	20020910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012993	A	20040817	BR 2002-12993	20020910
JP 2005502716	T	20050127	JP 2003-528126	20020910
JP 3770495	B2	20060426		
HU 200401893	A2	20050128	HU 2004-1893	20020910
NZ 532269	A	20051028	NZ 2002-532269	20020910
CN 1713819	A	20051228	CN 2002-818578	20020910
RU 2292138	C2	20070127	RU 2004-111986	20020910
ZA 2004000413	A	20050120	ZA 2004-413	20040120
US 2004209923	A1	20041021	US 2004-485125	20040126
IN 2005MN00443	A	20050930	IN 2005-MN443	20050517
PRIORITY APPLN. INFO.:			US 2001-323941P P	20010921
			WO 2002-US30302 W	20020910

OTHER SOURCE(S): MARPAT 138:267201
GI

L4 ANSWER 25 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



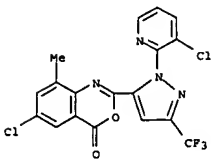
I

AB An invertebrate pest control composition for coating a propagule comprises (1) a biol. effective amount of an anthranilamide compds. I (Markush included), an N-oxide thereof or an agriculturally suitable salt thereof, and (2) a film former or adhesive agent. Arthropodicidal composition containing anthranilamide compds. I may further comprise addnl. biol. active compds. selected from arthropodicides of the group consisting of pyrethroids, carbamates, neonicotinoids, neuronal sodium channel blockers, insecticidal macrocyclic lactones, γ-aminobutyric acid (GABA) antagonists, insecticidal ureas, and juvenile hormone mimics, and fungicides. The propagule is a seed of cotton, maize, soybean, rice, etc., or a rhizome, tuber, bulb or corm, or viable division thereof, of potato, sweet potato, garden onion, tulip, daffodil, crocus hyacinth, etc., or is a stem or leaf cutting.

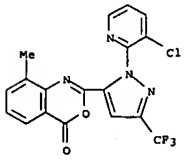
IT 438450-40-9P, 6-Chloro-2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl-4H-3,1-benzoxazin-4-one 500011-82-5P 500011-83-6P 500011-87-0P 500011-98-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of anthranilamide compds. as pesticides for plant propagation material)

RN 438450-40-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)

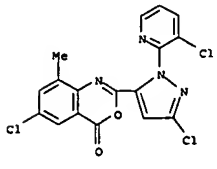
L4 ANSWER 25 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 500011-82-5 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)

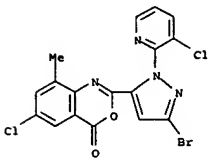


RN 500011-83-6 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-[3-chloro-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)

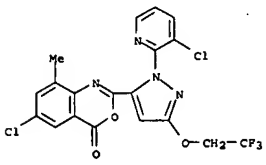


RN 500011-87-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-6-chloro-8-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 25 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 500011-98-3 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-[1-(3-chloro-2-pyridinyl)-3-(2,2,2-trifluoroethoxy)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

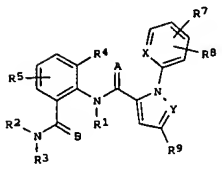
FORMAT

L4 ANSWER 26 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:154408 CAPLUS
DOCUMENT NUMBER: 138:205054
TITLE: Preparation of substituted anthranilamides for controlling invertebrate pests
INVENTOR(S): Finkelstein, Bruce Lawrence; Lahm, George Philip; McCann, Stephen Frederick; Song, Ying; Stevenson, Thomas Martin
PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016284	A1	20030227	WO 2002-US26960	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1417176	A1	20040512	EP 2002-761486	20020813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012183	A	20040824	BR 2002-12183	20020813
JP 2005503384	T	20050203	JP 2003-521210	20020813
CN 1653051	A	20050810	CN 2002-816050	20020813
IN 2004MN00027	A	20050429	IN 2004-MN27	20040112
US 2005282868	A1	20051222	US 2004-486312	20040722
PRIORITY APPLN. INFO.:			US 2001-312680P	P 20010816
			WO 2002-US26960	W 20020813

OTHER SOURCE(S): MARPAT 138:205054
GI

L4 ANSWER 26 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

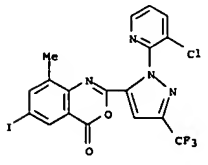


I

AB The title compds. [I; A, B = O, S; X = N, CR10; Y = N, CH; R1 = H, alkyl, cycloalkyl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.; R3 = H, alkyl, alkenyl, etc.; NR2R3 = (un)substituted ring optionally containing addnl. heteroatom; R4 = alkyl, haloalkyl, CN, etc.; R5, R8 = H, alkyl, haloalkyl, etc.; R7 = H, alkyl, haloalkyl, etc.; R9 = CF3, OCF3, OCHF2, etc.; R10 = H, alkyl, haloalkyl, etc.], useful for controlling an invertebrate pest, were prepared E.g., a 3-step synthesis of I [A, B = O; X = CH; Y = N; R1 = H; R2 = iso-Pr; R3 = H; R4 = Me; R5 = H; R7 = 2-(CH2OH); R8 = H; R9 = CF3], starting from 1-[2-(methoxycarbonyl)phenyl]-3-trifluoromethyl-1H-pyrazole-5-carboxylic acid and 2-amino-3-methylbenzoic acid, which provided excellent levels of plant protection (20% or less damage) in biol. tests, was given.

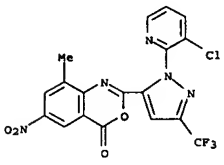
IT 500028-90-0P 500028-92-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted anthranilamides for controlling invertebrate pests)

RN 500028-90-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-6-iodo-8-methyl- (9CI) (CA INDEX NAME)



RN 500028-92-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl-6-nitro- (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

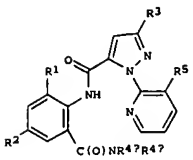
L4 ANSWER 27 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:154155 CAPLUS
DOCUMENT NUMBER: 138:200332
TITLE: Arthropodicidal anthranilamides
INVENTOR(S): Lahm, George Philip; Selby, Thomas Paul; Stevenson, Thomas Martin
PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003015519	A1	20030227	WO 2002-US25615	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EG 23419	A	20050704	EG 2002-893	20020810
TW 225774	B	20050101	TW 2002-91118100	20020812
CA 2454485	A1	20030227	CA 2002-2454485	20020813
EP 1416797	A1	20040512	EP 2002-752811	20020813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012023	A	20040803	BR 2002-12023	20020813
JP 2004538328	T	20041224	JP 2003-520290	20020813
JP 3729825	B2	20051221		
NZ 530443	A	20050729	NZ 2002-530443	20020813
ZA 2004000033	A	20050803	ZA 2004-33	20020813
ZA 2004000034	A	20050803	ZA 2004-34	20020813
CN 1678192	A	20051005	CN 2002-815924	20020813
RU 2283840	C2	20060920	RU 2004-107505	20020813
HU 200600675	A2	20070129	HU 2006-675	20020813
ZA 2003009911	A	20050311	ZA 2003-9911	20031222
US 2004198984	A1	20041007	US 2004-483168	20040107
JP 2005041880	A	20050217	JP 2004-258923	20040906

PRIORITY APPLN. INFO.:	US 2001-311919P	P	20010813
	US 2001-324128P	P	20010921
	US 2002-369661P	P	20020402
	JP 2003-520290	A3	20020813
	WO 2002-US25615	W	20020813

OTHER SOURCE(S): MARPAT 138:200332

L4 ANSWER 27 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
GI

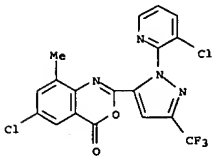


I

AB Anthranilamides I (Markush included), their N-oxides and agriculturally suitable salts are prepared as arthropodicides for controlling invertebrate pests. Arthropodicidal compns. containing anthranilamides I may further include addnl. biol. active compds. or agents selected from arthropodicides of the group consisting of pyrethroids, carbamates, neonicotinoids, neuronal sodium channel blockers, insecticidal macrocyclic lactones, γ-aminobutyric acid (GABA) antagonists, insecticidal ureas, and juvenile hormone mimics, Bacillus thuringiensis sp. aizawai, B. thuringiensis sp. kurstaki, B. thuringiensis delta endotoxin, baculoviruses, and entomopathogenic bacteria, viruses and fungi.

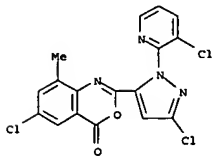
IT 438450-40-9P, 6-Chloro-2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl-4H-3,1-benzoxazin-4-one 500011-83-6P 500011-87-0P 500011-98-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arthropodicidal anthranilamide)

RN 438450-40-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)

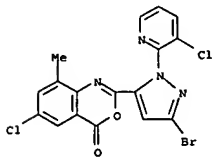


RN 500011-83-6 CAPLUS

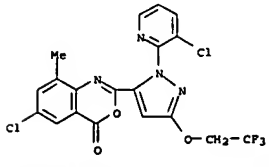
L4 ANSWER 27 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-[3-chloro-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)



RN 500011-87-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-6-chloro-8-methyl- (9CI) (CA INDEX NAME)



RN 500011-98-3 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-[1-(3-chloro-2-pyridinyl)-3-(2,2,2-trifluoroethoxy)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:154154 CAPLUS
DOCUMENT NUMBER: 138:200331
TITLE: Method for controlling particular insect pests by applying anthranilamide compounds
INVENTOR(S): Lahm, George Philip; McCann, Stephen Frederick; Patel,
Kanu Maganbhai; Selby, Thomas Paul; Stevenson, Thomas Martin
PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

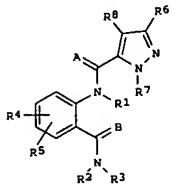
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003015518	A1	20030227	WO 2002-US25613	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2454302	A1	20030227	CA 2002-2454302	20020813
EP 1416796	A1	20040512	EP 2002-752809	20020813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
HU 200401043	A2	20040928	HU 2004-1043	20020813
BR 2002012187	A	20041005	BR 2002-12187	20020813
CN 1541063	A	20041027	CN 2002-815930	20020813
JP 2004538327	T	20041224	JP 2003-520289	20020813
JP 3689817	B2	20050831		
ZA 2004000033	A	20050803	ZA 2004-33	20020813
ZA 2004000034	A	20050803	ZA 2004-34	20020813
RU 2262231	C1	20051020	RU 2004-107513	20020813
NZ 530442	A	20060728	NZ 2002-530442	20020813
ZA 2003009911	A	20050311	ZA 2003-9911	20031222
US 2005075372	A1	20050407	US 2004-483115	20040107
JP 2005041880	A	20050217	JP 2004-258923	20040906

PRIORITY APPLN. INFO.:

US 2001-311919P	P	20010813
US 2001-324173P	P	20010921
US 2001-324128P	P	20010921
US 2002-369661P	P	20020402
JP 2003-520290	A3	20020813

L4 ANSWER 28 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
WO 2002-US25613 W 20020813

OTHER SOURCE(S): MARPAT 138:200331
GI



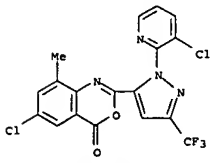
I

AB Anthranilamide compds. I (Markush included), N-oxides or an agriculturally
suitable salts thereof are prepared as insecticides for controlling lepidopteran, homopteran, hemipteran, thysanopteran and coleopteran insect
pests. Insecticidal composition containing anthranilamide compds. I may further
comprise addnl. biol. active compds. selected from arthropodicides of the group consisting of pyrethroids, carbamates, neonicotinoids, neuronal sodium channel blockers, insecticidal macrocyclic lactones, γ-aminobutyric acid (GABA) antagonists, insecticidal ureas, and juvenile hormone mimics.

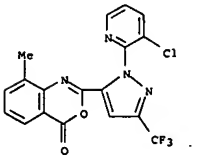
IT 438450-40-9P, 6-Chloro-2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl-4H-3,1-benzoxazin-4-one 500011-82-5P 500011-83-6P 500011-87-0P 500011-98-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of anthranilamide compds. as insecticides)

RN 438450-40-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)

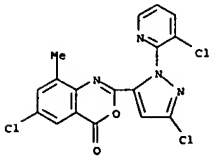
L4 ANSWER 28 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 500011-82-5 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)

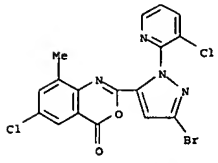


RN 500011-83-6 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-[3-chloro-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)

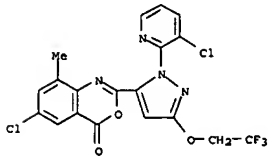


RN 500011-87-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazol-5-yl]-6-chloro-8-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 500011-98-3 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-[1-(3-chloro-2-pyridinyl)-3-(2,2,2-trifluoroethoxy)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:76617 CAPLUS
DOCUMENT NUMBER: 138:131087
TITLE: New use
INVENTOR(S): Hickson, Ian david; Hammonds, Timothy Robin
PATENT ASSIGNEE(S): Cancer Research Technology Limited, UK
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

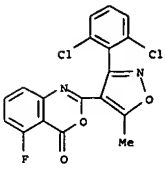
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007955	A2	20030130	WO 2002-GB3342	20020722
WO 2003007955	A3	20030501		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

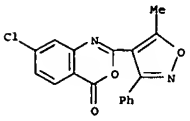
PRIORITY APPLN. INFO.: US 2001-306679P P 20010720

OTHER SOURCE(S): MARPAT 138:131087
AB The present invention provides the use of a low mol. weight mammalian AP endonuclease inhibitor for the preparation of a medicament for the treatment of cancer. Markushes included.
IT 218457-40-0 491861-59-7 491861-68-8 491861-78-0
RL: PAC (Pharmacological activity); BIOL (Biological study) (low mol. weight mammalian AP endonuclease inhibitors as antitumor agents)
RN 218457-40-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(2,6-dichlorophenyl)-5-methyl-4-isoxazolyl]-5-fluoro- (9CI) (CA INDEX NAME)

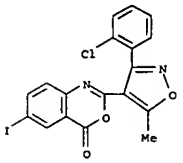
L4 ANSWER 29 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 491861-59-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 7-chloro-2-(5-methyl-3-phenyl-4-isoxazolyl)- (9CI) (CA INDEX NAME)

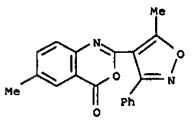


RN 491861-68-8 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(2-chlorophenyl)-5-methyl-4-isoxazolyl]-6-iodo- (9CI) (CA INDEX NAME)



RN 491861-78-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-methyl-2-(5-methyl-3-phenyl-4-isoxazolyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L4 ANSWER 30 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:22872 CAPLUS
DOCUMENT NUMBER: 138:89816
TITLE: Preparation of pyridine ring-containing benzoxazinone derivatives for treatment of viral infections
INVENTOR(S): Takahashi, Wataru; Watanabe, Naoto; Saito, Yasuyoshi
PATENT ASSIGNEE(S): Asahi Kasei Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

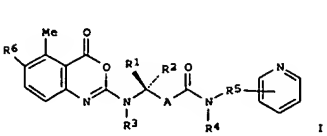
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002558	A1	20030109	WO 2002-JP5795	20020611

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1403269	A1	20040331	EP 2002-733468	20020611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004116420	A1	20040617	US 2003-480451	20031212

PRIORITY APPLN. INFO.:
JP 2001-179282 A 20010613
JP 2001-379282 A 20011212
WO 2002-JP5795 W 20020611

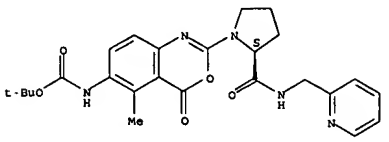
OTHER SOURCE(S): MARPAT 138:89816
GI



AB The title compds. I [R1, R2 = H, alkyl, etc.; or R1CR2 = cycloalkyl; A = (CH2)n; n = 0 or 1; R3 = H, alkyl, etc.; R4 = H, alkyl, alkenyl, etc.; R5 = alkylene; or NR4R5 = heterocyclyl; R6 = H, halo, etc.] are prepared I have excellent protease inhibitory activity. I are useful in the treatment of viral infectious diseases, in particular herpesvirus infections. Compds. of this invention in vitro showed EC90 values of 3.2 μM to > 12 μM against HSV-1.
IT 484010-49-3P 484010-50-6P 484010-51-7P

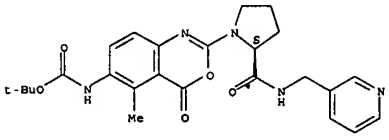
L4 ANSWER 30 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
484010-52-8P 484010-53-9P 484010-54-0P
484010-55-1P 484010-56-2P 484010-65-3P
484010-66-4P 484010-67-5P 484010-68-6P
484010-69-7P 484010-70-0P 484010-71-1P
484010-72-2P 484010-73-3P 484010-74-4P
484010-75-5P 484010-76-6P 484010-77-7P
484010-78-8P 484010-79-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridine ring-contg. benzoxazinone derivs. for treatment of viral infections)
RN 484010-49-3 CAPLUS
CN Carbamic acid, [5-methyl-4-oxo-2-[(2S)-2-[[(2-pyridinylmethyl)amino]carbonyl]-1-pyrrolidinyl]-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



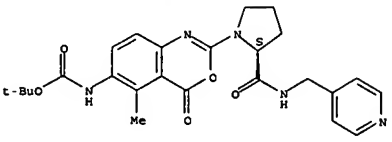
RN 484010-50-6 CAPLUS
CN Carbamic acid, [5-methyl-4-oxo-2-[(2S)-2-[[(3-pyridinylmethyl)amino]carbonyl]-1-pyrrolidinyl]-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



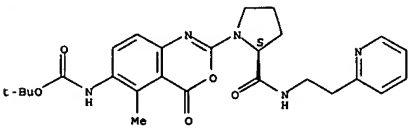
RN 484010-51-7 CAPLUS
CN Carbamic acid, [5-methyl-4-oxo-2-[(2S)-2-[[(4-pyridinylmethyl)amino]carbonyl]-1-pyrrolidinyl]-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 30 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry.



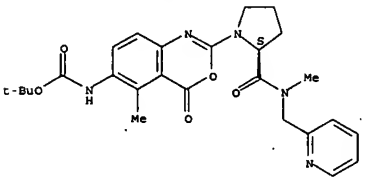
RN 484010-52-8 CAPLUS
CN Carbamic acid, [5-methyl-4-oxo-2-[(2S)-2-[[[2-(2-pyridinyl)ethyl]amino]carbonyl]-1-pyrrolidinyl]-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



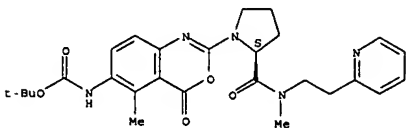
RN 484010-53-9 CAPLUS
CN Carbamic acid, [5-methyl-2-[(2S)-2-[[methyl(2-pyridinylmethyl)amino]carbonyl]-1-pyrrolidinyl]-4-oxo-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



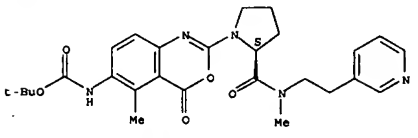
L4 ANSWER 30 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 484010-54-0 CAPLUS
CN Carbamic acid, [5-methyl-2-[(2S)-2-[[methyl[2-(2-pyridinyl)ethyl]amino]carbonyl]-1-pyrrolidinyl]-4-oxo-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



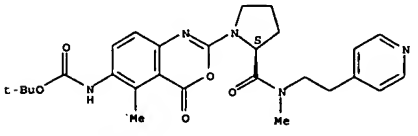
RN 484010-55-1 CAPLUS
CN Carbamic acid, [5-methyl-2-[(2S)-2-[[methyl[2-(3-pyridinyl)ethyl]amino]carbonyl]-1-pyrrolidinyl]-4-oxo-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 484010-56-2 CAPLUS
CN Carbamic acid, [5-methyl-2-[(2S)-2-[[methyl[2-(4-pyridinyl)ethyl]amino]carbonyl]-1-pyrrolidinyl]-4-oxo-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

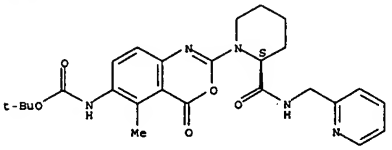
Absolute stereochemistry.



RN 484010-65-3 CAPLUS
CN Carbamic acid, [5-methyl-4-oxo-2-[(2S)-2-[[(2-

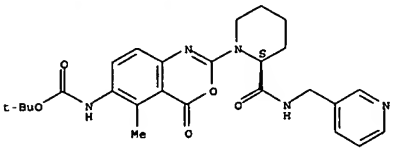
L4 ANSWER 30 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
pyridinylmethyl)amino]carbonyl]-1-piperidinyl]-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



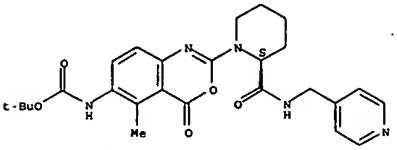
RN 484010-66-4 CAPLUS
CN Carbamic acid, [5-methyl-4-oxo-2-[(2S)-2-[[(3-pyridinylmethyl)amino]carbonyl]-1-piperidinyl]-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 484010-67-5 CAPLUS
CN Carbamic acid, [5-methyl-4-oxo-2-[(2S)-2-[[(4-pyridinylmethyl)amino]carbonyl]-1-piperidinyl]-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

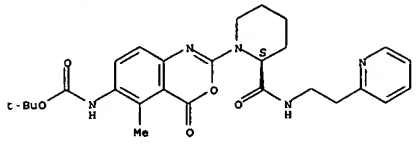
Absolute stereochemistry.



RN 484010-68-6 CAPLUS
CN Carbamic acid, [5-methyl-4-oxo-2-[(2S)-2-[[[2-(2-pyridinyl)ethyl]amino]carbonyl]-1-piperidinyl]-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

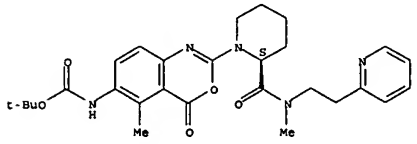
L4 ANSWER 30 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



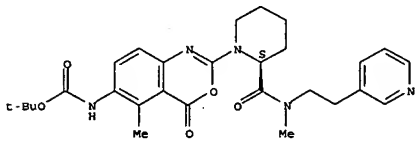
RN 484010-69-7 CAPLUS
CN Carbamic acid, [5-methyl-2-[(2S)-2-[[methyl[2-(2-pyridinyl)ethyl]amino]carbonyl]-1-piperidinyl]-4-oxo-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 484010-70-0 CAPLUS
CN Carbamic acid, [5-methyl-2-[(2S)-2-[[methyl[2-(3-pyridinyl)ethyl]amino]carbonyl]-1-piperidinyl]-4-oxo-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

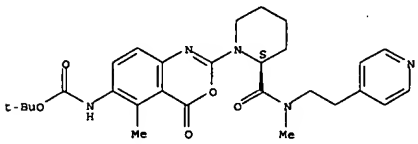
Absolute stereochemistry.



RN 484010-71-1 CAPLUS
CN Carbamic acid, [5-methyl-2-[(2S)-2-[[methyl[2-(4-

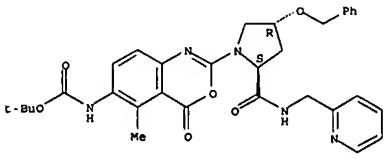
L4 ANSWER 30 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
pyridinyl)ethyl]amino]carbonyl]-1-piperidinyl]-4-oxo-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 484010-72-2 CAPLUS
CN Carbamic acid, [5-methyl-4-oxo-2-[(2S,4R)-4-(phenylmethoxy)-2-[[(2-pyridinylmethyl)amino]carbonyl]-1-pyrrolidinyl]-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

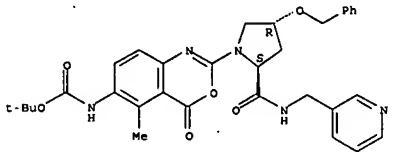
Absolute stereochemistry.



RN 484010-73-3 CAPLUS
CN Carbamic acid, [5-methyl-4-oxo-2-[(2S,4R)-4-(phenylmethoxy)-2-[[(3-pyridinylmethyl)amino]carbonyl]-1-pyrrolidinyl]-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

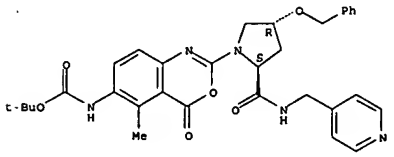
Absolute stereochemistry.

L4 ANSWER 30 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



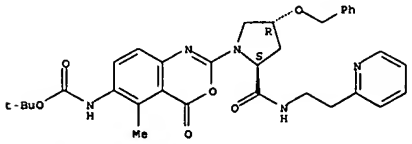
RN 484010-74-4 CAPLUS
CN Carbamic acid, [5-methyl-4-oxo-2-[(2S,4R)-4-(phenylmethoxy)-2-[[(4-pyridinylmethyl)amino]carbonyl]-1-pyrrolidinyl]-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 484010-75-5 CAPLUS
CN Carbamic acid, [5-methyl-4-oxo-2-[(2S,4R)-4-(phenylmethoxy)-2-[[[2-(2-pyridinyl)ethyl]amino]carbonyl]-1-pyrrolidinyl]-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

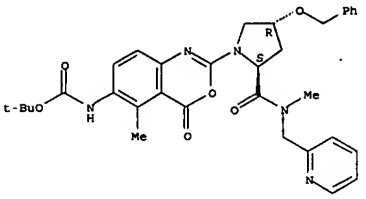
Absolute stereochemistry.



RN 484010-76-6 CAPLUS
CN Carbamic acid, [5-methyl-2-[(2S,4R)-2-[[methyl[2-

pyridinylmethyl]amino]carbonyl]-4-(phenylmethoxy)-1-pyrrolidinyl]-4-oxo-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

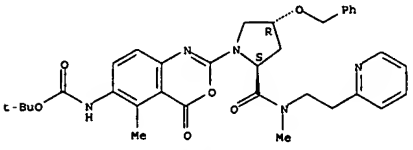
L4 ANSWER 30 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry.



RN 484010-77-7 CAPLUS
CN Carbamic acid, [5-methyl-2-[(2S,4R)-2-[[methyl[2-(2-

pyridinyl)ethyl]amino]carbonyl]-4-(phenylmethoxy)-1-pyrrolidinyl]-4-oxo-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

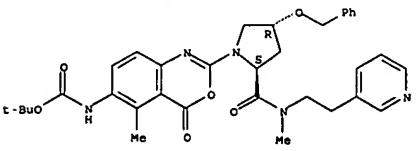
Absolute stereochemistry.



RN 484010-78-8 CAPLUS
CN Carbamic acid, [5-methyl-2-[(2S,4R)-2-[[methyl[2-(3-

pyridinyl)ethyl]amino]carbonyl]-4-(phenylmethoxy)-1-pyrrolidinyl]-4-oxo-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

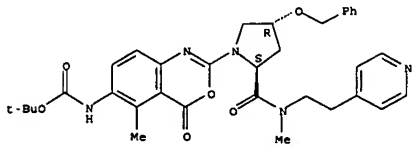
Absolute stereochemistry.



RN 484010-79-9 CAPLUS

L4 ANSWER 30 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN Carbamic acid, [5-methyl-2-[(2S,4R)-2-[[methyl[2-(4-pyridinyl)ethyl]amino]carbonyl]-4-(phenylmethoxy)-1-pyrrolidinyl]-4-oxo-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

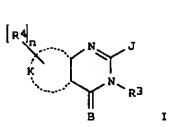


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

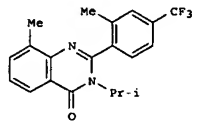
L4 ANSWER 31 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:465981 CAPLUS
DOCUMENT NUMBER: 137:47212
TITLE: Preparation of quinazolinones and pyridopyrimidinones for controlling invertebrate pests
INVENTOR(S): Annis, Gary David; Myers, Brian James; Selby, Thomas Paul; Stevenson, Thomas Martin; Zimmerman, William Thomas
PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA
SOURCE: PCT Int. Appl., 180 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048115	A2	20020620	WO 2001-US46629	20011203
WO 2002048115	A3	20020906		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002027243	A5	20020624	AU 2002-27243	20011203
EP 1341772	A2	20030910	EP 2001-996125	20011203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004515543	T	20040527	JP 2002-549646	20011203
US 2004110777	A1	20040610	US 2003-433368	20031014
PRIORITY APPLN. INFO.:			US 2000-254614P	P 20001211
			WO 2001-US46629	W 20011203

OTHER SOURCE(S): MARPAT 137:47212
GI



I



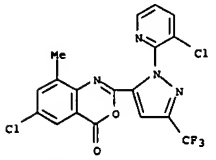
II

L4 ANSWER 31 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB The title compds. [I; B = O, S; J = (un)substituted Ph, naphthyl, 5-6 membered heteroarom. ring, etc.; K, together with the two contiguous liking carbon atoms = a fused Ph, or fused pyridinyl, each optionally substituted with 1-4 R4; R3 = O, alkyl, cycloalkyl, etc.; G = (un)substituted Ph, 5-6 membered heteroarom. ring, etc.; R4 = H, alkyl, haloalkyl, etc.; n = 1-4], useful for controlling invertebrate pests, were prepared E.g. a multi-step synthesis of II which provided very good level of plant protection (20% or less feeding damage) in in test on diamondback moth (Plutella xylostella)/radish plant, was given. This invention also pertains to certain compds. I and compns. for controlling invertebrate pests comprising a biol. effective amount of a compound I and at least one addnl. component selected from the group consisting of surfactants, solid diluents and liquid diluents.

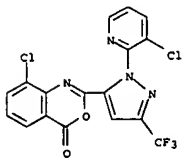
IT 438450-40-9P, 6-Chloro-2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl-4H-3,1-benzoxazin-4-one
438450-42-1P, 8-Chloro-2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-4H-3,1-benzoxazin-4-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolinones and pyridopyrimidinones for controlling invertebrate pests)

RN 438450-40-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-8-methyl- (9CI) (CA INDEX NAME)



RN 438450-42-1 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 8-chloro-2-[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

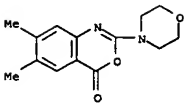


L4 ANSWER 32 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:435924 CAPLUS
DOCUMENT NUMBER: 137:306478
TITLE: Inhibition of cathepsin G by 2-amino-3,1-benzoxazin-4-ones: kinetic investigations and docking studies
AUTHOR(S): Gtschow, Michael; Kuerschner, Lars; Pietsch, Markus; Ambroak, Agnieszka; Neumann, Ulf; Gnther, Robert; Hofmann, Hans-Jrg
CORPORATE SOURCE: University of Bonn, Pharmaceutical Institute, Poppelsdorf, Bonn, D-53115, Germany
SOURCE: Archives of Biochemistry and Biophysics (2002), 402(2), 180-191
CODEN: ABBIA4; ISSN: 0003-9861
PUBLISHER: Elsevier Science
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:306478

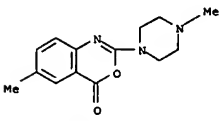
AB A series of benzoxazinones was used to investigate the interaction of human cathepsin G with acyl-enzyme inhibitors. With respect to the primary specificity of cathepsin G, inhibitors with hydrophobic or basic residues at position 2 were included in the study. Parameters of the enzyme acylation and deacylation were determined by slow-binding kinetics in the presence of a chromogenic substrate. For selected inhibitors, the time course of the enzyme-catalyzed conversion of the inhibitors was followed. This approach was suitable to elucidate a rate-determining deacylation step. Docking simulations of the noncovalent enzyme-inhibitor complexes were performed and several clusters were analyzed for each inhibitor. The amino acids of the active site that participate in the binding of the inhibitors were determined The arrangements in several clusters of an inhibitor were not uniform with respect to the orientation by which the inhibitor was bound in the S1 pocket. Docking of the basic piperazino derivs. 6 and 10 indicated an interaction with Glu 226 at the bottom of the S1 specificity pocket. The (N-methyl)benzylamino derivative 1 showed the strongest acylation rate (kon=1200 M-1 s-1), which was attributed to a high extent of pseudo-productive orientations of the noncovalent preassocn. complex.

IT 233684-07-6
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(mol. modeling reveals uniform feature for participation of amino acids of active site of cathepsin G in binding 2-amino-3,1-benzoxazin-4-one analog inhibitors)
RN 233684-07-6 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6,7-dimethyl-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

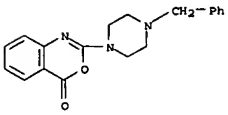
L4 ANSWER 32 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



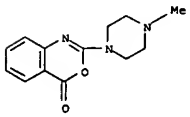
IT 471246-74-9P
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(mol. modeling reveals uniform feature for participation of amino acids of active site of cathepsin G in binding 2-amino-3,1-benzoxazin-4-one analog inhibitors)
RN 471246-74-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-methyl-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



IT 471246-73-8P 471246-75-0P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(mol. modeling reveals uniform feature for participation of amino acids of active site of cathepsin G in binding 2-amino-3,1-benzoxazin-4-one analog inhibitors)
RN 471246-73-8 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 32 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 471246-75-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

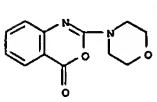


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:314439 CAPLUS
DOCUMENT NUMBER: 135:146775
TITLE: Inhibition of human chymase by 2-amino-3,1-benzoxazin-4-ones
AUTHOR(S): Neumann, U.; Schechter, N. M.; Gutschow, M.
CORPORATE SOURCE: Novartis Pharma AG, Basel, CH-4002, Switz.
SOURCE: Bioorganic & Medicinal Chemistry (2001), 9(4), 947-954
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

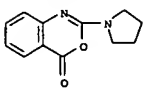
AB A series of 2-s.amino-4H-3,1-benzoxazin-4-ones was evaluated as acyl-enzyme inhibitors of human recombinant chymase. The compds. were also assayed for inhibition of human cathepsin G, bovine chymotrypsin, and human leukocyte elastase. Introduction of an aromatic moiety into the 2-substituent resulted in strong inhibition of chymase, cathepsin G, and chymotrypsin. Extension of the N(Me)CH2Ph substituent by one methylene unit was unfavorable to inhibit these proteases. Towards chymase, 2-(N-benzyl-N-methylamino)-4H-3,1-benzoxazin-4-one and 2-(N-benzyl-N-methylamino)-6-methyl-4H-3,1-benzoxazin-4-one (I) were found to exhibit Ki values of 11 and 17 nM, resp., and form stable acyl-enzymes with half-lives of 53 and 25 min, resp. Benzoxazinone I also inhibited the human chymase-catalyzed formation of angiotensin II from angiotensin I. A series of 2-s.amino-4H-3,1-benzoxazin-4-ones was evaluated as acyl-enzyme inhibitors of human chymase. The inhibition of the chymase-catalyzed formation of angiotensin II from angiotensin I by a selected benzoxazinone was shown.

IT 23494-28-2 123102-14-7 233684-07-6 233684-08-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibition of human chymase by 2-aminobenzoxazinones in relation to effect on other proteases and structure and angiotensin II formation)
RN 23494-28-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

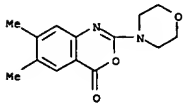


RN 123102-14-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

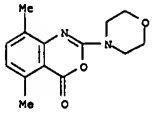
L4 ANSWER 33 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 233684-07-6 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6,7-dimethyl-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

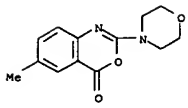


RN 233684-08-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 5,8-dimethyl-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



IT 352662-93-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(inhibition of human chymase by 2-aminobenzoxazinones in relation to effect on other proteases and structure and angiotensin II formation)
RN 352662-93-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-methyl-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 33 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



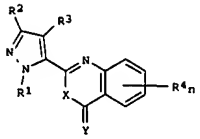
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 34 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:56882 CAPLUS
DOCUMENT NUMBER: 134:96632
TITLE: Pyrazolylbenzoxazines or -benzothiazines and agrochemical microbicides containing them
INVENTOR(S): Niki, Toshio; Watanabe, Junichi; Hayazaka, Fumio; Suzuki, Hiroyuki; Yamakishi, Kazuhiro
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001019691	A	20010123	JP 1999-194734	19990708
PRIORITY APPLN. INFO.:			JP 1999-194734	19990708

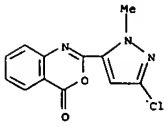
OTHER SOURCE(S): MARPAT 134:96632
GI



I

AB Agrochem. microbicides, especially useful for control of Pyricularia oryzae and wheat diseases, contain title compds. I [R1 = H, C1-6 alkyl, (un)substituted Ph; R2, R3 = H, halo, C1-6 alkyl; R4 = H, halo, cyano, nitro, C1-6 alkyl(carbonyl), alkoxy(carbonyl), haloalkyl, OH, CO2H, (un)substituted phenyl(oxy); X, Y = O, S; n = 0-4]. 2-(3-Chloro-1-methylpyrazol-5-ylcarbonylamino)benzoic acid (1.6 g) was heated in Ac2O under reflux for 2 h to give 1.07 g I (R1 = Me, R2 = Cl, R3 = H, X = Y = O, n = 0), which was applied to rice at 10 ppm to show 99% control of P. oryzae.
IT 319915-22-5P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolylbenzoxazines or -benzothiazines as agrochem. microbicides)
RN 319915-22-5 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3-chloro-1-methyl-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)

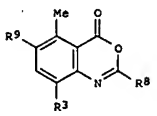
L4 ANSWER 34 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L4 ANSWER 35 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:50484 CAPLUS
DOCUMENT NUMBER: 134:100878
TITLE: Preparation of 2-aminobenzoxazinones for treatment of Herpes simplex virus infection.
INVENTOR(S): Kawanishi, Masashi; Takahashi, Wataru
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Asahi Chemical Industry Co., Ltd.
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001003697	A1	20010118	WO 2000-US18817	20000711
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2378014	A1	20010118	CA 2000-2378014	20000711
EP 1210088	A1	20020605	EP 2000-948615	20000711
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000012380	A	20020827	BR 2000-12380	20000711
JP 2003504334	T	20030204	JP 2001-508977	20000711
AU 774370	B2	20040624	AU 2000-62089	20000711
ZA 2002000311	A	20030114	ZA 2002-311	20020114
US 6806269	B1	20041019	US 2002-30414	20020524
AU 2004203884	A1	20040909	AU 2004-203884	20040813
US 2005032795	A1	20050210	US 2004-938501	20040913
PRIORITY APPLN. INFO.:			US 1999-142956P	P 19990712
			WO 2000-US18817	W 20000711
			US 2002-30414	A1 20020524

OTHER SOURCE(S): MARPAT 134:100878
GI

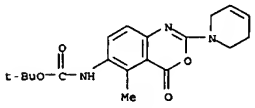


I

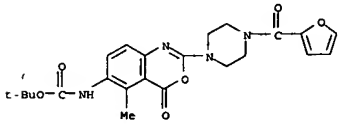
AB Title compds. [I; R8 = amino optionally substituted by 2 alkyl, aralkyl, heterocyclylalkyl, heterocyclyl, aryl; R9 = NHCOR30, R31NHCOR30, NHSO2R32; R30 = alkyl, alkoxy, alkylamino, carboxyalkyl, alkoxyalkyl, arylamino, aryloxy, heterocycloalkoxy, etc.; R31 = alkyl; R32 = alkyl, aryl; R3 = H, halo, alkyl], were prepared Thus, trimethylsilylethyl 6-amino-3-[[(1,1-dimethylethoxy)carbonyl]amino]-2-methylbenzoate was stirred 3 h with p-nitrophenyl chloroformate in CH2Cl2 followed by addition of Me(PhCH2)NH and stirring for 15 h. Tetrafluorophthalic anhydride in CH2Cl2 was added followed by 3 h stirring and addition of polyamine resin to give trimethylsilylethyl 3-[[(1,1-dimethylethoxy)carbonyl]amino]-2-methyl-6-[[[methyl(phenylmethyl)amino]carbonyl]amino]benzoate. This was stirred with Bu4NF in THF to give 3-[[(1,1-dimethylethoxy)carbonyl]amino]-2-methyl-6-[[[methyl(phenylmethyl)amino]carbonyl]amino]benzoic acid. The latter was stirred 2 h with P-EDC to give 6-[[(1,1-dimethylethoxy)carbonyl]amino]-5-methyl-2-[methyl(phenylmethyl)amino]-4H-3-benzoxazin-4-one. This showed an EC50 = 1.1 μM against HSV.

IT 319909-68-7P 319909-70-1P 319909-72-3P 319909-73-4P 319909-80-3P 319909-83-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-aminobenzoxazinones for treatment of Herpes simplex virus infection)

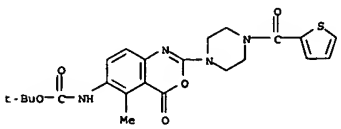
RN 319909-68-7 CAPLUS
CN Carbamic acid, [2-(3,6-dihydro-1(2H)-pyridinyl)-5-methyl-4-oxo-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



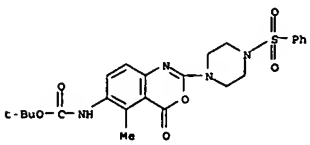
RN 319909-70-1 CAPLUS
CN Carbamic acid, [2-[4-(2-furanylcarbonyl)-1-piperazinyl]-5-methyl-4-oxo-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



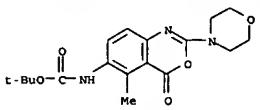
RN 319909-72-3 CAPLUS
CN Carbamic acid, [5-methyl-4-oxo-2-[4-(2-thienylcarbonyl)-1-piperazinyl]-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



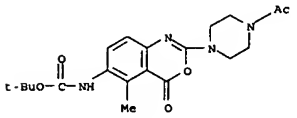
RN 319909-73-4 CAPLUS
CN Carbamic acid, [5-methyl-4-oxo-2-[4-(phenylsulfonyl)-1-piperazinyl]-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 319909-80-3 CAPLUS
CN Carbamic acid, [5-methyl-2-(4-morpholinyl)-4-oxo-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

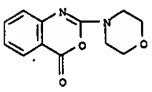


RN 319909-83-6 CAPLUS
CN Carbamic acid, [2-(4-acetyl-1-piperazinyl)-5-methyl-4-oxo-4H-3,1-benzoxazin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

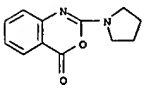


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 36 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:726575 CAPLUS
DOCUMENT NUMBER: 134:239338
TITLE: Novel bleach activators
AUTHOR(S): Dixon, N. J.
CORPORATE SOURCE: Warwick International Ltd, Holywell, UK
SOURCE: Rivista Italiana delle Sostanze Grasse (2000), 77(3), 105-110
CODEN: RISGAD; ISSN: 0035-6808
PUBLISHER: Stazione Sperimentale per le Industrie degli Oli e dei
Grassi
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The leading bleach activator in European laundry for the last 20 yr has been TAED. It is cost effective, environmentally friendly and provides effective bleaching as low as 40°C. The search for alternatives to TAED (the leading bleach activator in European laundry for the last 20 yr)
has been going on since it was first launched on the detergents market in 1979. At Warwick International, we have tested around 1000 bleach activators and have assessed them for their wash performance, environmental effects, cost and ease of synthesis. To illustrate this work we will present the results of our investigations into the potent bleach activators 2-substituted-3,1-benzoxazinones.
IT 23494-28-2 123102-14-7 123102-15-8
RL: TEM (Technical or engineered material use); USES (Uses)
(testing of benzoxazinones as activators for laundry bleaches)
RN 23494-28-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

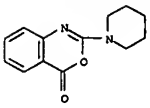


RN 123102-14-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



RN 123102-15-8 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(1-piperidinyl)- (9CI) (CA INDEX NAME)

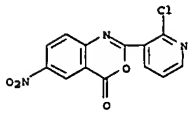
L4 ANSWER 36 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



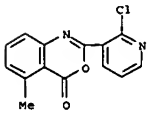
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 37 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:564504 CAPLUS
DOCUMENT NUMBER: 133:317220
TITLE: Inhibitors of the tissue factor/factor VIIa-induced coagulation: synthesis and in vitro evaluation of novel specific 2-aryl substituted 4H-3,1-benzoxazin-4-
ones
AUTHOR(S): Jakobsen, P.; Ritsmar Pedersen, B.; Persson, E.
CORPORATE SOURCE: Novo Nordisk Park, Medicinal Chemistry Research, Novo Nordisk A/S, Maaloev, DK-2760, Den.
SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(8), 2095-2103
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis of a series of novel 2-aryl substituted 4H-3,1-benzoxazin-4-
ones and their evaluation as specific inhibitors of the Tissue Factor (TF)/Factor VIIa (FVIIa)-induced pathway of coagulation is reported. Inhibitory activities (IC50 values) in the range 0.17 to >40 μM on the activation of Factor X (FX) by the TF/FVIIa complex were found for compds. having one or two electroneg. substituents such as F, Cl and NO2 in the 2-aryl substituent. Different substitutions both electron-attracting and donating groups were allowed in the 5, 6, 7 and 8 positions. Several of the compds. showed a selectivity ratio towards FX and thrombin of >50, thus being the first small mols. described as potential drugs for oral antithrombotic treatment without side effects such as bleeding which is observed especially with thrombin inhibitors. The best
substituent pattern being the 2-aryl group substituted with: 2-F; 2,6-F2; or 2-FX; 6-Cl; together with electroneg. substitution in the 5, 6, 7, or 8
positions. 2-Heteroaryl substituents like thienyl and furanyl were of low activity while some 2-(2-chloro-3-pyridyl) derivs. had inhibitory activity
<10 μM and a good selectivity.
IT 244205-88-7P 244205-89-8P, 4H-3,1-Benzoxazin-4-one, 2-(2-chloro-3-pyridinyl)-5-methyl- 244205-90-1P 244206-14-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and synthesis of aryl substituted benzoxazinones as anticoagulants)
RN 244205-88-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(2-chloro-3-pyridinyl)-6-nitro- (9CI) (CA INDEX NAME)

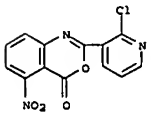
L4 ANSWER 37 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



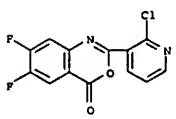
RN 244205-89-8 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(2-chloro-3-pyridinyl)-5-methyl- (9CI) (CA INDEX NAME)



RN 244205-90-1 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(2-chloro-3-pyridinyl)-5-nitro- (9CI) (CA INDEX NAME)

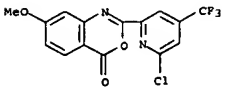


RN 244206-14-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(2-chloro-3-pyridinyl)-6,7-difluoro- (9CI) (CA
INDEX NAME)

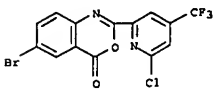


IT 302761-09-7 302761-14-4
RL: BAC (Biological activity or effector, except adverse); BSU

L4 ANSWER 37 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
study, unclassified); THU (Therapeutic use); BIOL (Biological study);
USES
(Uses)
(prepn. and synthesis of aryl substituted benzoxazinones as anticoagulants)
RN 302761-09-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[6-chloro-4-(trifluoromethyl)-2-pyridinyl]-7-methoxy- (9CI) (CA INDEX NAME)



RN 302761-14-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-bromo-2-[6-chloro-4-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

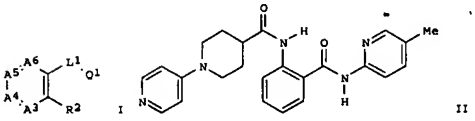
L4 ANSWER 38 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:457059 CAPLUS
DOCUMENT NUMBER: 133:89437
TITLE: Preparation of heteroaryl-substituted aromatic amides as factor Xa inhibitors
INVENTOR(S): Beight, Douglas Wade; Craft, Trelia Joyce; Denny, Carl
Penman; Franciskovich, Jeffry Bernard; Goodson, Theodore, Jr.; Hall, Steven Edward; Herron, David Kent; Joseph, Sajan Pariyadan; Klimkowski, Valentine Joseph; Masters, John Joseph; Mendel, David; Milot, Guy; Pineiro-Nunez, Marta Maria; Sawyer, Jason Scott; Shuman, Robert Theodore; Smith, Gerald Floyd; Tebbe, Anne Louise; Tinsley, Jennifer Marie; Weir, Leonard Crayton; Wikel, James Howard; Wiley, Michael Robert; Yee, Ying Kwong
PATENT ASSIGNEE(S): Eli Lilly and Co., USA; Kyle, Jeffrey, Alan; et al.
SOURCE: PCT Int. Appl., 403 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039118	A1	20000706	WO 1999-US29946	19991215
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2361149	A1	20000706	CA 1999-2361149	19991215
EP 1140903	A1	20011010	EP 1999-964279	19991215
EP 1140903	B1	20040804		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002533454	T	20021008	JP 2000-591039	19991215
AT 272633	T	20040815	AT 1999-964279	19991215
ES 2226485	T3	20050316	ES 1999-964279	19991215
US 6635657	B1	20031021	US 2001-857751	20010608
US 2004029874	A1	20040212	US 2003-629760	20030729
US 6759414	B2	20040706		
US 2005282862	A1	20051222	US 2003-629817	20030729
US 7129245	B2	20061031		

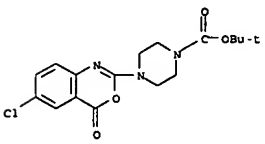
PRIORITY APPLN. INFO.: US 1998-113556P P 19981223
WO 1999-US29946 W 19991215
US 2001-857751 A3 20010608

OTHER SOURCE(S): MARPAT 133:89437
GI

L4 ANSWER 38 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

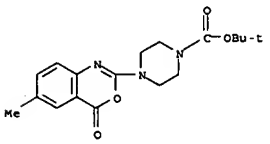


AB The title compds. [I: A3-A6, together with the two carbons to which they are attached, complete a substituted benzene in which A3 = CR3, A4 = CR4, A5 = CR5, and A6 = CR6 (wherein R3 = H, Me, MeO, etc.; one of R4 and R5 = H, alkyl, halo, etc.; the other of R4 and R5 = H; R6 = H, Me, F, etc.);
L1
= CONH; Q1 = 2-pyridinyl (un)substituted at the 5-position, 3-pyridinyl (un)substituted at the 6-position, 2-pyrimidinyl (un)substituted at the 5-position, etc.; R2 = L2Q2 (L2 = NHCO, NHCH2, OCH2, etc.; Q2 = (un)substituted piperidinyl, piperazinyl, Ph, etc.)] and their pharmaceutically acceptable salts, useful as inhibitors of factor Xa (no data), were prepared and formulated. E.g., a multi-step synthesis of
II.HCl
was given. In general, compds. I are effective at 0.01-1000 mg/kg/day.
IT 280772-10-3P 280772-44-3P 280772-50-1P
280772-56-7P 280772-62-5P 280772-68-1P
280772-79-4P 280772-84-1P 280772-89-6P
280772-94-3P 280773-03-7P 280773-10-6P
280773-27-5P 280773-36-6P 280773-49-1P
280773-54-8P 280773-69-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heteroaryl-substituted aromatic amides as factor Xa inhibitors)
RN 280772-10-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(6-chloro-4-oxo-4H-3,1-benzoxazin-2-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

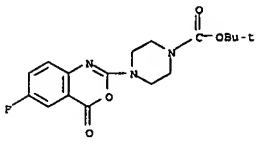


RN 280772-44-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(6-methyl-4-oxo-4H-3,1-benzoxazin-2-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

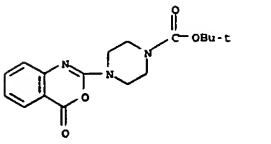
L4 ANSWER 38 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 280772-50-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(6-fluoro-4-oxo-4H-3,1-benzoxazin-2-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

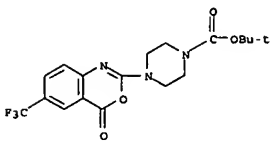


RN 280772-56-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(4-oxo-4H-3,1-benzoxazin-2-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

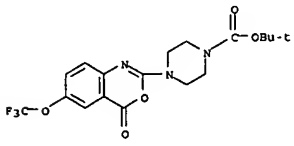


RN 280772-62-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-oxo-6-(trifluoromethyl)-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

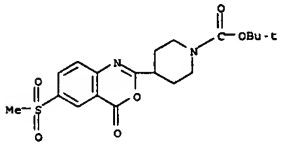
L4 ANSWER 38 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 280772-68-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-oxo-6-(trifluoromethoxy)-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

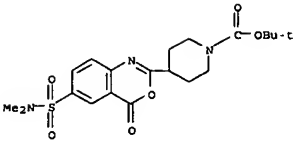


RN 280772-79-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[6-(methylsulfonyl)-4-oxo-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

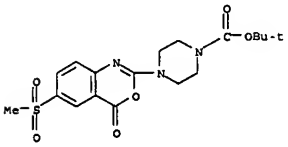


RN 280772-84-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[6-[(dimethylamino)sulfonyl]-4-oxo-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

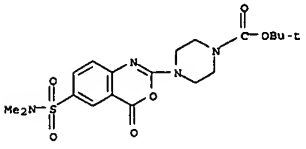
L4 ANSWER 38 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 280772-89-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[6-(methylsulfonyl)-4-oxo-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

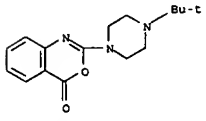


RN 280772-94-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[6-[(dimethylamino)sulfonyl]-4-oxo-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

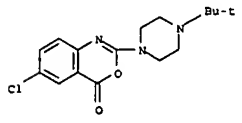


RN 280773-03-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[4-(1,1-dimethylethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

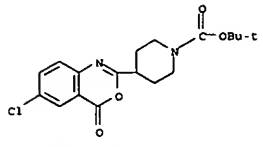
L4 ANSWER 38 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 280773-10-6 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6-chloro-2-[4-(1,1-dimethylethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

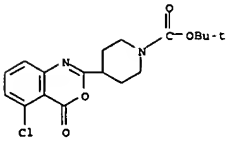


RN 280773-27-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(6-chloro-4-oxo-4H-3,1-benzoxazin-2-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

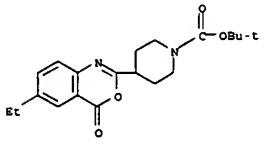


RN 280773-36-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(5-chloro-4-oxo-4H-3,1-benzoxazin-2-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

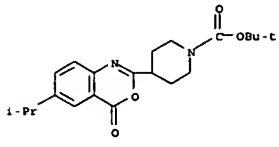
L4 ANSWER 38 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 280773-49-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(6-ethyl-4-oxo-4H-3,1-benzoxazin-2-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

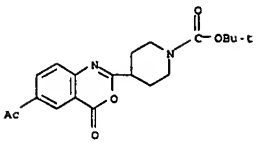


RN 280773-54-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[6-(1-methylethyl)-4-oxo-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 280773-69-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(6-acetyl-4-oxo-4H-3,1-benzoxazin-2-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 38 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

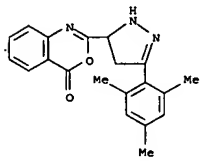
FORMAT

L4 ANSWER 39 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:92318 CAPLUS
DOCUMENT NUMBER: 132:279169
TITLE: Synthesis and reactions of 2-[2-(2,4,6-trimethylbenzoyl)vinyl]-4H-3,1-benzoxazin-4-one of expected biological activity
AUTHOR(S): Abdel-Fattah, M. E.; Soliman, E. A.; Soliman, S. M. A.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Suez Canal University, Ismailia, Egypt
SOURCE: Egyptian Journal of Chemistry (1999), 42(6), 499-516
CODEN: EGJCA3; ISSN: 0449-2285
PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English

AB β-(2,4,6-Trimethylbenzoyl)acryloyl chloride reacts with anthranilic acid to give theamide which is easily cyclized by acetic anhydride to give the title benzoxazinone (I). I was cyclized with N2H4 to give the 3-aryl-5-pyrazolylbenzoxazinone. The behavior of this compound towards aromatic aldehydes, ketones, phthalic anhydride and phthalylamino acid chlorides has been investigated. Reactions of I with o-phenylenediamine, ammonia, Grignard reagents, Friedel-Crafts reagents and bromine are described. The products showed a range of antibacterial activity.

IT 234103-62-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of trimethylbenzoylvinylbenzoxazinones and pyrazolylbenzoxazinones with bactericidal activity)

RN 234103-62-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[4,5-dihydro-3-(2,4,6-trimethylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



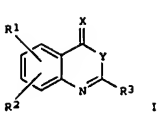
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 40 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:626181 CAPLUS
DOCUMENT NUMBER: 131:243274
TITLE: Preparation of benzoxazinone derivatives as factor VII inhibitors for the treatment of coagulation-related diseases
INVENTOR(S): Persson, Egon; Jakobsen, Palle; Worsaae, Helle
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9948878	A1	19990930	WO 1999-DK138	19990317
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9928260	A	19991018	AU 1999-28260	19990317
US 6180625	B1	20010130	US 1999-274448	19990322
PRIORITY APPLN. INFO.:			DK 1998-413 A	19980324
			DK 1998-464 A	19980402
			DK 1998-1559 A	19981126
			US 1998-111673P P	19980408
			US 1998-81068P P	19980408
			WO 1999-DK138 W	19990317

OTHER SOURCE(S): MARPAT 131:243274
GI



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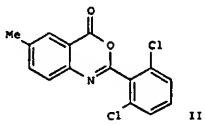


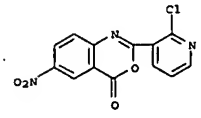
II

L4 ANSWER 40 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

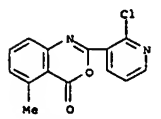
AB Benzoxazinone derivs. (I) [where X and Y = O, S, or NH; R1 and R2 = independently (un)substituted (cyclo)alkyl, alkenyl, or alkynyl, H, halogen, alkoxy, alkylthio, carboxy, carbamoyl, sulfamoyl, (alkyl)Ph, tetrazolyl, etc.; R3 = (un)substituted (hetero)aryl, halogen, alkoxy, alkylthio, carboxy, carbamoyl, sulfamoyl, (alkyl)Ph, tetrazolyl, etc.] were prepared as inhibitors of factor VIIa-tissue factor activity. For example, 2,6-dichlorobenzoyl chloride was added to 2-amino-5-methylbenzoic acid in toluene and TEA to yield 2-(2,6-dichlorophenyl)-6-methyl-4H-3,1-benzoxazin-4-one (II). Selected compds. of the invention were subjected to a fVIIa/TF-catalyzed fX activity assay or fVIIa/TF-induced plasma clotting assay. Example compds. gave IC50 values ranging from 0.32 to 5.6 μM for the TF/FVII/FX assay and displayed clot ratios of 1.6 to > 30% in the clotting assay. The benzoxazinones are claimed to be useful for the treatment of coagulation-related diseases, such as deep vein thrombosis, pulmonary embolism, stroke, disseminated intravascular coagulation, vascular restenosis, platelet deposition, myocardial infarction, or atherosclerosis.

IT 244205-88-7P, 2-(2-Chloropyridin-3-yl)-6-nitro-4H-3,1-benzoxazin-4-one 244205-89-8P, 2-(2-Chloropyridin-3-yl)-5-methyl-4H-3,1-benzoxazin-4-one 244205-90-1P, 2-(2-Chloropyridin-3-yl)-5-nitro-4H-3,1-benzoxazin-4-one 244206-14-2P, 2-(2-Chloropyridin-3-yl)-6,7-difluoro-4H-3,1-benzoxazin-4-one
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of benzoxazinone derivs. as factor VII inhibitors for the treatment of coagulation-related diseases)

RN 244205-88-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(2-chloro-3-pyridinyl)-6-nitro- (9CI) (CA INDEX NAME)

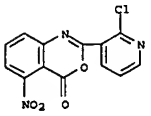


RN 244205-89-8 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(2-chloro-3-pyridinyl)-5-methyl- (9CI) (CA INDEX NAME)

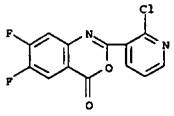


L4 ANSWER 40 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 244205-90-1 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(2-chloro-3-pyridinyl)-5-nitro- (9CI) (CA INDEX NAME)

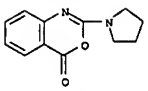


RN 244206-14-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(2-chloro-3-pyridinyl)-6,7-difluoro- (9CI) (CA INDEX NAME)

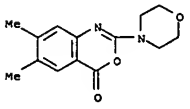


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

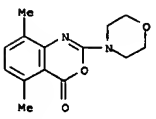
L4 ANSWER 41 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 233684-07-6 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 6,7-dimethyl-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

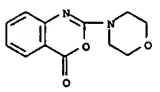


RN 233684-08-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 5,8-dimethyl-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



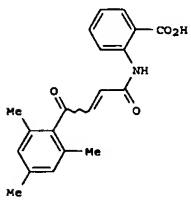
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 41 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:371533 CAPLUS
DOCUMENT NUMBER: 131:129959
TITLE: One-Pot Reactions of N-(Mesyloxy)phthalimides with Secondary Amines to 2-Ureidobenzamides, 2-Ureidobenzoic Acids, Ethyl 2-Ureidobenzoates, or Isatoic Anhydrides
AUTHOR(S): Guetschow, Michael
CORPORATE SOURCE: Institute of Pharmacy, University of Leipzig, Leipzig, D-04103, Germany
SOURCE: Journal of Organic Chemistry (1999), 64(14), 5109-5115
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:129959
AB The reaction of N-(mesyloxy)phthalimides with secondary amines was examined
Transformations are accomplished by one-pot reactions to optionally afford
corresponding 2-ureidobenzamides, 2-ureidobenzoic acids, Et 2-ureidobenzoates, or isatoic anhydrides, resp. The mechanism of the acid-catalyzed hydrolysis (or alcoholysis) of intermediate 2-ureidobenzamides to 2-ureidobenzoic acids (or esters) is discussed. A proton transfer mechanism involving the ureido moiety as an internal acid catalyst is proposed. Intermediate 2-ureidobenzoic acids undergo a further transformation to isatoic anhydrides. The utilization of the obtained 2-ureidobenzamides, 2-ureidobenzoic acids, and Et 2-ureidobenzoates to prepare 3,1-benzoxazin-4-ones is demonstrated.
IT 23494-28-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reaction of N-(mesyloxy)phthalimides with secondary amines)
RN 23494-28-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



IT 123102-14-7P 233684-07-6P 233684-08-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reaction of N-(mesyloxy)phthalimides with secondary amines)
RN 123102-14-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 42 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:385715 CAPLUS
DOCUMENT NUMBER: 131:129961
TITLE: Synthesis and reactions of 2-[2-(2,4,6-trimethylbenzoyl)vinyl]-4H-3,1-benzoxazin-4-one and antimicrobial activity
AUTHOR(S): Abdel-Fattah, M. E.; Soliman, E. A.; Soliman, S. M. A.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Suez Canal University Ismailia, Cairo, Egypt
SOURCE: Indian Journal of Heterocyclic Chemistry (1999), 8(3), 177-182
CODEN: IJCHEI; ISSN: 0971-1627
PUBLISHER: Prof. R. S. Varma
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:129961
GI



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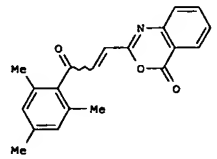

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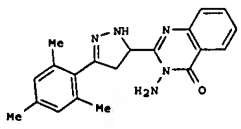
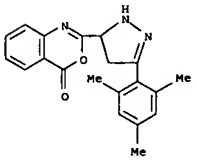


III

AB β-(2,4,6-Trimethylbenzoyl)-acryloyl chloride reacts with anthranilic acid to give adduct I which is cyclized by the action of acetic anhydride to give the benzoxazinone II. Condensation of II with hydrazine hydrate gave pyrazole III. The behavior of III towards aromatic aldehydes, ketones, phthalic Anhydride, and amino acid chlorides has been investigated. Reaction of II with o-phenylenediamine, ammonia, Grignard reagents, Friedel-Crafts reaction and bromine has been described. Some of the compds. were tested for antibacterial activity; some were active against gram-neg. and gram-pos. bacterial.
IT 234103-62-9P
RL: BAC (Biological activity or effector, except adverse); BSU

L4 ANSWER 42 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and bactericidal activity of benzoxazinones and quinazolinones)
RN 234103-62-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[4,5-dihydro-3-(2,4,6-trimethylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

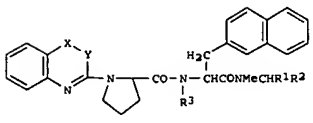
L4 ANSWER 43 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:509212 CAPLUS
DOCUMENT NUMBER: 129:149249
TITLE: Preparation of heterocyclyl prolyl(naphthyl)alaninamides as tachykinin antagonists
INVENTOR(S): Walpole, Christopher Simon John; Prashad, Mahavir; Har, Denis
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharmaceuticals UK Ltd.
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9831704	A2	19980723	WO 1997-EP7307	19971229
WO 9831704	A3	19980911		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2278057	A1	19980723	CA 1997-2278057	19971229
CA 2278057	C	20040504		
AU 9857642	A	19980807	AU 1998-57642	19971229
EP 964867	A2	19991222	EP 1997-953927	19971229
EP 964867	B1	20050309		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000516257	T	20001205	JP 1998-533609	19971229
AT 290546	T	20050315	AT 1997-953927	19971229
PT 964867	T	20050729	PT 1997-953927	19971229
ES 2239368	T3	20050916	ES 1997-953927	19971229
IN 1998MA00065	A	20050304	IN 1998-MA65	19980109
ZA 9800256	A	19980714	ZA 1998-256	19980113
US 6107293	A	20000822	US 1999-341626	19990714
JP 2006089499	A	20060406	JP 2005-344056	20051129
JP 3817256	B2	20060906		

PRIORITY APPLN. INFO.: GB 1997-597 A 19970114
JP 1998-533609 A3 19971229
WO 1997-EP7307 W 19971229

OTHER SOURCE(S): MARPAT 129:149249
GI

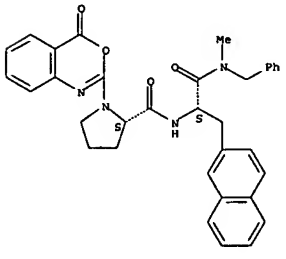
L4 ANSWER 43 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



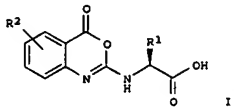
I

AB Title compds. I (X = CH2, CO, bond; Y = O, S, NH; R1 = Ph; R2 = H, Ph; R3 = H, Me) and their pharmaceutically acceptable salts were prepared as tachykinin antagonists. Thus, I (X = CO, Y = O, R1 = Ph, R2 = R3 = H) was
prepared by reaction of (S)-prolyl-(S)-3-(2-naphthyl)alanyl-N-benzyl-N-methylamide with 2-isocyanatobenzoyl chloride.
IT 210775-87-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl prolyl(naphthyl)alaninamides as tachykinin
antagonists)
RN 210775-87-4 CAPLUS
CN L-Alaninamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)-L-prolyl-N-methyl-3-(2-naphthalenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



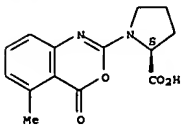
L4 ANSWER 44 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:243698 CAPLUS
DOCUMENT NUMBER: 128:282812
TITLE: Combinatorial approaches to pharmacophoric heterocycles: a solid-phase synthesis of 3,1-benzoxazine-4-ones
AUTHOR(S): Gordeev, Mikhail F.
CORPORATE SOURCE: Versicor, Inc, Fremont, CA, 94555, USA
SOURCE: Biotechnology and Bioengineering (1998), 61(1), 13-16
CODEN: BIBIAU; ISSN: 0006-3592
PUBLISHER: John Wiley & Sons, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB An efficient solid-phase synthesis of 3,1-benzoxazine-4-ones is described.
Immobilized amino acid based functionalized urea derivs. undergo a high yielding heterocyclization under mild conditions in presence of coupling reagents (DIC, TsCl/Py, or Ac2O) to afford 3,1-benzoxazine-4-ones I (R1 = CHMe2, Me, PhCH2, etc., R2 = H, Me, 6-OH, etc.). The method offers broad scope for structural and chemical diversity, and is amenable for combinatorial synthesis of 3,1-benzoxazine-4-ones libraries with potential
for discovery of novel serine protease inhibitors.
IT 205656-62-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid phase synthesis of benzoxazinones as combinatorial approach)
RN 205656-62-8 CAPLUS
CN L-Proline, 1-(5-methyl-4-oxo-4H-3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

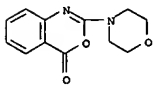
L4 ANSWER 44 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L4 ANSWER 45 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:723612 CAPLUS
DOCUMENT NUMBER: 128:58885
TITLE: Inhibition of cathepsin G by 4H-3,1-benzoxazin-4-ones
AUTHOR(S): Gutschow, Michael; Neumann, Ulf
CORPORATE SOURCE: Institute of Pharmacy, University of Leipzig, Leipzig, D-04103, Germany
SOURCE: Bioorganic & Medicinal Chemistry (1997), 5(10), 1935-1942
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:58885

AB A series of 4H-3,1-benzoxazin-4-ones is reported that inhibit the serine proteases human cathepsin G and bovine chymotrypsin. The synthesis and kinetic parameters of the alkaline hydrolysis is described. These compds. act as acyl-enzyme inhibitors of both enzymes. The reaction of cathepsin G with 2-benzylamino-4H-3,1-benzoxazin-4-one was studied in detail. A partition in deacylation of the initially formed acyl-enzyme was observed, leading to the formation of 2-(3-benzylureido)benzoic acid and 3-benzylquinazoline-2,4-(1H,3H)-dione. A 6-Me substitution strongly increased the acylation rate of both proteases. Introduction of an aryl moiety into the 2-substituent led to compds. with Ki values toward cathepsin G in the nanomolar range. Their inhibitory potency is stronger than that of other synthetic inhibitors of cathepsin G.

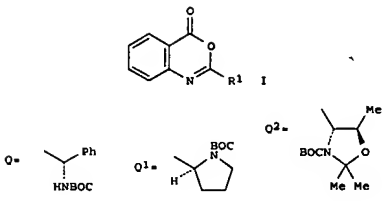
IT 23494-28-2P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(preparation of and inhibition of cathepsin G and chymotrypsin by 4H-3,1-benzoxazin-4-ones)

RN 23494-28-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 46 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:723315 CAPLUS
DOCUMENT NUMBER: 128:22874
TITLE: Efficient synthesis of biologically important chiral 2-alkylamino benzoxazinones
AUTHOR(S): Mohapatra, Debendra K.; Datta, Apurba
CORPORATE SOURCE: Organic III, Indian Institute of Chemical Technology, Hyderabad, 500 007, India
SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(19), 2527-2530
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:22874
GI

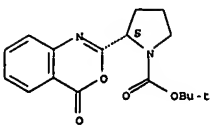


AB A novel general method has been developed for the synthesis of various amino acid derived chiral 2-substituted benzoxazinones, I (R1 = Q, Q1, Q2, etc.), known inhibitors of standard serine proteases of the chymotrypsin superfamily.

IT 199392-41-1P 199392-42-2P 199392-43-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (alkylamino)benzoxazinones)

RN 199392-41-1 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-(4-oxo-4H-3,1-benzoxazin-2-yl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

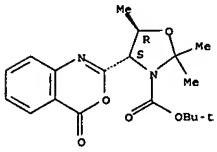
Absolute stereochemistry.



L4 ANSWER 46 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

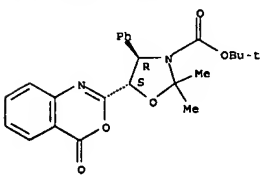
RN 199392-42-2 CAPLUS
CN 3-Oxazolidinecarboxylic acid, 2,2,5-trimethyl-4-(4-oxo-4H-3,1-benzoxazin-2-yl)-, 1,1-dimethylethyl ester, (4S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



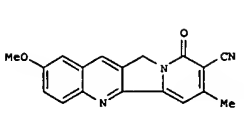
RN 199392-43-3 CAPLUS
CN 3-Oxazolidinecarboxylic acid, 2,2-dimethyl-5-(4-oxo-4H-3,1-benzoxazin-2-yl)-4-phenyl-, 1,1-dimethylethyl ester, (4R-trans)- (9CI) (CA INDEX NAME)

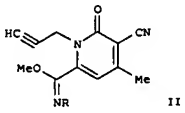
Absolute stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

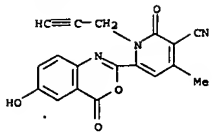
L4 ANSWER 47 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:487414 CAPLUS
DOCUMENT NUMBER: 125:222232
TITLE: Novel syntheses of camptothecin alkaloids. Part I. Intramolecular [4+2] cycloadditions of N-arylimidates and 4H-3,1-benzoxazin-4-ones as 2-aza-1,3-dienes
AUTHOR(S): Fortunak, Joseph M. D.; Mastrocola, Antonietta R.; Mellinger, Mark; Sisti, Nicolas J.; Wood, Jeffery L.; Zhuang, Zhi-Ping
CORPORATE SOURCE: Chem. Process Res. Dev., DuPont Merck Pharm. Co., Deepwater, NJ, 08023-0999, USA
SOURCE: Tetrahedron Letters (1996), 37(32), 5679-5682
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:222232
GI


I


II

AB Intramol. [4+2] cycloaddns. of both N-arylimidates and (4H)-3,1-benzoxazin-4-ones acting as 2-aza-1,3-dienes were described. Reaction with unactivated alkynes lead to pyrrolo[3,4-b]quinolines containing the ABC ring system of camptothecin. E.g., 10-methoxycamptothecin precursor I was prepared by intramol. [4+2] cycloaddn. of a 4:1 isomeric mixture of O-methylimidate II (R = 4-MeOC6H4), which had been prepared by Me3OBF4 O-methylation of the corresponding N-(4-methoxyphenyl)-amide, followed by elimination of methanol.
IT 181512-67-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of camptothecin analogs via intramol. [4+2] cycloaddns. of N-arylimidates and 4H-3,1-benzoxazin-4-ones as 2-aza-1,3-dienes)
RN 181512-67-4 CAPLUS
CN 3-Pyridinecarbonitrile, 1,2-dihydro-6-(6-hydroxy-4-oxo-4H-3,1-benzoxazin-2-yl)-4-methyl-2-oxo-1-(2-propynyl)- (9CI) (CA INDEX NAME)

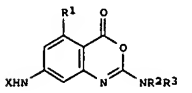
L4 ANSWER 47 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L4 ANSWER 48 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:241536 CAPLUS
DOCUMENT NUMBER: 124:290265
TITLE: Preparation of amino acid moiety-containing benzoxazines as elastase inhibitors
INVENTOR(S): Oshida, Junichi; Kawabata, Hiroshi; Kato, Yoshinori; Kokubo, Masayuki; Ueshima, Yasuhide; Sato, Osami; Fujii, Katsuhiko
PATENT ASSIGNEE(S): Teijin Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 34 pp. Division of Jpn. Kokai Tokkyo Koho Appl. NO. 91 504,791.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07316056	A	19951205	JP 1994-272320	19941107
PRIORITY APPLN. INFO.:			JP 1991-504791	19910215

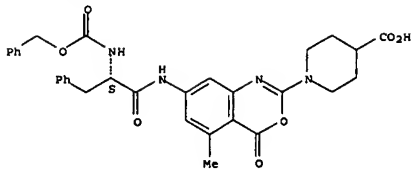
OTHER SOURCE(S): MARPAT 124:290265
GI


I

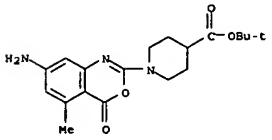
AB The title compds. I [R1 = H, alkyl; X = Y1A1, Y2(A2)mA3; when X is Y1A1 : R2, R3 = H, (carboxy)alkyl, or NR2R3 = ring; when X is Y2(A2)mA3 : R2 = alkyl, R3 = H; Y1 = amino-protecting group; Y2 = H, sulfonyl; A1, A2 = amino acid residue, etc.; A3 = lysine residue, etc.; m = 0 or 1] are prepared 7-(N-benzyloxycarbonyl-L-phenylalanyl)amino-5-methyl-2-(1-carboxyethyl)amino-4H-3,1-benzoxazin-4-one (preparation given) in vitro showed IC50 values of 5.1 x 10-8 M and 1.5 x 10-6 M against elastase and chymotrypsin, resp.
IT 138006-70-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid moiety-containing benzoxazines as elastase inhibitors)
RN 138006-70-9 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 48 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

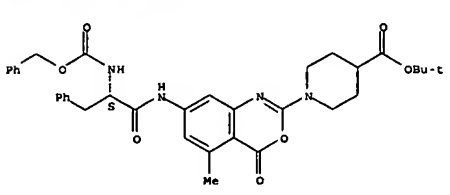


IT 175594-80-6P 175594-81-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid moiety-containing benzoxazines as elastase inhibitors)
RN 175594-80-6 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-(7-amino-5-methyl-4-oxo-4H-3,1-benzoxazin-2-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



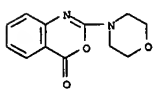
RN 175594-81-7 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

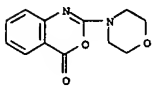


L4 ANSWER 48 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

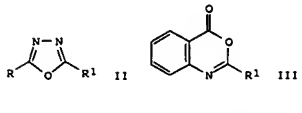
L4 ANSWER 49 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:493544 CAPLUS
DOCUMENT NUMBER: 123:4277
TITLE: 3,1-Benzothiazin-4-ones and 3,1-benzoxazin-4-ones: highly different activities in chymotrypsin inactivation
AUTHOR(S): Neumann, U.; Guetschow, M.
CORPORATE SOURCE: Friedrich Miescher-Inst., Basel, 4002, Switz.
SOURCE: Bioorganic Chemistry (1995), 23(1), 72-88
CODEN: BOCMBM; ISSN: 0045-2068
PUBLISHER: Academic
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 3,1-Benzothiazin-4-ones are sulfur analogs of the potent serine protease inactivators of the 3,1-benzoxazin-4-one type, which acylate the serine residue within the active site of the enzymes. A series of 2-amino-3,1-benzothiazinones was synthesized, but these compds. showed only very little inhibitory activity toward chymotrypsin, a model serine protease. Detailed investigations revealed that benzothiazinones and benzoxazinones react with identical mechanisms, but benzothiazinones acylate chymotrypsin with much lower rate consts. Investigations of nonenzymic hydrolysis showed the benzothiazinones to be intrinsically more stable than benzoxazinones. It was concluded from spectroscopic results, that benzoxazinones are highly activated due to the absence of ester-like resonance. 2-Benzoylamino-4H-3,1-benzoxazin-4-one was a new, highly active chymotrypsin inactivator. In contrast, benzothiazinones were resonance stabilized. The contribution of a resonance structure with an exocyclic oxanion to the overall structure of the benzothiazinones and its nonproductive binding to the active site explained their low reactivity toward chymotrypsin.
IT 23494-28-2
RL: BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent)
(3,1-benzothiazin-4-ones and 3,1-benzoxazin-4-ones have highly different activities in chymotrypsin inactivation)
RN 23494-28-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



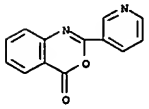
L4 ANSWER 50 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:285745 CAPLUS
DOCUMENT NUMBER: 120:285745
TITLE: Crystal structure of 2-(morpholin-4-yl)-4H-3,1-benzoxazin-4-one, C12H12N2O3
AUTHOR(S): Pink, M.; Sieler, J.; Gutschow, M.
CORPORATE SOURCE: Inst. Anorg. Chem., Univ. Leipzig, Leipzig, D-04103, Germany
SOURCE: Zeitschrift fuer Kristallographie (1993), 207(2), 319-21
CODEN: ZEKRDZ; ISSN: 0044-2968
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The title compound is monoclinic, space group P21/c, with a 9.733(2), b 10.789(2), c 11.363(2) Å, β 112.576(9)°; Z = 4, R = 0.044. Atomic coordinates are given.
IT 23494-28-2
RL: PRP (Properties)
(crystal structure of)
RN 23494-28-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



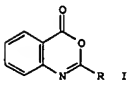
L4 ANSWER 51 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:8535 CAPLUS
DOCUMENT NUMBER: 120:8535
TITLE: N,N-Dimethylchlorosulfitemethaniminium chloride as a dehydrating agent. An efficient one-pot synthesis of 1,3,4-oxadiazoles and 4H-3,1-benzoxazin-4-ones
AUTHOR(S): Sain, Bir; Sandhu, Jagir S.
CORPORATE SOURCE: Div. Drugs Pharm. Chem., Reg. Res. Lab., Jorhat, 785 006, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1992), 31B(11), 768-70
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:8535
GI



AB RCONHNH2 (R = Ph, 4-ClC6H4, 4-O2NC6H4, 4-MeC6H4, 4-MeOC6H4, 2-thienyl) cyclocondense with R1CO2H (R1 = Ph, 4-ClC6H4, 4-O2NC6H4, 4-MeC6H4, 4-MeOC6H4, 3-pyridyl, 2-thienyl) in the presence of Me2N+:CHOSOCl Cl- (I) to yield 1,3,4-oxadiazoles II. The reaction between anthranilic acid and R1CO2H (R1 = Ph, 4-ClC6H4, 4-O2NC6H4, 4-MeC6H4, 4-MeOC6H4, 3-pyridyl, Me, 2-ClC6H4, 2-MeC6H4) in the presence of I affords benzoxazinones III.
IT 53180-68-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 53180-68-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

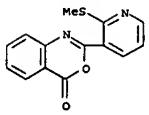


L4 ANSWER 52 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:128827 CAPLUS
DOCUMENT NUMBER: 116:128827
TITLE: 2-Aryl-substituted 4H-3,1-benzoxazin-4-ones as novel active substances for the cardiovascular system
AUTHOR(S): Rose, Ulrich
CORPORATE SOURCE: Inst. Pharm., Johannes Gutenberg-Univ., Mainz, D-6500/1, Germany
SOURCE: Journal of Heterocyclic Chemistry (1991), 28(8), 2005-12
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 116:128827
GI

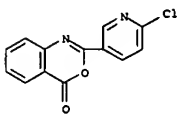


AB Cyclization of 2-H2NC6H4CO2H with aromatic carboxylic acids in the presence of POCl3 gave title compds. I (R = hetaryl, CH:CHC6H4F-4, 2,4-dimethoxyphenyl, etc.). The introduction of the phosphonate group, e.g. I [R = 4-C6H4CH2P(O)(OR1)2, R1 = Me, Et] was achieved by way of Wohl-Ziegler bromination and subsequent Michaelis-Arbuzov reaction with trialkyl phosphite. Pharmacol. investigations on isolated left atria, ileum specimens, and Langendorff hearts as well as in vivo circulatory studies on anesthetized rats revealed that the phosphonates exert calcium antagonistic effects. Whereas 2-(arylvinyl)benzoxazinones gave pronounced neg. inotropic effects, I (R = 2,4-(MeO)2C6H3) exhibited relaxing effects on smooth musculature in particular and markedly increased the coronary flow through Langendorff hearts.
IT 139355-74-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cardiovascular activity of)
RN 139355-74-1 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[2-(methylthio)-3-pyridinyl]- (9CI) (CA INDEX NAME)

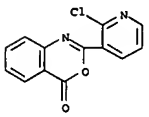
L4 ANSWER 52 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 76903-55-4P 139355-81-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 76903-55-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(6-chloro-3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 139355-81-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(2-chloro-3-pyridinyl)- (9CI) (CA INDEX NAME)



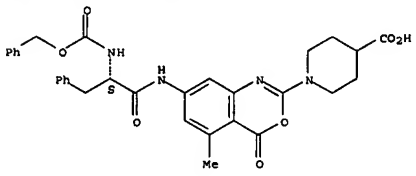
L4 ANSWER 53 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:21062 CAPLUS
DOCUMENT NUMBER: 116:21062
TITLE: Preparation of 7-(peptidylamino)-4H-3,1-benzoxazin-4-one compound and elastase inhibitor composition containing same
INVENTOR(S): Oshida, Junichi; Kawabata, Hiroshi; Kato, Yoshinori; Kokubo, Masayuki; Uejima, Yasuhide; Sato, Osami; Fujii, Katsuhiko
PATENT ASSIGNEE(S): Teijin Ltd., Japan
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9112245	A1	19910822	WO 1991-JP183	19910215
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, NL, SE				
CA 2051115	A1	19910816	CA 1991-2051115	19910215
AU 9173250	A	19910903	AU 1991-73250	19910215
AU 635403	B2	19930318		
EP 466944	A1	19920122	EP 1991-904621	19910215
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
PRIORITY APPLN. INFO.:			JP 1990-32440 A	19900215
			WO 1991-JP183 A	19910215

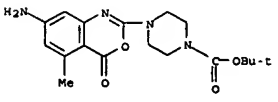
OTHER SOURCE(S): MARPAT 116:21062
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; X = Y1A1, Y2(A2)mA3; A1 = amino acid residue, peptide residue comprising 2 or 3 amino acid residues; A2 = Gly, Ala, Val, Leu, dipeptide residue containing these amino acid residues; A3 = (side-chain protected) Lys, Glu, Or Asp; Y1 = amino-protecting group; Y2 = H, SO3H; provided that when the side-chain of A3 is protected , Y2 = H; m = 0, 1; when X = Y1A1, R2 = alkyl containing 1 or 2 CO2H, and R3 = H, alkyl containing 1 or 2 alkyl or CO2H, or NR2R3 forming a 6- to 7-membered ring optionally substituted with 1 or 2 alkyl or CO2H; when X = Y2(A2)mA3, R2 = alkyl and R3 = H], which show particularly a selective inhibiting effect on a human leukocyte elastase and excellent H2O-solubility and residence in the lung tissue, are prepared Thus, treatment of BOC-Lys(COCMe3)-OH with iso-BuO2CCl in THF containing N-methylmorpholine at -15° followed by I (R1 = Me, R2 = Me2CH, R3 = X = H) (preparation given) gave I (R1,R2,R3 = unchanged; X = BOC-Lys(OCMJ3)] which was deprotected with 4N HCl in dioxane, treated with Me3SiNHNHSiMe3 in CH2Cl2, and then condensed with 4-ClC6H4SO2Cl in the presence of Et3N to give I [R1,R2,R3 = unchanged; X = p-ClC6H4SO2-Lys] (II). II in vitro inhibited human purulent sputum elastase and α-chymotrypsin with IC50 of 2.9 + 10-9 and 4.9 + 10-6 M and 1690 times selectivity for the elastase.
IT 138006-70-9P
RL: SPN (Synthetic preparation); PREP (Preparation)

L4 ANSWER 53 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(prepn. of, as elastase inhibitor)
RN 138006-70-9 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



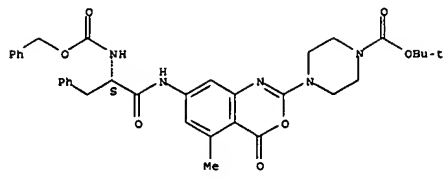
IT 138006-93-6P 138006-94-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for benzoxazinone derivative elastase inhibitor)
RN 138006-93-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(7-amino-5-methyl-4-oxo-4H-3,1-benzoxazin-2-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 138006-94-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

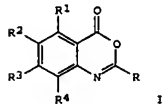
Absolute stereochemistry.

L4 ANSWER 53 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L4 ANSWER 54 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1990:55743 CAPLUS
DOCUMENT NUMBER: 112:55743
TITLE: Design and synthesis of 4H-3,1-benzoxazin-4-ones as potent alternate substrate inhibitors of human leukocyte elastase
AUTHOR(S): Krantz, Allen; Spencer, Robin W.; Tam, Tim F.; Liak, Teng Jiam; Copp, Leslie J.; Thomas, Everton M.; Rafferty, Steven P.
CORPORATE SOURCE: Syntex Res., Mississauga, ON, L5N 3X4, Can.
SOURCE: Journal of Medicinal Chemistry (1990), 33(2), 464-79
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:55743
GI



I

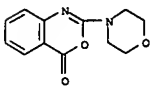
AB 4H-3,1-Benzoxazin-4-ones are alternate substrate inhibitors of the serine proteinase human leukocyte elastase (HL elastase), and form acyl enzyme intermediates during enzyme catalysis. A large variety of benzoxazinones have been synthesized using specific methods that have been adapted to achieve the pattern of ring substitution dictated by theor. considerations. The results of the inhibition of HL elastase by 175 benzoxaxinones are reported herein with reference to hydrophobicity consts. D, alkaline hydrolysis rates kOH-, inhibition consts. Ki, and their component acylation and deacylation rate consts., kon and koff, resp. The ranges for the compds. are considerable; alkaline hydrolysis rates and kon span 6, koff covers 5, and Ki spans 8 orders of magnitude. Multiple regression on this large data set has been used to isolate the contributions of electronic and steric effects, as well as other factors specific to compound stability and elastase inhibition. Essentially, a simple electronic parameter is sufficient to account for almost all the variance in the alkaline hydrolysis data indicating that electronic factors are the major determinants of this type of benzoxazinone reactivity. Factors that significantly enhance the potency of benzoxazinones I, are R1 alkyl groups, and electron withdrawal by R2. Bulk in R3 and R4 and compound hydrophobicity are not significant, but substitution in R2 is highly unfavorable as are substituents linked via C to C2. The physicochem.

L4 ANSWER 54 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

factors that underlie these trends in Ki are further analyzed in terms of equations that describe kon and koff. A conclusion that emerges is that chem. stable, potent benzoxazinone inhibitors of HL elastase with inhibition consts. in the nanomolar range can be designed with (1) R1 alkyl groups to inhibit enzyme-catalyzed deacylation, (2) small alkyl substituents linked via heteroatoms to C2 to enhance acylation and limit deacylation rates, and (3) strongly electron-donating groups at C7 to stabilize the oxazinone ring to nucleophilic attack. Thus, 2-(isopropylamino-5-n-propyl-7-(dimethylamino)benzoxazinone I (R = NHCHMe2, R1 = Pr, R3 = NMe2, R4 = R4 = H) has kOH- = 0.01 M-1s-1, which extrapolates to a half-life at pH 7.4 of over 8.5 yr, and 2-ethoxy-5-ethyl-benzoxazinone I (R = OEt, R1 Et, R2 = R3 = R4 = H) has Ki = 42 picomolar.

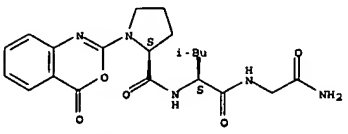
IT 23494-28-2P 100075-85-2P 100075-86-3P 100075-87-4P 100075-88-5P 100163-85-7P 123102-14-7P 123102-15-8P 123102-24-9P 123102-25-0P 123102-26-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and human proteinase leukocyte elastase inhibiting activity of)

RN 23494-28-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 100075-85-2 CAPLUS
CN Glycinamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

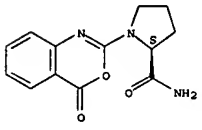
Absolute stereochemistry.



RN 100075-86-3 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)-, (S)- (9CI) (CA INDEX NAME)

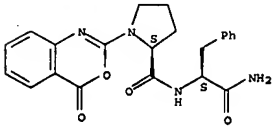
Absolute stereochemistry.

L4 ANSWER 54 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



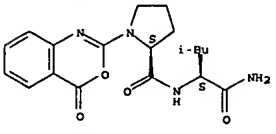
RN 100075-87-4 CAPLUS
CN L-Phenylalaninamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



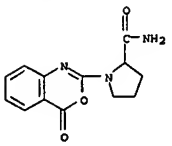
RN 100075-88-5 CAPLUS
CN L-Leucinamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

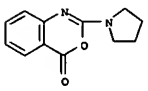


RN 100163-85-7 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

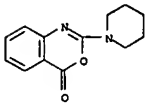
L4 ANSWER 54 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 123102-14-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

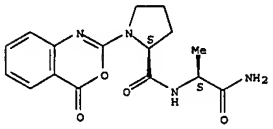


RN 123102-15-8 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(1-piperidinyl)- (9CI) (CA INDEX NAME)



RN 123102-24-9 CAPLUS
CN L-Alaninamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)-L-prolyl- (9CI) (CA INDEX NAME)

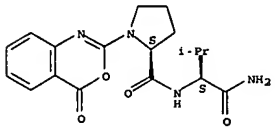
Absolute stereochemistry.



L4 ANSWER 54 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

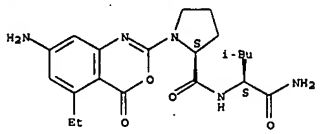
RN 123102-25-0 CAPLUS
CN L-Valinamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



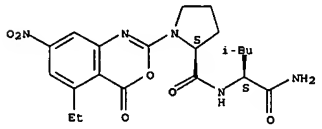
RN 123102-26-1 CAPLUS
CN L-Leucinamide, 1-(7-amino-5-ethyl-4-oxo-4H-3,1-benzoxazin-2-yl)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 123102-49-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenation of)
RN 123102-49-8 CAPLUS
CN L-Leucinamide, 1-(5-ethyl-7-nitro-4-oxo-4H-3,1-benzoxazin-2-yl)-L-prolyl- (9CI) (CA INDEX NAME)

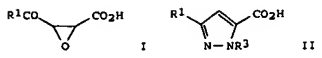
Absolute stereochemistry.



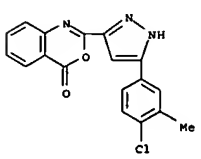
L4 ANSWER 54 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L4 ANSWER 55 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:131443 CAPLUS
DOCUMENT NUMBER: 108:131443
TITLE: Action of nitrogen nucleophiles on oxiranes of β-aroylacrylic acids
AUTHOR(S): Omran, S. A.; Salem, M. A. I.; Harb, N. S.; Marzouk, M. I.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1986), Volume Date 1985, 28(5), 399-410
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:131443
GI

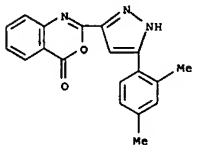


AB Epoxides I (R1 = ClMeC6H3, Me2C6H3) were treated with anilines to give R1COCH(OH)CH(NHR2)CO2H (R2 = methylchlorophenyl, tolyl). The reaction of I with R3NHNH2 (R3 = H, Ph) gave pyrazoles II. I were heated with NaOH to give R1COCOMe and R1C(OH)MeCO2H.
IT 113362-04-2P 113362-05-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and condensation reactions of, with hydrazine and aniline)
RN 113362-04-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[5-(4-chloro-3-methylphenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 113362-05-3 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[5-(2,4-dimethylphenyl)-1H-pyrazol-3-yl]- (9CI)
(CA INDEX NAME)

L4 ANSWER 55 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L4 ANSWER 56 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN

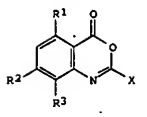
ACCESSION NUMBER: 1988:94573 CAPLUS
DOCUMENT NUMBER: 108:94573
TITLE: Preparation of 4H-3,1-benzoxazin-4-ones as inhibitors of serine proteases
INVENTOR(S): Krantz, Alexander; Spencer, Robin; Tam, Tim
PATENT ASSIGNEE(S): Syntex (U.S.A.), Inc., USA
SOURCE: U.S., 39 pp. Cont.-in-part of U.S. Ser. No. 608,609, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4657893	A	19870414	US 1984-673996	19841126
DK 8406251	A	19850628	DK 1984-6251	19841221
NO 8405176	A	19850628	NO 1984-5176	19841221
NO 163184	C	19900418		
EP 147211	A2	19850703	EP 1984-309013	19841221
EP 147211	A3	19850814		
EP 147211	B1	19900912		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
CA 1269800	A1	19900529	CA 1984-470962	19841221
AT 56444	T	19900915	AT 1984-309013	19841221
AU 8437169	A	19850704	AU 1984-37169	19841224
AU 586616	B2	19890720		
JP 60169469	A	19850902	JP 1984-281900	19841226
ES 539038	A1	19860601	ES 1984-539038	19841226
IL 73943	A	19890131	IL 1984-73943	19841226
FI 8405116	A	19850628	FI 1984-5116	19841227
FI 79842	B	19891130		
FI 79842	C	19900312		
HU 36808	A2	19851028	HU 1984-4839	19841227
HU 195648	B	19880628		
ZA 8410089	A	19860827	ZA 1984-10089	19841227
ES 550879	A1	19870301	ES 1986-550879	19860114

PRIORITY APPLN. INFO.: US 1983-566129 A2 19831227
US 1984-608609 A2 19840509
US 1984-673996 A 19841126
EP 1984-309013 A 19841221

OTHER SOURCE(S): CASREACT 108:94573
GI

L4 ANSWER 56 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

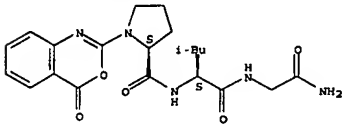


AB The title compds. [I; R1 = H, alkyl; R2, R3 = H, alkyl, OH, alkoxy, alkylthio, NO2, R2N, RCONR, R2NCONH, RO2CNH; X = R4NH, R5CONR, R2NZ, ROZ; R = H, alkyl, alkenyl, alkynyl; R4 = alkyl, alkenyl, alkynyl, (un)substituted C3-6 cycloalkyl, phenylalkyl; R5 = RNH, ROZ, R4; Z = amino acid or di- or tripeptide residue] and their pharmaceutically acceptable esters or salts were prepared as inhibitors of serine proteases (no data), useful in treating inflammation and diseases involving protein degradation 2-OCNC6H4CO2Me and EtCHMeNH2 were stirred at room temperature to give 2-EtCHMeNHCONHC6H4CO2Me. The latter was dissolved in concentrated H2SO4 and stirred 2.5 h to give I (R1-R3 = H, X = EtCHMeNH).

IT 100075-85-2P 100075-86-3P 100075-87-4P
100075-88-5P 100163-85-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiinflammatory and antiarthritic)

RN 100075-85-2 CAPLUS
CN Glycinamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

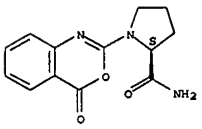
Absolute stereochemistry.



RN 100075-86-3 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)-, (S)- (9CI) (CA INDEX NAME)

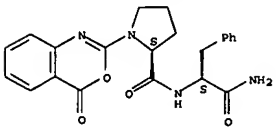
Absolute stereochemistry.

L4 ANSWER 56 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



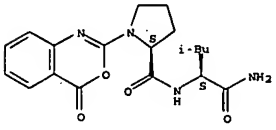
RN 100075-87-4 CAPLUS
CN L-Phenylalaninamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



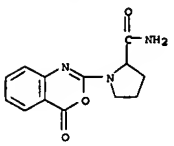
RN 100075-88-5 CAPLUS
CN L-Leucinamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 100163-85-7 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 56 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L4 ANSWER 57 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN

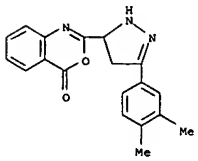
ACCESSION NUMBER: 1988:56047 CAPLUS
DOCUMENT NUMBER: 108:56047
TITLE: Some reactions of N-[(3,4-dimethylbenzoyl)acryloyl]anthranilic acid and its derivatives
AUTHOR(S): Soliman, E. A.; Hataba, A. M.; Attia, I. A.; El-Shahed, F. A.; Mousa, H. A.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Journal of the Chemical Society of Pakistan (1987), 9(1), 19-34
CODEN: JCSPDF; ISSN: 0253-5106
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:56047
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Cyclization of anthranilic acid derivative I with RNHC(:Z)NH2 (R = H, Z = O, S; R = PhCH2, Z = S) and with Ac2O gave pyrimidines II (R = H, PhCH2; Z = O, S) and benzoxazinone III, resp. Cyclocondensation of III with N2H4 gave aminoquinazolinone IV (R1 = H). Condensation of III with N2H4 in the presence of R2CO2H (R2 = H, Me, Et, Pr) gave IV (R1 = COR2). Some reactions of IV (R1 = H) were also investigated.

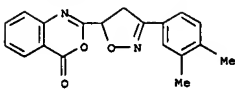
IT 112371-53-6P 112371-70-7P 112371-71-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 112371-53-6 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3,4-dimethylphenyl)-4,5-dihydro-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

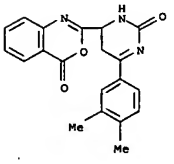


RN 112371-70-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3,4-dimethylphenyl)-4,5-dihydro-5-isoxazolyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 57 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 112371-71-8 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[6-(3,4-dimethylphenyl)-2,3,4,5-tetrahydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 58 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN

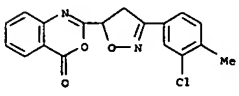
ACCESSION NUMBER: 1987:407144 CAPLUS
DOCUMENT NUMBER: 107:7144
TITLE: Synthesis of some new benzoxazinone and quinazolone derivatives
AUTHOR(S): Soliman, E. A.; Hassan, M. A.; Salem, M. A. I.; Sherif, I. S.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1985), Volume Date 1984, 27(6), 789-802
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:7144
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

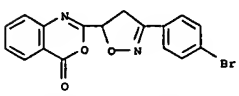
AB Aroylvinylbenzoxazinones I (R = H, U; R1 = Br, Me; X = O) were prepared from anthranilic acid and β-aroylacryloyl chlorides with following cyclization using Ac2O. The reactions of I (X = O) with amines, hydrazines, hydroxylamine, and (thio)urea yielded benzoxazinones II (X = O; Y = e. g. NH, NPh, NAc, O) and III (X = O, S) and quinazolones I (X = NC6H4Me-4, NC6H4OMe-4) and II (X = NNH2; Y = NH).

IT 97272-12-3P 97272-13-4P 97272-14-5P
97272-15-6P 97272-16-7P 97272-17-8P
97272-53-2P 97272-55-4P 97272-57-6P
97272-58-7P 97272-59-8P 97272-61-2P
97272-62-3P 107833-56-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 97272-12-3 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3-chloro-4-methylphenyl)-4,5-dihydro-5-isoxazolyl]- (9CI) (CA INDEX NAME)

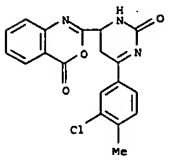


RN 97272-13-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(4-bromophenyl)-4,5-dihydro-5-isoxazolyl]- (9CI) (CA INDEX NAME)

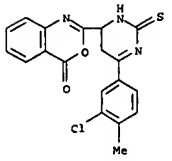


L4 ANSWER 58 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 97272-14-5 CAPLUS
CN 4H-3,1-Benzoxazin-4-one,
2-[6-(3-chloro-4-methylphenyl)-2,3,4,5-tetrahydro-
2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

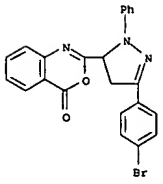


RN 97272-15-6 CAPLUS
CN 4H-3,1-Benzoxazin-4-one,
2-[6-(3-chloro-4-methylphenyl)-2,3,4,5-tetrahydro-
2-thioxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

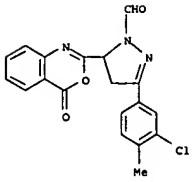


RN 97272-16-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[6-(4-bromophenyl)-2,3,4,5-tetrahydro-2-oxo-4-
pyrimidinyl]- (9CI) (CA INDEX NAME)

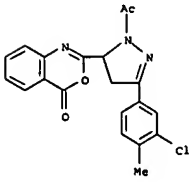
L4 ANSWER 58 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
pyrazol-5-yl]- (9CI) (CA INDEX NAME)



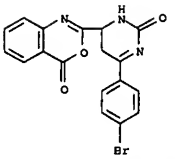
RN 97272-57-6 CAPLUS
CN 1H-Pyrazole-1-carboxaldehyde,
3-(3-chloro-4-methylphenyl)-4,5-dihydro-5-(4-
oxo-4H-3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)



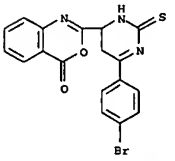
RN 97272-58-7 CAPLUS
CN 1H-Pyrazole,
1-acetyl-3-(3-chloro-4-methylphenyl)-4,5-dihydro-5-(4-oxo-4H-
3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)



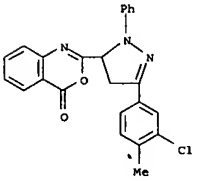
L4 ANSWER 58 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 97272-17-8 CAPLUS
CN 4H-3,1-Benzoxazin-4-one,
2-[6-(4-bromophenyl)-2,3,4,5-tetrahydro-2-thioxo-
4-pyrimidinyl]- (9CI) (CA INDEX NAME)



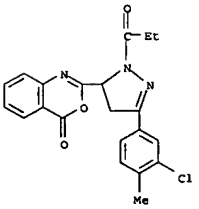
RN 97272-53-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3-chloro-4-methylphenyl)-4,5-dihydro-1-
phenyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



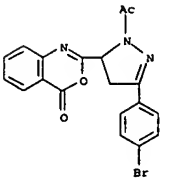
RN 97272-55-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(4-bromophenyl)-4,5-dihydro-1-phenyl-1H-

L4 ANSWER 58 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 97272-59-8 CAPLUS
CN 1H-Pyrazole, 3-(3-chloro-4-methylphenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-
benzoxazin-2-yl)-1-(1-oxopropyl)- (9CI) (CA INDEX NAME)

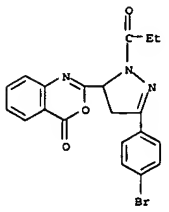


RN 97272-61-2 CAPLUS
CN 1H-Pyrazole, 1-acetyl-3-(4-bromophenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-
benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

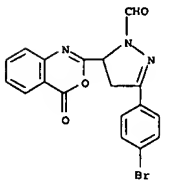


RN 97272-62-3 CAPLUS
CN 1H-Pyrazole, 3-(4-bromophenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-benzoxazin-2-
yl)-1-(1-oxopropyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 58 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

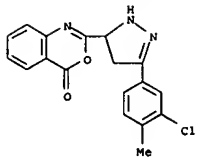


RN 107833-56-7 CAPLUS
CN 1H-Pyrazole-1-carboxaldehyde, 3-(4-bromophenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

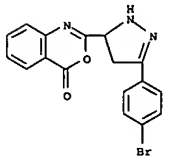


IT 97272-52-1P 97272-54-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, acetylation and hydrazinolysis of)
RN 97272-52-1 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3-chloro-4-methylphenyl)-4,5-dihydro-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

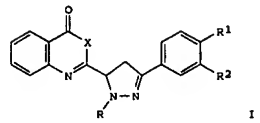
L4 ANSWER 58 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



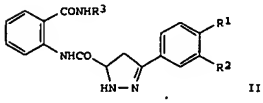
RN 97272-54-3 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(4-bromophenyl)-4,5-dihydro-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 59 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:119830 CAPLUS
DOCUMENT NUMBER: 106:119830
TITLE: Some reactions of pyrazolinylbenzoxazones and -quinazolones
AUTHOR(S): Soliman, E. A.; Hassan, M. A.; Salem, M. A. I.; Sherif, I. S.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Journal of the Chemical Society of Pakistan (1986), 8(2), 97-106
CODEN: JCSPDP; ISSN: 0253-5106
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:119830
GI



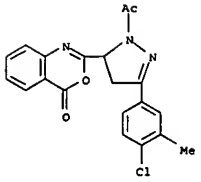
I



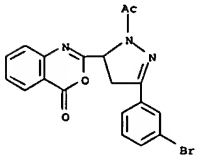
II

AB Arylpyrazolinylbenzoxazinones I (X = O; R = H; R1 = H, Cl; R2 = Me, Br) react easily with amines R3NH2(R3 = e.g. Me, Bu, 4-MeOC6H4, PhCH2) in EtOH
or AcOH to furnish the corresponding anilides II or quinazolones I (R = Ac; X = NR3). Acetylation, benzoylation and nitrosation of I led to the formation of I (R = Ac, Bz, NO; X = O). Other transformations of I were also investigated.
IT 107263-61-6P 107263-62-7P 107263-63-8P
107263-64-9P 107263-65-0P 107263-66-1P
107263-67-2P 107263-68-3P 107263-69-4P
107288-13-1P 107288-14-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 107263-61-6 CAPLUS
CN 1H-Pyrazole, 1-acetyl-3-(4-chloro-3-methylphenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

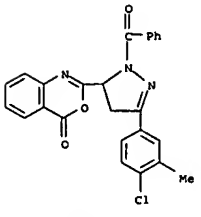
L4 ANSWER 59 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 107263-62-7 CAPLUS
CN 1H-Pyrazole, 1-acetyl-3-(3-bromophenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

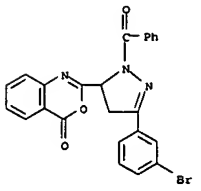


RN 107263-63-8 CAPLUS
CN 1H-Pyrazole, 1-benzoyl-3-(4-chloro-3-methylphenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

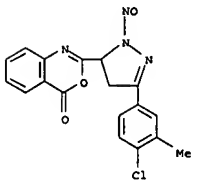


RN 107263-64-9 CAPLUS
CN 1H-Pyrazole, 1-benzoyl-3-(3-bromophenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-

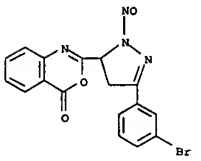
L4 ANSWER 59 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
benzoxazin-2-yl)- (9CI) (CA INDEX NAME)



RN 107263-65-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(4-chloro-3-methylphenyl)-4,5-dihydro-1-nitroso-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

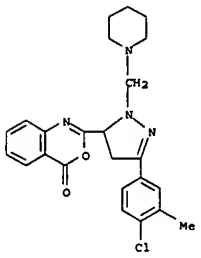


RN 107263-66-1 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3-bromophenyl)-4,5-dihydro-1-nitroso-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

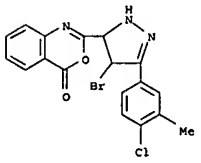


L4 ANSWER 59 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 107263-67-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(4-chloro-3-methylphenyl)-4,5-dihydro-1-(1-piperidinylmethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

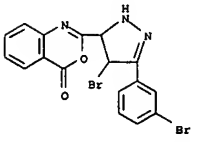


RN 107263-68-3 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[4-bromo-3-(4-chloro-3-methylphenyl)-4,5-dihydro-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

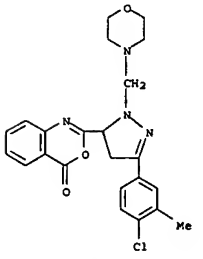


RN 107263-69-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[4-bromo-3-(3-bromophenyl)-4,5-dihydro-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 59 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

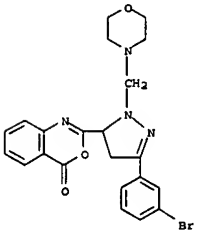


RN 107288-13-1 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(4-chloro-3-methylphenyl)-4,5-dihydro-1-(4-morpholinylmethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

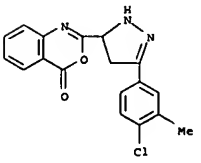


RN 107288-14-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3-bromophenyl)-4,5-dihydro-1-(4-morpholinylmethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

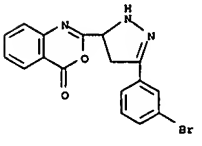
L4 ANSWER 59 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 107263-38-7 107263-39-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactions of)
RN 107263-38-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(4-chloro-3-methylphenyl)-4,5-dihydro-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



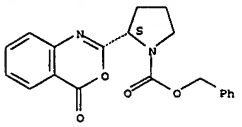
RN 107263-39-8 CAPLUS
CN 4H-3,1-Benzoxazin-4-one,
2-[3-(3-bromophenyl)-4,5-dihydro-1H-pyrazol-5-yl]-
(9CI) (CA INDEX NAME)



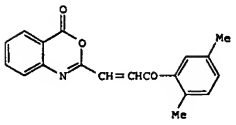
L4 ANSWER 59 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L4 ANSWER 60 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:46298 CAPLUS
DOCUMENT NUMBER: 106:46298
TITLE: Inhibition of serine proteases by benzoxazinones: effects of electron withdrawal and 5-substitution
AUTHOR(S): Spencer, Robin W.; Copp, Leslie J.; Bonaventura, Bonnie; Tam, Tim F.; Liak, T. J.; Billedeau, Roland J.; Krantz, Allen
CORPORATE SOURCE: Syntex Res., Mississauga, ON, L5N 3X4, Can.
SOURCE: Biochemical and Biophysical Reaearch Communications (1986), 140(3), 928-33
CODEN: BBRCA9; ISSN: 0006-291X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of substituted 4H-3,1-benzoxazin-4-ones were assayed as inhibitors of human leukocyte elastase (HLE) and other serine proteases. The benzoxazinones were kinetically competitive, alternate substrate inhibitors that inhibited by acylation and slow deacylation. Two structure-activity relations were found which were consistent with this mechanism. First, electron withdrawal at position 2 gave better inhibition (lower Ki values) because acylation rates were increased while deacylation was relatively unaffected. Second, benzoxazinones with Me or Et substitution at position 5 were better inhibitors of HLE because the acyl-enzymes formed from these compds. were 2,6-disubstituted benzoic acid esters and their deacylation was sterically hindered.
IT 106324-50-9
RL: BIOL (Biological study)
(elastase of human leukocytes and other serine proteinases inhibition by, kinetics of, structure in relation to)
RN 106324-50-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-(4-oxo-4H-3,1-benzoxazin-2-yl)-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

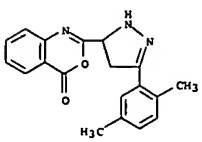


L4 ANSWER 61 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:626465 CAPLUS
DOCUMENT NUMBER: 105:226465
TITLE: Synthesis and some reactions of new 3,1-benzoxazin-4-one derivatives
AUTHOR(S): Soliman, E. A.; Attia, I. A.; Guber, A. M.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1985), 27(3), 297-308
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:226465
GI



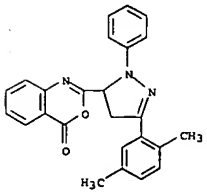
I

AB Benzoxazinone I was prepared by treating 2-HO2CC6H4NH2 with 2,5-Me2C6H3COCH:CHCOCl and cyclization of 2-HO2CC6H4NHCOCH:CHCOC6H3Me2-2,5 with Ac2O. I reacted with amines, hydrazines, NH2OH, ureas, and thioureas to form various heterocyclic derivs.
IT 105493-13-8P 105493-14-9P 105493-15-0P 105493-16-1P 105493-17-2P 105493-18-3P 105493-19-4P 105493-20-7P 105493-21-8P 105493-22-9P 105493-23-0P 105507-04-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)
RN 105493-13-8 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(2,5-dimethylphenyl)-4,5-dihydro-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

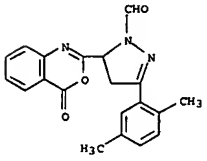


RN 105493-14-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one,

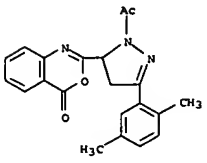
L4 ANSWER 61 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 105493-15-0 CAPLUS
CN 1H-Pyrazole-1-carboxaldehyde, 3-(2,5-dimethylphenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

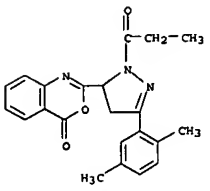


RN 105493-16-1 CAPLUS
CN 1H-Pyrazole, 1-acetyl-3-(2,5-dimethylphenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

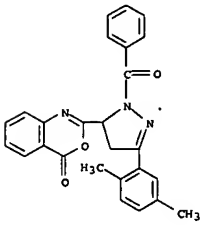


RN 105493-17-2 CAPLUS
CN 1H-Pyrazole, 3-(2,5-dimethylphenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-benzoxazin-

L4 ANSWER 61 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
2-yl)-1-(1-oxopropyl)- (9CI) (CA INDEX NAME)

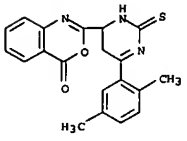


RN 105493-18-3 CAPLUS
CN 1H-Pyrazole,
1-benzoyl-3-(2,5-dimethylphenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

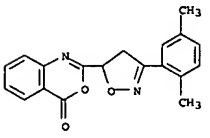


RN 105493-19-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(2,5-dimethylphenyl)-4,5-dihydro-1-nitroso-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

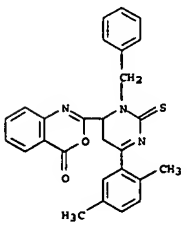
L4 ANSWER 61 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



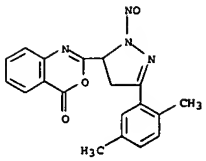
RN 105493-23-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(2,5-dimethylphenyl)-4,5-dihydro-5-isoxazolyl]- (9CI) (CA INDEX NAME)



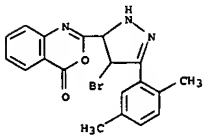
RN 105507-04-8 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[6-(2,5-dimethylphenyl)-2,3,4,5-tetrahydro-3-(phenylmethyl)-2-thioxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



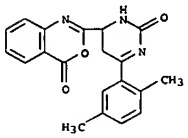
L4 ANSWER 61 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 105493-20-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one,
2-[4-bromo-3-(2,5-dimethylphenyl)-4,5-dihydro-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 105493-21-8 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[6-(2,5-dimethylphenyl)-2,3,4,5-tetrahydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



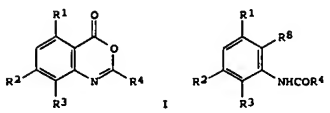
RN 105493-22-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[6-(2,5-dimethylphenyl)-2,3,4,5-tetrahydro-2-thioxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 62 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:88568 CAPLUS
DOCUMENT NUMBER: 104:88568
TITLE: 4H-3,1-Benzoxazin-4-ones and related compounds and pharmaceutical compositions containing them
INVENTOR(S): Krantz, Alexander; Tam, Tim F.; Spencer, Robin W.
PATENT ASSIGNEE(S): Syntex (U.S.A.), Inc., USA
SOURCE: Eur. Pat. Appl., 138 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 147211	A2	19850703	EP 1984-309013		19841221
EP 147211	A3	19850814			
EP 147211	B1	19900912			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
US 4657893	A	19870414	US 1984-673996		19841126
AT 56444	T	19900915	AT 1984-309013		19841221
ZA 8410089	A	19860827	ZA 1984-10089		19841227
PRIORITY APPLN. INFO.:			US 1983-566129	A	19831227
			US 1984-608609	A	19840509
			US 1984-673996	A	19841126
			EP 1984-309013	A	19841221

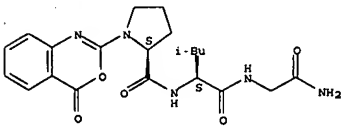
GI



AB The title compds. [I; R1 = H, C1-8 alkyl; R2, R3 = H, halo, C1-8 alkyl, alkoxy, thioalkyl, NO2, N(R5)2, NR5COR5, NHCON(R5)2, NHCO2R5; R4 = NHR6, NR5COR7, XN(R5)2, XOR5; R5 = H, C1-8 alkyl, alkenyl, alkynyl; R6 = C1-8 alkyl, alkenyl, alkynyl, (un)substituted cycloalkyl or Ph; R7 = as for R6, alkoxy, NHR5, XOR5; X = amino acid, di- or tripeptide] are useful as serine protease inhibitors. I were prepared by several methods, e.g., by cyclization of II (R1 - R4 as above; R8 = CO2H, CO2Me, CO2Et, etc.), or by substitutions of I (R4 = 1-benzotriazolyl). Thus, a solution of Me2CHNH2 was added to 2-(1-benzotriazolyl)-5-ethyl-4H-3,1-benzoxazin-4-one in dry CH2Cl2 and the mixture stirred for 20 min. TLC showed that the reaction was completed, after which the CH2Cl2 was evaporated, the residue

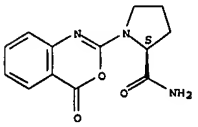
L4 ANSWER 62 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
over silica gel, the fractions combined and evapd., and the resulting solid recrystd. from pentane to give 40 g 5-ethyl-2-(isopropylamino)-4H-3,1-benzoxazin-4-one (I; R1 = Et, R2 = R3 = H, R4 = NHCHMe2). Inhibition kinetics of I in human leukocyte elastase and bovine trypsin assays are given. Pharmaceutical compns. contg. I are also presented.
IT 100075-85-2P 100075-86-3P 100075-87-4P
100075-88-5P 100163-85-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serine protease inhibitor)
RN 100075-85-2 CAPLUS
CN Glycinamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 100075-86-3 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)-, (S)- (9CI) (CA INDEX NAME)

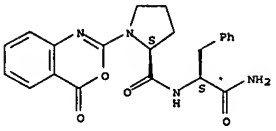
Absolute stereochemistry.



RN 100075-87-4 CAPLUS
CN L-Phenylalaninamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)-L-prolyl- (9CI) (CA
INDEX NAME)

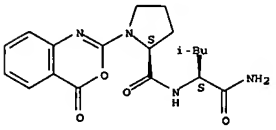
Absolute stereochemistry.

L4 ANSWER 62 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

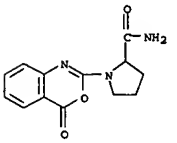


RN 100075-88-5 CAPLUS
CN L-Leucinamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)-L-prolyl- (9CI) (CA INDEX
NAME)

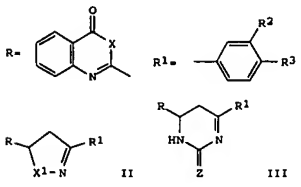
Absolute stereochemistry.



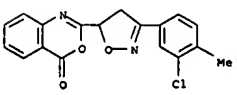
RN 100163-85-7 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-(4-oxo-4H-3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 63 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:454014 CAPLUS
DOCUMENT NUMBER: 103:54014
TITLE: Synthesis of some new benzoxazones and quinazolones derivatives
AUTHOR(S): Soliman, E. A.; Hassan, M. A.; Salem, M. A. I.; Sherif, I. S.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Journal of the Chemical Society of Pakistan (1984), 6(3), 183-90
CODEN: JCSPDF; ISSN: 0253-5106
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

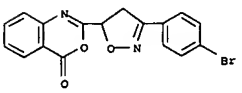


AB RCH:CHCOR1 (I, X = O, R2 = H, Cl, R3 = Br, Me) were prepared by treating 2-H2NC6H4CO2H with R1COCH:CHCOCl, followed by cyclization using Ac2O. I reacted with hydrazines to give pyrazoles II (X1 = NH, NPh) and with urea or thiourea to give pyrimidines III (Z = O, S). Aminolysis of I with R4NH2 (R4 = Me, Et, Bu, CH2Ph, 4-MeC6H4, 4-MeOC6H4) yielded 2-R4NHCOC6H4NHCOCH:CHCOR1. When the aminolysis was carried out in the presence of ZnCl2 I (X = NC6H4Me-4, NC6H4OMe-4) were formed.
IT 97272-12-3P 97272-13-4P 97272-14-5P
97272-15-6P 97272-16-7P 97272-17-8P
97272-53-2P 97272-55-4P 97272-57-6P
97272-58-7P 97272-59-8P 97272-60-1P
97272-61-2P 97272-62-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 97272-12-3 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3-chloro-4-methylphenyl)-4,5-dihydro-5-isoxazolyl]- (9CI) (CA INDEX NAME)

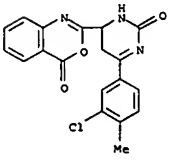


RN 97272-13-4 CAPLUS

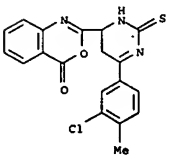
L4 ANSWER 63 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(4-bromophenyl)-4,5-dihydro-5-isoxazolyl]- (9CI) (CA INDEX NAME)



RN 97272-14-5 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[6-(3-chloro-4-methylphenyl)-2,3,4,5-tetrahydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

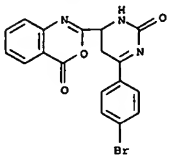


RN 97272-15-6 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[6-(3-chloro-4-methylphenyl)-2,3,4,5-tetrahydro-2-thioxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

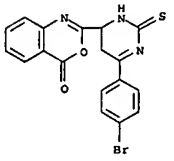


RN 97272-16-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[6-(4-bromophenyl)-2,3,4,5-tetrahydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

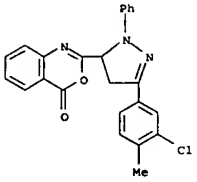
L4 ANSWER 63 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



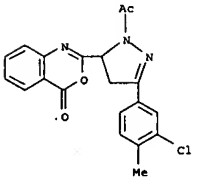
RN 97272-17-8 CAPLUS
CN 4H-3,1-Benzoxazin-4-one,
2-[6-(4-bromophenyl)-2,3,4,5-tetrahydro-2-thioxo-
4-pyrimidinyl]- (9CI) (CA INDEX NAME)



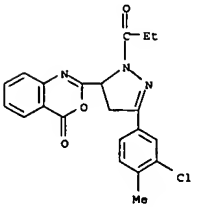
RN 97272-53-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3-chloro-4-methylphenyl)-4,5-dihydro-1-
phenyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



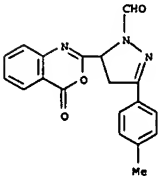
RN 97272-55-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(4-bromophenyl)-4,5-dihydro-1-phenyl-1H-
pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 97272-59-8 CAPLUS
CN 1H-Pyrazole, 3-(3-chloro-4-methylphenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-
benzoxazin-2-yl)-1-(1-oxopropyl)- (9CI) (CA INDEX NAME)

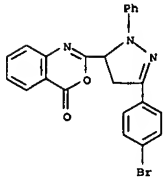


RN 97272-60-1 CAPLUS
CN 1H-Pyrazole-1-carboxaldehyde, 4,5-dihydro-3-(4-methylphenyl)-5-(4-oxo-4H-
3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

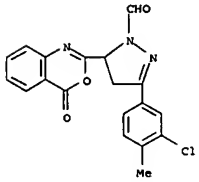


RN 97272-61-2 CAPLUS

L4 ANSWER 63 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
pyrazol-5-yl]- (9CI) (CA INDEX NAME)

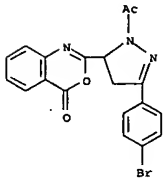


RN 97272-57-6 CAPLUS
CN 1H-Pyrazole-1-carboxaldehyde,
3-(3-chloro-4-methylphenyl)-4,5-dihydro-5-(4-
oxo-4H-3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

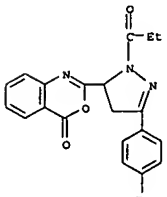


RN 97272-58-7 CAPLUS
CN 1H-Pyrazole,
1-acetyl-3-(3-chloro-4-methylphenyl)-4,5-dihydro-5-(4-oxo-4H-
3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 63 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Pyrazole, 1-acetyl-3-(4-bromophenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-
benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

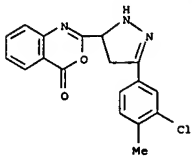


RN 97272-62-3 CAPLUS
CN 1H-Pyrazole, 3-(4-bromophenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-benzoxazin-2-
yl)-1-(1-oxopropyl)- (9CI) (CA INDEX NAME)

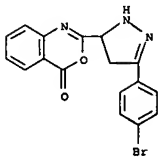


IT 97272-52-1P 97272-54-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, aminolysis, or acetylation of)
RN 97272-52-1 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3-chloro-4-methylphenyl)-4,5-dihydro-1H-
pyrazol-5-yl]- (9CI) (CA INDEX NAME)

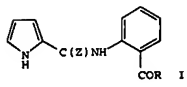
L4 ANSWER 63 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 97272-54-3 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(4-bromophenyl)-4,5-dihydro-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

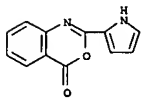


L4 ANSWER 64 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:174580 CAPLUS
DOCUMENT NUMBER: 100:174580
TITLE: Synthesis of derivatives of pyrrole using methyl 2-isothiocyanatobenzoate
AUTHOR(S): Looney-Dean, V.; Lindamood, B. S.; Papadopoulos, E. P.
CORPORATE SOURCE: Dep. Chem., Univ. New Mexico, Albuquerque, NM, 87131, USA
SOURCE: Synthesis (1984), (1), 68-71
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:174580
GI

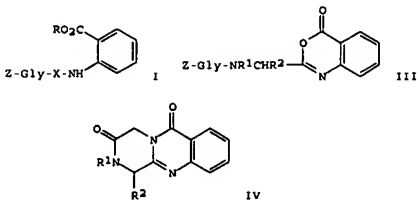


I

AB Pyrrolecarbanilides I (Z = S, O; R = OMe, OH, NH2, NHCH2Ph) were prepared Pyrrole was heated with 2-SCNC6H4CO2Me to yield I (Z = S, R = OMe), which was converted to I (Z = O, R = OMe) and I (Z = S, R = OH) (II). II was cyclized to a benzoxaxinone, and cleavage of the product with NH3 and PhCH2NH2 gave I (Z = O, R = NH2, NHCH2Ph).
IT 89812-78-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ring cleavage of, by ammonia and benzylamine)
RN 89812-78-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(1H-pyrrol-2-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 65 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:616690 CAPLUS
DOCUMENT NUMBER: 97:216690
TITLE: Peptide derivatives of anthranilic acid. II. Intramolecular rearrangement products of dipeptidylanthranil
AUTHOR(S): Liberek, Bogdan; Zarebski, Jan
CORPORATE SOURCE: Inst. Chem., Univ. Gdansk, Gdansk, PL-80-952, Pol.
SOURCE: Pept., Proc. Eur. Pept. Symp., 16th (1981), Meeting Date 1980, 236-41. Editor(s): Brunfeldt, K. Scriptor: Copenhagen, Den.
CODEN: 48NWA3
DOCUMENT TYPE: Conference
LANGUAGE: English
GI



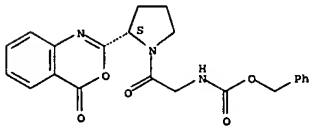
I III

IV

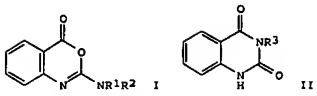
AB Anthranilic acid peptide I (Z = PhCH2O2C, X = MeGly, R = H) (II) was cyclized by DCC to give benzoxazinone III (R1 = Me, R2 = H), which was deblocked by hydrogenolysis and then cyclized to give azadehydrocyclol IV (R1 = Me, R2 = H). Z-Gly-MeGly-OH was coupled with anthranilic acid Me ester by DCC to give I (X = MeGly, R = Me), which was saponified to give II. IV [R1R2 = (CH2)3; R1 = H, R2 = CH2Ph] were prepared similarly from I (X = Pro, Phe; R = H) via the resp. III.
IT 83597-60-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis-cyclization of)
RN 83597-60-8 CAPLUS
CN Carbamic acid, [2-oxo-2-[2-(4-oxo-4H-3,1-benzoxazin-2-yl)-1-pyrrolidinyl]ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 65 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



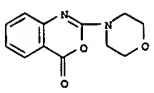
L4 ANSWER 66 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:6663 CAPLUS
DOCUMENT NUMBER: 96:6663
TITLE: Heterocyclization with iminium chlorides. II. Synthesis of 4H-[3,1]-benzoxazine-4-ones and quinazolinones
AUTHOR(S): Bitter, Istvan; Szocs, Laszlo; Toke, Laszlo
CORPORATE SOURCE: Dep. Org. Chem. Technol., Tech. Univ., Budapest, Hung.
SOURCE: Acta Chimica Academiae Scientiarum Hungaricae (1981), 107(1), 57-66
CODEN: ACASA2; ISSN: 0001-5407
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 96:6663
GI



AB o-H2NC6H4CO2Me was treated with R1R2N+:CCl2.Cl- (R1 = Me, R2 = Ph; R1 = R2 = Me, R1R2N = morpholino) to give the benzoxazoles I. I were cleaved with R3NH2 (R3 = H, Bu, Ph, o-HO2CC6H4, 4-ClC6H4, etc.) to give o-(R3NHCO)C6H4NHCONR1R2, which were cyclized in boiling Ac2O or DMF to give the quinazolinones II.

IT 79860-06-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ring cleavage of)

RN 79860-06-3 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(4-morpholinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

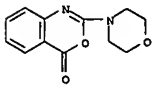


● HCl

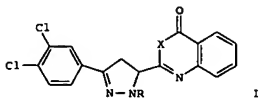
L4 ANSWER 66 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

IT 23494-28-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 23494-28-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



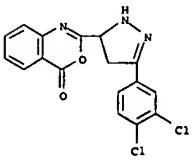
L4 ANSWER 67 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1981:515462 CAPLUS
DOCUMENT NUMBER: 95:115462
TITLE: Some reactions of 2-[3-(3,4-dichlorophenyl)-2-pyrazoline-5-yl]-4H-benzoxazin-4-one
AUTHOR(S): Soliman, E. A.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Revue Roumaine de Chimie (1981), 26(5), 699-703
CODEN: RRCHAX; ISSN: 0035-3930
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 95:115462
GI



AB Treating the title compound (I, X = O, R = H) (II) with AcCl, BzCl, piperidine, and morpholine gave I (X = O; R = Ac, Bz, piperidino, morpholino) resp., whereas treating II with R1NH2 (R1 = Me, Bu, PhCH2, 4-MeOC6H4) gave I (X = NR1, R = H).

IT 70012-29-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation and aminolysis of)

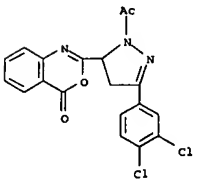
RN 70012-29-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3,4-dichlorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



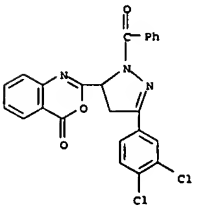
IT 78958-68-6P 78958-69-7P 78958-70-0P
78958-71-1P 78958-76-6P 78958-77-7P
78958-78-8P 78958-79-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 78958-68-6 CAPLUS
CN 1H-Pyrazole, 1-acetyl-3-(3,4-dichlorophenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-

L4 ANSWER 67 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

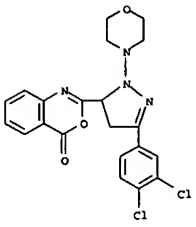


RN 78958-69-7 CAPLUS
CN 1H-Pyrazole, 1-benzoyl-3-(3,4-dichlorophenyl)-4,5-dihydro-5-(4-oxo-4H-3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

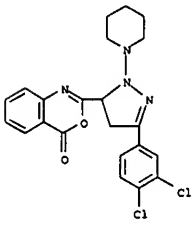


RN 78958-70-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3,4-dichlorophenyl)-4,5-dihydro-1-(4-morpholinyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 67 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



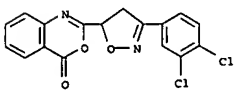
RN 78958-71-1 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3,4-dichlorophenyl)-4,5-dihydro-1-(1-piperidinyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



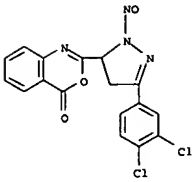
RN 78958-76-6 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3,4-dichlorophenyl)-4,5-dihydro-1-nitroso-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 67 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

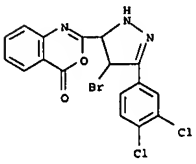
RN 78958-79-9 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3,4-dichlorophenyl)-4,5-dihydro-5-isoxazolyl]- (9CI) (CA INDEX NAME)



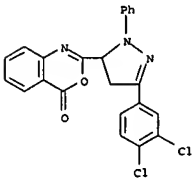
L4 ANSWER 67 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 78958-77-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[4-bromo-3-(3,4-dichlorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 78958-78-8 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3,4-dichlorophenyl)-4,5-dihydro-1-phenyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

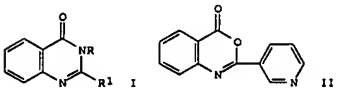


L4 ANSWER 68 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1981:121596 CAPLUS
DOCUMENT NUMBER: 94:121596
TITLE: 2,3-Dipyridylquinazolines
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55147279	A	19801117	JP 1980-44865	19800404
PRIORITY APPLN. INFO.:			JP 1980-44865 A	19800404

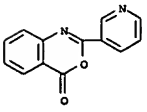
GI



AB Quinazolines I (R, R1 = pyridyl), useful as antidepressants (no data) and inflammation inhibitors, were prepared Thus, treating 0.35 g II with 0.176 g 3-aminopyridine at 200° gave 0.3 g I (R = R1 = 3-pyridyl). The latter compound showed antiinflammatory activity approx. equal to that of phenylbutazone.

IT 53180-68-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(aminolysis of)

RN 53180-68-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



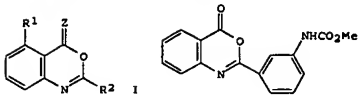
L4 ANSWER 69 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1981:121561 CAPLUS
DOCUMENT NUMBER: 94:121561
TITLE: 4H-3,1-Benzoxazine derivatives
INVENTOR(S): Hamprecht, Gerhard; Wuerzer, Bruno
PATENT ASSIGNEE(S): BASF A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 90 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2914915	A1	19801030	DE 1979-2914915	19790412
IL 59775	A	19840330	IL 1980-59775	19800404
BR 8002142	A	19801125	BR 1980-2142	19800408
US 4315766	A	19820216	US 1980-138414	19800408
CA 1145748	A1	19830503	CA 1980-349377	19800408
DD 149995	A5	19810812	DD 1980-220307	19800409
SU 980601	A3	19821207	SU 1980-2903456	19800409
CS 212229	B2	19820326	CS 1980-2490	19800410
HU 26093	A2	19830928	HU 1980-872	19800410
HU 185882	B	19850428		
PL 126871	B2	19830930	PL 1980-223370	19800410
AU 8057375	A	19801016	AU 1980-57375	19800411
AU 535463	B2	19840322		
EP 17931	A2	19801029	EP 1980-101957	19800411
EP 17931	A3	19810121		
EP 17931	B1	19840307		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
JP 55141476	A	19801105	JP 1980-47006	19800411
JP 02024825	B	19900530		
ZA 8002173	A	19810624	ZA 1980-2173	19800411
ES 490486	A1	19811101	ES 1980-490486	19800411
RO 81078	A1	19830201	RO 1980-100802	19800411
EP 84893	A2	19830803	EP 1983-100793	19800411
EP 84893	A3	19830824		
EP 84893	B1	19870114		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 6509	T	19840315	AT 1980-101957	19800411
AT 24901	T	19870115	AT 1983-100793	19800411
US 32087	E	19860225	US 1983-506316	19830621

PRIORITY APPLN. INFO.:
DE 1979-2914915 A 19790412
US 1980-138414 A5 19800408
EP 1980-101957 P 19800411
EP 1983-100793 A 19800411

OTHER SOURCE(S): MARPAT 94:121561
GI

L4 ANSWER 69 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

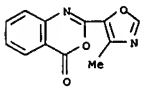


I II

AB Benzoxazines I [R1 = H, halo, NO2, (halo)alkyl, haloalkoxy, -alkylthio, cyano, thiocyano, CO2R3 (R3 = alkyl, alkenyl), CONR4R5 (R4 = alkyl, R5 = H, alkyl), Z1R4 (Z, Z1 = O, S), SOR4, SO2R4, SO2OR4, SO2NR4R5, COR4; R2 = Me-substituted cyclo- or bicycloaliph., heterocyclyl optionally Me- or halo-substituted; R6-substituted aryl [R6 = R7Z2 (R7 = aliphatic; Z2 = O, S, SO, SO2, O2C, SCO, ONHCO, SNHCO, SNHCS, NHSO2, NR7SO2, NHCONH), halo-substituted C1-4 R7Z2, N(CF3)SCF3, NHCONHMe, NHCONMe2, NHCONMeOMe, HCONH, H, halo, cyano, thiocyano, NO2, haloalkyl, acyl, F, Cl, haloalkyl or haloalkoxy-substituted aralkyl]], useful as selective herbicides (extensive data tabulated), were prepared Thus, acylation by 3-O2NC6H4COCl of 2-H2NC6H4CO2H gave 2-(3-O2NC6H4CONH)C6H4CO2H, which was hydrogenated over Raney Ni to 2-(3-H2NC6H4CONH)C6H4CO2H. This was N-acylated with MeO2CCl and NEt3 in (ClCH2)2 to give 2-(3-MeO2CNHC6H4CONH)C6H4CO2H, which was cyclized in refluxing Ac2O to give 88% benzoxazine II.

IT 76903-57-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and herbicidal activity of)

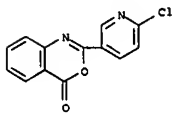
RN 76903-57-6 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(4-methyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



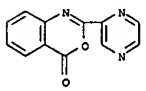
IT 76903-55-4P 76903-56-5P 76903-58-7P
76903-60-1P 76903-62-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 76903-55-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(6-chloro-3-pyridinyl)- (9CI) (CA INDEX NAME)

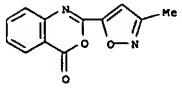
L4 ANSWER 69 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



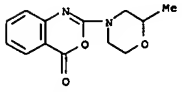
RN 76903-56-5 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-pyrazinyl- (9CI) (CA INDEX NAME)



RN 76903-58-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3-methyl-5-isoxazolyl)- (9CI) (CA INDEX NAME)

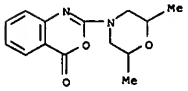


RN 76903-60-1 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(2-methyl-4-morpholinyl)- (9CI) (CA INDEX NAME)

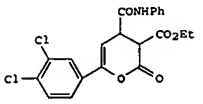


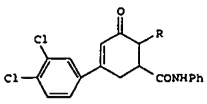
RN 76903-62-3 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(2,6-dimethyl-4-morpholinyl)- (9CI) (CA INDEX NAME)

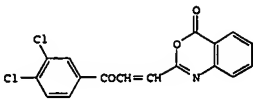
L4 ANSWER 69 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L4 ANSWER 70 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1980:198066 CAPLUS
DOCUMENT NUMBER: 92:198066
TITLE: Some reactions with β-(3,4-dichlorobenzoyl)-N-phenylacrylamide and β-(3,4-dichlorobenzoyl)acryloyl chloride
AUTHOR(S): Soliman, E. A.; Hosni, Galal
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Pakistan Journal of Scientific and Industrial Research
(1979), 22(5), 228-35
CODEN: PSIRAA; ISSN: 0030-9885
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 92:198066
GI

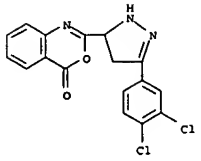

II


III

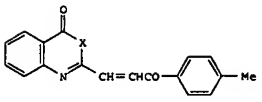

VI

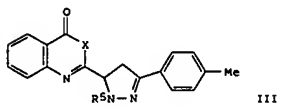
AB Reactions of 3,4-Cl2C6H3COCH:CHCONHPh (I) with active methylene compds, Grignard reagents, hydrazines, acyl chlorides, amines and H2NCSNH2 were performed. Thus, Michael condensation of I with (EtO2C)2CH2 gave II and of I with MeCOCH2R (R = CO2Et, Me, Ph) gave III. Grignard reaction of I gave 1,4-addition products, 3,4-Cl2C6H3COCH2CHR1CONHPh (IV; R1 = Ph, Et, PhCH2, 4-MeOC6H4). Acylation of I and reactions with hydrazines gave the expected products. Amination of I gave IV (R1 = morpholinyl, piperidinyl, PhCH2NH). Treatment of I with H2NCSNH2 did not give a thiazole but gave 3,4-Cl2C6H3COCH:CHCONHCSNH2. Reactions of 3,4-Cl2C6H3COCH:CHCOCl (V) were also studied. Friedel-Crafts reaction of V gave 3,4-Cl2C6H3COCH2CHR2COR2 (R2 = Ph, 4-MeC6H4). Reaction of V with 2-H2NC6H4CO2H in Et2O gave 3,4-Cl2C6H3COCH:CHCONHC6H4CO2H-2 but in pyridine the product was VI.
IT 70012-29-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with hydrazine and toluidine)

L4 ANSWER 70 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 70012-29-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3,4-dichlorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



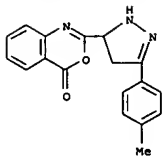
L4 ANSWER 71 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1979:575295 CAPLUS
DOCUMENT NUMBER: 91:175295
TITLE: Reactions with the amides and chlorides of some β-aroylacrylic acids
AUTHOR(S): Sammour, A.; Afify, A. A.; Abdallah, M.; Soliman, E. A.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1979), Volume Date 1976, 19(6), 1109-16
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 91:175295
GI


II

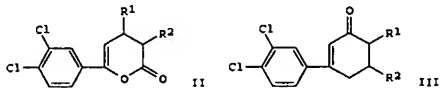

III

AB RCOCH:CHCONHCSNHR1 (R = 4-MeC6H4, 2-naphthyl; R1 = H, CH2Ph) were prepared by treating RCOCH:CHCONHC6H4R2-4 (R2 = H, Me, OMe) or 4-MeC6H4COCH:CHCOCl (I) with H2NCSNHR1. 4-MeC6H4COCH:CHCONHC6H4SO2NHR3-4 [R3 = H, C(:NH)NH2, 4-methyl-2-pyrimidinyl] were obtained from I and H2NC6H4SO2NHR3-4. I reacted with 2-H2NC6H4CO2H to give 2-HO2CC6H4NHCOCH:CHCOC6H4Me-4, which cyclized to the benzoxaziqone II (X = O). Reaction of II (X = O) with amines R4NH2 in EtOH gave 2-R4NHCOC6H4NHCOCH:CHCOC6H4Me-4 (R4 = CH2Ph, 4-MeC6H4), but reaction with 4-MeC6H4NH2 at 170° gave II (X = NC6H4Me-4). Reaction of II (X = O) with N2H4 gave III (X = O, NNH2, R5 = H), whereas with PhNHNH2 only III (X = NNHPh, R5 = Ph) was obtained.
IT 71703-82-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 71703-82-7 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[4,5-dihydro-3-(4-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 71 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



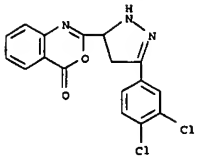
L4 ANSWER 72 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1979:203645 CAPLUS
DOCUMENT NUMBER: 90:203645
TITLE: Some reactions of β-(3,4-dichlorobenzoyl)-N-phenylacrylamide and β-(3,4-dichlorobenzoyl)acrylyl chloride
AUTHOR(S): Soliman, E. A.; Hosni, Galal
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1978), 16B(10), 884-8
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 90:203645
GI



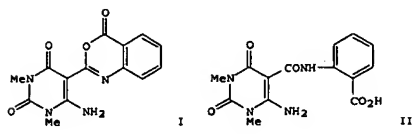
II III

AB The Michael condensation of RCOCH:CHCONHPh (R = 3,4-Cl2C6H3; I) with CH2(CO2Et)2, MeCOCH2CO2Et, EtOMe, and MeCOCH2Ph gave pyrones II (R1 = PhNHCO, CO2H; R2 = CO2H, CO2Et) and cyclohexenones III (R1 = CO2Et, Me, Ph; R2 = PhNHCO). The reactions of I with Grignard reagents and amines, thiourea, hydrazines and HONH2 gave RR3 (R3 = COCH2CHR4CONHPh; R4 = morpholino, piperidino, PhCH2), ROCH:CHCONHC(S)NH2, and RC(:NR5)CH:CHCONHPh; R5 = NH2, NHPh, OH). Friedel-Crafts alkylation of C6H6 and MePh with RCOCH:CHCOCl (IV) gave RCOCH2CHR6COR7 (R6 = R7 = Ph, 4-MeC6H4). The reaction of IV and 2-H2NC6H4CO2H gave R2COCH:CHCONHC6H4CO2H-2.
IT 70012-29-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 70012-29-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-[3-(3,4-dichlorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

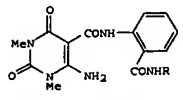
L4 ANSWER 72 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L4 ANSWER 73 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1978:597453 CAPLUS
DOCUMENT NUMBER: 89:197453
TITLE: Cyclization of arylcarboxamidouracils. Synthesis of a new 4H-3,1-benzoxazin-4-one. Use of mass spectrometry as a probe
AUTHOR(S): Bernier, Jean Luc; Henichart, Jean Pierre
CORPORATE SOURCE: Lab. Chim. Biol. Struct., Lille, Fr.
SOURCE: Journal of Heterocyclic Chemistry (1978), 15(6), 997-1000
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 89:197453
GI



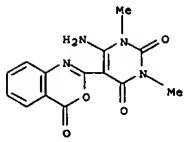
I II



III

AB Benzoxazinone I was obtained in 66% yield from uracil II by cyclization with Ac2O. Amination of I by RNH2 (R = Me, Ph) gave 73 and 80%, resp., of the ring opened products III.
IT 68210-98-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amination of)
RN 68210-98-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 6-amino-1,3-dimethyl-5-(4-oxo-4H-3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 73 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



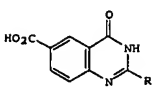
L4 ANSWER 74 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1977:502374 CAPLUS
DOCUMENT NUMBER: 87:102374
TITLE: 3,4-Dihydroquinazoline derivatives
INVENTOR(S): Doria, Gianfederico; Romeo, Ciriaco; Giraldi, Piernicola; Lauria, Francesco; Corno, Maria Luisa; Sberze, Piero; Tibolla, Marcello
PATENT ASSIGNEE(S): Erba, Carlo, S.p.A., Italy
SOURCE: Ger. Offen., 44 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2654215	A1	19770616	DE 1976-2654215	19761130
US 4251531	A	19810217	US 1976-738221	19761102
IL 50849	A	19801130	IL 1976-50849	19761104
AU 7619472	A	19780518	AU 1976-19472	19761110
BE 848696	A1	19770316	BE 1976-172653	19761124
FI 7603391	A	19770606	FI 1976-3391	19761125
FI 64359	B	19830729		
FI 64359	C	19831110		
NL 7613450	A	19770607	NL 1976-13450	19761202
FR 2333511	A1	19770701	FR 1976-36343	19761202
FR 2333511	B1	19790302		
AT 7608943	A	19790715	AT 1976-8943	19761202
AT 355029	B	19800211		
DK 7605467	A	19770606	DK 1976-5467	19761203
DK 147855	B	19841224		
DK 147855	C	19850610		
SE 7613588	A	19770606	SE 1976-13588	19761203
NO 7604135	A	19770607	NO 1976-4135	19761203
NO 146095	B	19820419		
NO 146095	C	19820811		
CS 194786	B2	19791231	CS 1976-7886	19761203
CA 1084051	A1	19800819	CA 1976-267090	19761203
SU 786894	A3	19801207	SU 1976-2426155	19761203
HU 20142	A2	19810627	HU 1976-EA167	19761203
HU 177817	B	19811228		
CH 626073	A5	19811030	CH 1976-15272	19761203
JP 52071485	A	19770614	JP 1976-146444	19761206
JP 55043464	B	19801106		
AT 7902464	A	19791215	AT 1979-2464	19790403
AT 357544	B	19800710		
CH 626075	A5	19811030	CH 1980-8855	19801128

PRIORITY APPLN. INFO.: IT 1975-29998 A 19751205
AT 1976-8943 A 19761202
CH 1976-15272 A 19761203

OTHER SOURCE(S): MARPAT 87:102374
GI

L4 ANSWER 74 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)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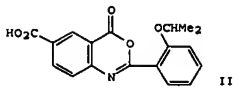
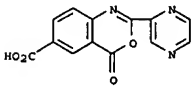
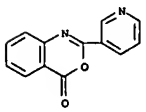

II

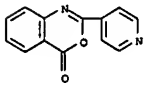
AB Antiallergic (no data) quinazolinones I (R = pentyl, 2-pyrazinyl, 4-EtOCH2CH2O6H4, 4-FC6H4, 3-ClC6H4, 3-MeOC6H4, 2-O2NC6H4, 2-R1OC6H4; R1 = Me2CH, Me, Et, allyl, Pr, Bu, Me2CHCH2, EtOCH2CH2, hexyl) and some ester and amide derivs. were prepared Thus, 2,4-(MeO2C)2C6H3NH2 was treated with
2-Me2CHOC6H4COCl, 2,4-(MeO2C)2C6H3NHCOC6H4OCHMe2-2 hydrolyzed, the acid product cyclized with Ac2O, and the benzoxazine II treated with NH4OH to give I (R = 2-Me2CHOC6H4).
IT 63746-31-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with ammonia, quinazoline from)
RN 63746-31-6 CAPLUS
CN 4H-3,1-Benzoxazine-6-carboxylic acid, 4-oxo-2-pyrazinyl- (9CI) (CA INDEX NAME)



L4 ANSWER 75 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1976:17267 CAPLUS
DOCUMENT NUMBER: 84:17267
TITLE: Organosulfur compounds. XII. Syntheses and pharmacological activities of 2-heterocyclic-substituted 4(3H)-quinazolinones
AUTHOR(S): Hisano, Takuzo; Ichikawa, Masataka; Nakagawa, Akira; Tsuji, Masayoshi
CORPORATE SOURCE: Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1975), 23(9), 1910-16
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 84:17267
GI For diagram(s), see printed CA Issue.
AB Quinazolinones I (R = 3; 4-pyridyl, 2-thienyl, R1 = H, 2-Cl, 2-F, etc.) were prepared from isatoic anhydride and amines or acylation of O-H2NC6H4CO2H followed by cyclization were evaluated for hypnotic activity. Some I showed a definite hypnotic effect in intraperitoneal doses above 100 mg/kg, whose structure-activity relationship demonstrated that R = 3-pyridyl and 4-pyridyl R1 = 2-F, 2-Cl are appropriate for the manifestation of hypnotic activity. A maximum hypnotic effect was observed in I
(R = 2-pyridyl, R1 = O-F), the potency of which was equal to methaqualone in mice.
IT 53180-68-0P 57696-11-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with amines)
RN 53180-68-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 57696-11-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

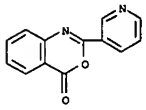


L4 ANSWER 76 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1974:551894 CAPLUS
DOCUMENT NUMBER: 81:151894
TITLE: 2-Hydroxyindoxyls. General and novel preparation, properties, and their role in the perphthalic acid oxidation of indoles
AUTHOR(S): Braudeau, E.; David, S.; Fischer, J. C.
CORPORATE SOURCE: Dep. Chim. Org., Univ. Paris-Sud, Orsay, Fr.
SOURCE: Tetrahedron (1974), 30(11), 1445-55
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 81:151894
GI For diagram(s), see printed CA Issue.
AB Oxidation of 2-isopropylindole with monoperphthalic acid gave the 2-OH compound
I and the (isopropylindolyl)indoxyl II. Increased reaction time gave the benzoxazinone III. Other 2-substituted indoxyls reacted similarly. 2-Isobutylindoxyl, in addition to compds. corresponding to I and II, gave the
bridged compound IV. The mechanism of the oxidns. is discussed.
IT 53904-12-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 53904-12-4 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(2-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 77 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1974:477955 CAPLUS
DOCUMENT NUMBER: 81:77955
TITLE: 2,3-Dipyridylquinazoline derivatives
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Yamazaki, Shunzo; Ide, Hiroyuki
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAP
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49031681	A	19740322	JP 1972-75246	19720727
JP 56010316	B	19810306		
PRIORITY APPLN. INFO.:			JP 1972-75246 A	19720727

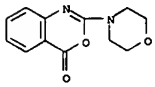
OTHER SOURCE(S): CASREACT 81:77955
GI For diagram(s), see printed CA Issue.
AB 2,3-Bis(pyridyl)quinazolinones (I, R1,R2 = 2-, 3-, or 4-pyridyl) with hypnotic, anesthetic, sedative, muscle relaxant, anticonvulsant, antiinflammatory, and analgesic properties were prepd.by reaction of N-pyridylcarbonylanthranilic acids or their cyclized deriva. with pyridylamines, R1NH2. E.g., heating 0.35 g 2-(3-pyridyl)-4H-3,1-benzoxazin-4-one and 0.176 g 3-aminopyridine 10 hrat200° yielded 0.3 g 2-(3-pyridyl)-3-(3-pyridyl)-4(3H)-quinazolinone. 2-(3-Pyridyl)-3-(2-pyridyl)-, 2-(4-pyridyl)-3-(2-pyridyl)-, and 2-(2-pyridyl)-3-(2-pyridyl)-4(3H)-quinazolinones were similarly prepared
IT 53180-68-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminopyridines)
RN 53180-68-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 78 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1969:470611 CAPLUS
DOCUMENT NUMBER: 71:70611
TITLE: 2-Amino-4H-3,1-benzoxazin-4-ones
INVENTOR(S): Sayigh, Adnan A. R.; Ulrich, Henri
PATENT ASSIGNEE(S): Upjohn Co.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

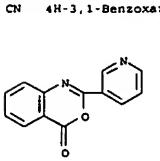
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3450700	A	19690617	US 1966-603146	19661220
PRIORITY APPLN. INFO.:			US 1966-603146 A	19661220

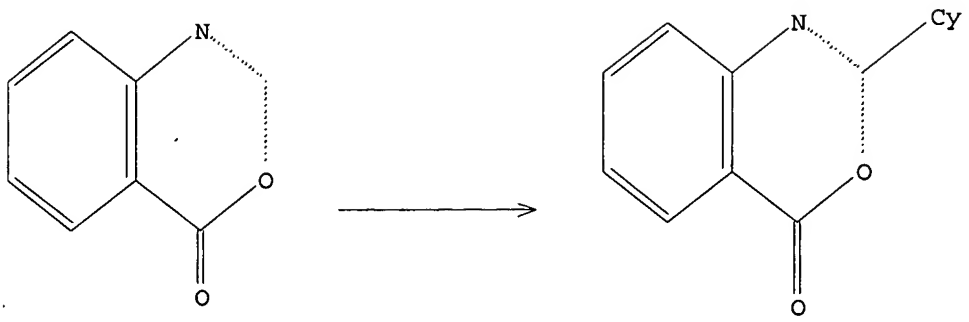
AB The subject compds. are prepared Thus, COCl2 is passed into a refluxing mixture of 16.3 g. isatoic anhydride, 165 ml. PhCl, and 0.33 g. HCONMe2 until a clear solution is obtained. After purging with N, the PhCl is distilled and the distillation continued in vacuo to yield 10.75 g. 2-isocyanatobenzoyl chloride (I) b0:3 100-30°, 30-3°. The following 2-isocyanatobenzoyl chlorides are similarly prepared (substituent given): 5-Cl, 6-MeO2C; 4-Cl; 3-Br; 6-F; 3,5-Br2; 3,5-Cl2; 3,5-I2; 6-Et; 6-Pr; 3-Me; and 6-F3C. I (3.6 g.) is stirred into 2.9 g. Et2NH in 20 ml. C6H6. The temperature at 70° is reduced to 25° and the solids removed. The filtrate is evaporated to dryness, the residue taken up in Et2O, and the Et2O removed in vacuo to yield 4.3 g. 2-(diethylamino)-4H-3,1-benzoxazin-4-one. The following 4H-3,1-benzoxazin-4-ones are similarly prepared 2-Bu2N, 2-morpholino, 2-dihexylamino, 2-diethylamino-5-chloro.
IT 23494-28-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 23494-28-2 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 79 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1950:20113 CAPLUS
DOCUMENT NUMBER: 44:20113
ORIGINAL REFERENCE NO.: 44:4001a-i,4002a-c
TITLE: The so-called acylanthranils (3,1,4H-benzoxaz-4-ones). I. Preparation; reactions with water, ammonia, and aniline; structure
AUTHOR(S): Zentmyer, David T.; Wagner, E. C.
CORPORATE SOURCE: Univ. of Pennsylvania, Philadelphia
SOURCE: Journal of Organic Chemistry (1949), 14, 967-81
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 44:20113
GI For diagram(s), see printed CA Issue.
AB The structure of the heterocyclic ring in 3,1,4H-benzoxaz-4-ones, o-C6H4.N:CR.O.CO (I), has not been decisively proved. An improved general procedure for the preparation of I is described and their behavior toward H2O, NH3, and PhNH2 is studied. I are prepared by dehydration of the corresponding N-acylanthranilic acids which in turn are obtained according to the method of Steiger (C.A. 39, 288.6), except o-HCONHC6H4CO2H (II). II, m. 167°, is obtained in 90% yield by refluxing 3 hrs. 68.5 g. o-H2NC6H4CO2H in 500 cc. C6H6 and 57 cc. 99% HCO2H. The following o-RNHC6H4CO2H (III) are prepared: R = EtCO, 71.3% yield, m. 114-15°; PrCO, 32.6%, m. 118-18.5°; Me2CHCH2CO (IV), 33.5%, m. 115-16°; AmCO (V), 32.8%, m. 99-103°; Me(CH2)10CO (VI) 40.8%, m. 92°; Bz, 99.2%, m. 182-3°; o-MeC6H4CO, 31.6%, m. 193-4°; p-analog, 82.5%, m. 193-4°; o-ClC6H4CO, 59.6%, m. 186.5-7°; p-analog, 96.8%, m. 204-5°; o-O2NC6H4CO, 57%, m. 234-5°; p-analog, 77.5%, m. 235.5°; 3,5-(O2N)2C6H3CO (VII), 54.7%, m. 208-9° (decomposition); nicotinyl, 71%, m. 263-4°. III are dehydrated by refluxing 0.05 mol. III with 0.4 mol. Ac2O 1 hr. and then slowly distilling off 25 cc. at below 139°. The excess Ac2O is distilled off in vacuo and I recrystd. from anhydrous AcOEt and C6H14. In this way the following I are prepared: R = Et (VIII), 74.7% yield, m. 85-6°; Pr (IX), 26.6%, m. 59-60°; Ph, 81%, m. 123-4°; o-MeC6H4, 74.6%, m. 115°; p-MeC6H4, 58.5%, m. 154.5°; o-ClC6H4, 91%, m. 139-40°; p-ClC6H4, 89.4%, m. 190°; o-O2NC6H4, 94.6%, m. 195-5.5°; p-O2NC6H4, 71.7%, m. 203°; 3-pyridyl, 80.8%, m. 153°. I (R = H) (X) prepared from II and isolated from the reaction mixture by distillation, b0.3 122°, m. 43-4°. X is hydrolyzed by atmospheric moisture and deteriorates on standing in a stoppered bottle. An attempt to prepare X from II and 100% HCO2H failed. When HCO2H is added to II and Ac2O, 3-(2-carboxyphenyl)-4-quinazolone, m. 274.5-5°, is formed. I (R = Me), prepared in 66.7% yield, m. 80-1°, is purified by sublimation at 70-5°/0.03 mm. No I are obtained from IV-VII. IV and Ac2O give some o-AcNHC6H4CO2H, m. 181-2°, probably by transacylation, followed by hydrolysis. V and Ac2O give an unidentified compound, m. 144-4.5°. o-H2NC6H4CO2Me (XI) refluxed with Ac2O gives the NHAc analog (XII), m. 98-9°. XI or o-HCONHC6H4CO2Me and Ac2O at 200° give XII and the Ac2N analog of XI, m. 66-7°. Passing NH3 1 hr. into 0.01 mol. X in the min. amount of absolute EtOH, cooled with ice, gives 33.1% o-HCONHC6H4CONH2, m.

L4 ANSWER 79 OF 79 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
119-22°; at 10-15°, 47.2% 4-quinazolone, m. 216-17°, is formed. I (R = Et or Pr) and NH3 give 52.2% 2-ethyl-, m. 233°, and 43.1% 2-propyl-4-quinazolone, m. 200-1°, resp. By passing NH3 into I in boiling EtOH the following o-RCONHC6H4CONH2 (XIIa) are prepd.: R = o-MeC6H4 (XIII), 24.4% yield, m. 217-18°; p-MeC6H4, 39.7%, m. 204-5°; o-ClC6H4 (XIV), 58.8%, m. 198-9°; p-ClC6H4, 44.8%, m. 200.5°; o-O2NC6H4 (XV), 53%, m. 195°; p-O2NC6H4, 61.5%, m. 235-6°; nicotinyl, 53.9%, m. 211°. Heating XIIa 0.5 hr. at 240-50° and recrystg. the product from AcOEt give the 2-substituted 4(3H)-quinazolones, o-C6H4.N:CR.NH.CO, of which the following are prepd.: R = p-MeC6H4, 38.1% yield, m. 241-2°; p-ClC6H4, 67.4%, m. 306°; p-O2NC6H4, 68.3%, m. 351-2°; 3-pyridyl, 41.5%, m. 276°. Ring closure at 250° failed with XIII-XV. Heating 0.01 mol. I with 0.011 mol. PhNH2 3 hrs. on a steam bath and recrystn. of the product from AcOEt-C6H14 gives o-RCONHC6H4CONHPh (XVI), of which the following are prepd.: R = Et, 37.7% yield, m. 164°; Pr, 58.4%, m. 151-2°; Ph, 74.4%, m. 216-18°; o-MeC6H4, 39.9%, m. 194.5°; p-MeC6H4, 51.8%, m. 220-1°; o-ClC6H4, 55.4%, m. 214-15°; p-ClC6H4, 52.5%, m. 236-7°; o-O2NC6H4, 39.9%, m. 197°; p-O2NC6H4, 53.3%, m. 207-8°; nicotinyl, 61.8%, m. 248-9°. Heating XVI (R = alkyl) 0.5 hr. at 240-50° or 0.01 mol. XVI (R = aryl) with 3 mg. ZnCl2 about 10 min. at 240-50° gives o-C6H4.N:CR.NPh.CO, of which the following are prepd.: R = Et (XVII), 43.8% yield, m. 125-5.5°; Pr (XVIII), 53.2%, m. 120-1°; Ph, 41.9%, m. 156-7°; o-MeC6H4, 16.1%, m. 179-80°; p-MeC6H4, 54.6%, m. 178°; p-ClC6H4, 39.8%, m. 177°; p-O2NC6H4, 43.2%, m. 224-5°; 3-pyridyl, 57.7%, m. 175-6.5°. VIII (0.01 mol.) and 0.011 mol. PhNH2 heated 0.5 hr. at 150-60° give 67.8% XVII; IX and PhNH2 give XVIII. When 4.95 g. II, 24.4 g. Ac2O, and 0.49 g. NaOAc are refluxed, transacylation takes place, giving 44.7% I (R = Me), m. 78-80°. The ultraviolet absorption spectrum of I (R = Me) is compared with that of o-AcNHC6H4CO2H and isatoic anhydride in neutral and alk. dioxane, and the infrared absorption spectrum of I (R = Me) is given. The results seem to indicate that the so-called acylanthranils have the structure I.
IT 53180-68-0P, 4H-3,1-Benzoxazin-4-one, 2-(3-pyridyl)-
RL: PREP (Preparation)
(preparation of)
RN 53180-68-0 CAPLUS
CN 4H-3,1-Benzoxazin-4-one, 2-(3-pyridinyl)- (9CI) (CA INDEX NAME)





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FILE CONTENT:1840 - 25 Feb 2007 VOL 146 ISS 9

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database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance
identification.

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SCREENING COMPLETE -        2 REACTIONS TO VERIFY FROM        2 DOCUMENTS

100.0% DONE       2 VERIFIED       0 HIT RXNS                      0 DOCS
SEARCH TIME: 00.00.01
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FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED VERIFICATIONS:          2 TO      124
PROJECTED ANSWERS:                0 TO        0

L2             0 SEA SSS SAM L1 (      0 REACTIONS)

=> s l1 sss full
FULL SEARCH INITIATED 08:48:05 FILE 'CASREACT'
SCREENING COMPLETE -       111 REACTIONS TO VERIFY FROM       36 DOCUMENTS

100.0% DONE      111 VERIFIED       15 HIT RXNS                    5 DOCS
SEARCH TIME: 00.00.04

L3             5 SEA SSS FUL L1 (     15 REACTIONS)

=> d fhit abs ibib tot
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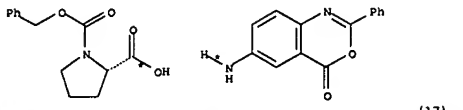
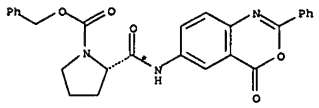
L3 ANSWER 1 OF 5 CASREACT COPYRIGHT 2007 ACS on STN

RX(17) OF 32 AS + A ===> AT



AS A



AT
YIELD 62%

RX(17) RCT AS 1148-11-4

STAGE(1)
RGT G 538-75-0 DCC
SOL 75-09-2 CH2Cl2
CON 1 hour, 0 deg C

STAGE(2)
RCT A 60498-33-1
CON 1 - 3 day, room temperature

PRO AT 866005-83-6

AB A series of amino acid amides and peptide amides of 6-amino-2-phenyl-4H-3,1-benzoxazin-4-one were synthesized and tested in vitro for their inhibitory activity towards human leukocyte elastase (HLE). When compared to their values without inhibitors, the residual enzymic activities decrease with time, indicating a time-dependent inhibition. The most potent inhibitions were obtained when Cbz-Arg-(Pmc), Cbz-Val-Phe, Cbz-Ala-Val or Cbz-Val-Ala are linked to the 6-amino group.

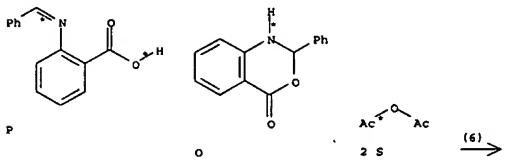
ACCESSION NUMBER: 143:347431 CASREACT
TITLE: Synthesis and anti-elastase properties of 6-amino-2-phenyl-4H-3,1-benzoxazin-4-one aminoacyl and dipeptidyl derivatives

L3 ANSWER 1 OF 5 CASREACT COPYRIGHT 2007 ACS on STN (Continued)

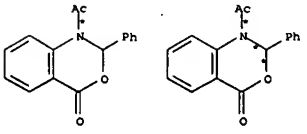
AUTHOR(S): Colson, Eric; Wallach, Jean; Hauteville, Marcelle
CORPORATE SOURCE: Laboratoire de Biochimie Analytique et Synthese Bioorganique, Universite Claude Bernard Lyon 1, Villeurbanne cedex, 69 622, Fr.
SOURCE: Biochimie (2005), 87(2), 223-230
CODEN: BICMBE; ISSN: 0300-9084
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 5 CASREACT COPYRIGHT 2007 ACS on STN

RX(6) OF 14 ...P + O + 2 S ===> 2 T



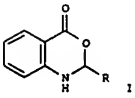
P O 2 S



T T
YIELD 73% YIELD 73%

RX(6) RCT P 5766-76-7, O 198069-31-7, S 108-24-7
PRO T 325850-36-0
SOL 71-43-2 Benzene
CON SUBSTAGE(1) room temperature
SUBSTAGE(2) 10 hours, 50 - 60 deg C
NTE chemoselective

GI



I

AB Anthranilic acid imines underwent ring-chain tautomerism with benzoxazines (I; R = Ph, 4-nitrophenyl, 2-hydroxyphenyl, trichloro-2-thienyl). Acetylation of the tautomers by Ac2O or by AcCl in the presence of pyridine occurred on the N atom of I; acetylation of an anthranilic acid imine by AcCl-Et3N gave the mixed anhydride, which was hydrolyzed to

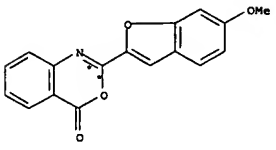
L3 ANSWER 2 OF 5 CASREACT COPYRIGHT 2007 ACS on STN (Continued)

starting material. Both substituents in N-acetylated I were pseudoaxial.

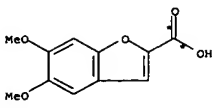
ACCESSION NUMBER: 141:379876 CASREACT
TITLE: Synthesis and acylation of anthranilic acid imines
AUTHOR(S): Kon'kova, S. G.; Abovyan, G. M.; Khachatryan, A. Kh.; Badasyan, A. E.; Konoyan, F. S.; Sargsyan, M. S.
CORPORATE SOURCE: Inst. Org. Khim., NAN Arm., Yerevan, Armenia
SOURCE: Hayastani Kimiakan Handes (2004), 57(1-2), 71-77
CODEN: KZARF3; ISSN: 1561-4190
PUBLISHER: Izdatel'stvo Gitutyun NAN Respubliki Armenii
DOCUMENT TYPE: Journal
LANGUAGE: Russian

L3 ANSWER 3 OF 5 CASREACT COPYRIGHT 2007 ACS on STN

RX(15) OF 27 COMPOSED OF RX(3), RX(4)
RX(15) J + M ===> N

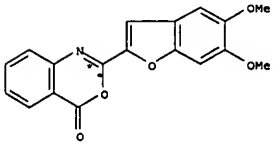


J



M

2
STEPS



N
YIELD 39%

RX(3) RCT J 497106-60-2

STAGE(1)
RGT K 1310-58-3 KOH
SOL 64-17-5 EtOH
CON 2 hours, reflux

STAGE(2)
RGT E 7647-01-0 HCl
SOL 7732-18-5 Water

PRO B 118-92-3

L3 ANSWER 3 OF 5 CASREACT COPYRIGHT 2007 ACS on STN (Continued)
RX(4) RCT M 114842-08-9

STAGE(1)
RGT O 10026-13-8 PCl5
SOL 71-43-2 Benzene
CON SUBSTAGE(1) 2 hours, reflux
SUBSTAGE(2) reflux -> 0 deg C

STAGE(2)
RCT B 118-92-3
RGT P 110-86-1 Pyridine
CON SUBSTAGE(1) 0 deg C
SUBSTAGE(2) 3 hours, room temperature

PRO N 497106-61-3
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

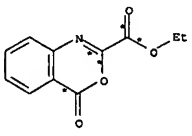
AB Treatment of 5,6-dimethoxy-2-(methylphenylcarbamoyl)benzofuran-3-carboxylic acid (I) with PPA yielded the title compound (II). The analogous 2-[(5,6-dimethoxybenzofuran-2-carbonyl)methylamino]benzoic acid was resistant to cyclization, whereas 2-[(6-methoxybenzofuran-2-carbonyl)amino]benzoic acid (III) underwent cyclization to the corresponding 3,1-benzoxazin-4-one (IV).

ACCESSION NUMBER: 138:170093 CASREACT
TITLE: Synthesis of 2,3-dimethoxy-7-methyl-7,12-dihydro-6H-[1]benzofuro[2,3-c][1]benzazepine-6,12-dione
AUTHOR(S): Jackson, Yvette A.; Marriott, Karla-Sue C.
CORPORATE SOURCE: Department of Chemistry, University of the West Indies, Mona, Kingston, Jamaica
SOURCE: Molecules [online computer file] (2002), 7(3), 353-362
CODEN: MOLEFW; ISSN: 1420-3049
URL: http://www.mdpi.org/molecules/papers/70300353.pdf
PUBLISHER: Molecular Diversity Preservation International
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

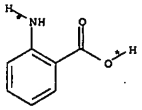
L3 ANSWER 4 OF 5 CASREACT COPYRIGHT 2007 ACS on STN

RX(21) OF 47 COMPOSED OF RX(1), RX(5)
RX(21) A + B + H ===> I

A

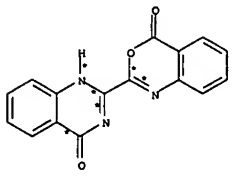


B



H

2
STEPS

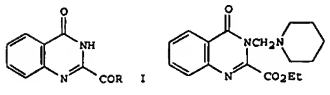


I
YIELD 65%

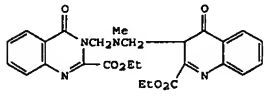
RX(1) RCT A 75-12-7, B 31143-83-6
PRO C 29113-33-5

RX(5) RCT H 118-92-3, C 29113-33-5
PRO I 153776-81-9
GI

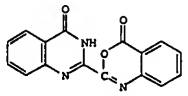
L3 ANSWER 4 OF 5 CASREACT COPYRIGHT 2007 ACS on STN (Continued)



I II



III



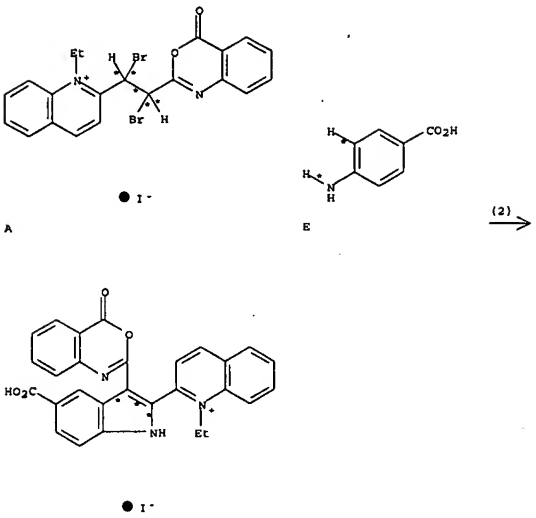
IV

AB 2-Ethoxycarbonyl-4(3H)-quinazolinone (I; R = OEt) reacts with piperidine, methylamine and anthranilic acid to give the Mannich bases, e.g. II and III, and 4H-3,1-benzoxazin-4-one derivs., e.g. IV. The behavior of the latter towards some nitrogen nucleophiles has been described. Compound I (R = OEt) also reacts with hydrazine and gives the corresponding hydrazide (I; R = NHNH2), the behavior of which towards aldehydes, ketones, and Ph isocyanate has also been discussed.

ACCESSION NUMBER: 120:217516 CASREACT
TITLE: Synthesis and reaction of 2-ethoxycarbonyl-4(3H)-quinazolinone with nitrogen nucleophiles
AUTHOR(S): Amine, M. S.; El-Hashash, M. A.; Attia, I. A.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1993), 32B(5), 577-80
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English

L3 ANSWER 5 OF 5 CASREACT COPYRIGHT 2007 ACS on STN

RX(2) OF 121 ...A + E ===> F



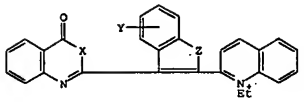
A E

F

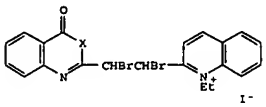
RX(2) RCT A 104968-06-1, E 150-13-0
PRO F 104967-80-8
SOL 64-17-5 EtOH

GI

L3 ANSWER 5 OF 5 CASREACT COPYRIGHT 2007 ACS on STN (Continued)



I



II

AB The title dyes I (X, Z = O, NH; Y = H, 5-Me, 5-MeO, 5-O2N, 5-Cl, 5-HO2C, 7-HO, 5,6-benzo, 6,7-benzo) were prepared by treatment of II (X = O, NH) with the appropriate phenols and/or arylamines. The new cyanines were identified by spectral determination Bactericidal and fungicidal activity of selected cyanines were tested.

ACCESSION NUMBER: 105:174394 CASREACT
TITLE: Synthesis, spectral behavior and biological activity of benzoxazonyl(quinoxalonyl)benzofurano(indolo)quinoline apocyanine dyes
AUTHOR(S): Khalil, Z. H.; Koraiem, A. I. M.; El-Maghraby, M. A.; Abu-El-Hamd, R. M.
CORPORATE SOURCE: Chem. Dep., Aswan Fac. Sci., Aswan, Egypt
SOURCE: Journal of Chemical Technology and Biotechnology (1986), 36(8), 379-88
CODEN: JCTBED; ISSN: 0268-2575
DOCUMENT TYPE: Journal
LANGUAGE: English